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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	JAN 02	STN pricing information for 2008 now available
NEWS 3	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS 4	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS 5	JAN 28	MARPAT searching enhanced
NEWS 6	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS 7	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 8	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS 9	FEB 08	STN Express, Version 8.3, now available
NEWS 10	FEB 20	PCI now available as a replacement to DPCI
NEWS 11	FEB 25	IFIREF reloaded with enhancements
NEWS 12	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS 13	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS 14	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS 15	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS 16	MAR 31	CA/CAplus and CASREACT patent number format for U.S. applications updated
NEWS 17	MAR 31	LPCI now available as a replacement to LDPCI
NEWS 18	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 19	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS 20	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS 21	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS 22	APR 28	IMSRESEARCH reloaded with enhancements
NEWS 23	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS 24	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS 25	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS 26	JUN 06	KOREAPAT updated with 41,000 documents
NEWS 27	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS 28	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS 29	JUN 25	CA/CAplus and USPAT databases updated with IPC reclassification data
NEWS 30	JUN 30	AEROSPACE enhanced with more than 1 million U.S.

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                patent records
 NEWS 31  JUN 30  EMBASE, EMBAL, and LEMBASE updated with additional
                  options to display authors and affiliated
                  organizations
 NEWS 32  JUN 30  STN on the Web enhanced with new STN AnaVist
                  Assistant and BLAST plug-in
 NEWS 33  JUN 30  STN AnaVist enhanced with database content from EPFULL

 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
specific topic.

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* * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 07:55:38 ON 10 JUL 2008

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

FILE 'REGISTRY' ENTERED AT 07:55:49 ON 10 JUL 2008
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STRUCTURE FILE UPDATES:    9 JUL 2008  HIGHEST RN 1033322-45-0
DICTIONARY FILE UPDATES:   9 JUL 2008  HIGHEST RN 1033322-45-0

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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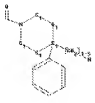

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chain nodes :
9  10  19  20
ring nodes :
1  2  3  4  5  6  11  12  13  14  15  16
chain bonds :
3-9  6-14  6-19  9-10  19-20
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  11-12  11-16  12-13  13-14  14-15  15-16
exact/norm bonds :
1-2  1-6  2-3  3-4  3-9  4-5  5-6  6-14  6-19  9-10  19-20
normalized bonds :
11-12  11-16  12-13  13-14  14-15  15-16
isolated ring systems :
containing 1 : 11 :


G1:C,O

G2:C,N

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  9:CLASS  10:CLASS  11:Atom  12:Atom
13:Atom  14:Atom  15:Atom  16:Atom  19:CLASS  20:CLASS



L1      STRUCTURE UPLOADED

=> d L1
L1 HAS NO ANSWERS
L1              STR
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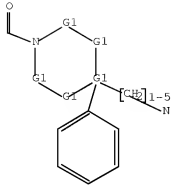

G1 C,O
G2 C,N

Structure attributes must be viewed using STN Express query preparation.

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.46	0.67

FILE 'CAPLUS' ENTERED AT 07:56:09 ON 10 JUL 2008
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FILE COVERS 1907 - 10 Jul 2008 VOL 149 ISS 2
FILE LAST UPDATED: 9 Jul 2008 (20080709/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> s L1 SSS full
REGISTRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 07:56:13 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1829831 TO ITERATE

54.6% PROCESSED 1000000 ITERATIONS 121 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.06

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 1829831 TO 1829831
PROJECTED ANSWERS: 177 TO 265

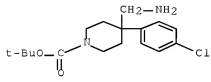
L2 121 SEA SSS FUL L1

L3 17 L2

=> d ibib abs hitstr l-
YOU HAVE REQUESTED DATA FROM 17 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:337087 CAPLUS Full-text
DOCUMENT NUMBER: 148:393742
TITLE: Identification of 4-(4-Aminopiperidin-1-yl)-7H-pyrrolo[2,3-d]pyrimidines as Selective Inhibitors of Protein Kinase B through Fragment Elaboration
AUTHOR(S): Caldwell, John J.; Davies, Thomas G.; Donald, Alastair; McHardy, Tatiana; Rowlands, Martin G.; Aherne, G. Wynne; Hunter, Lisa K.; Taylor, Kevin; Ruddle, Ruth; Raynaud, Florence I.; Verdonk, Marcel; Workman, Paul; Garrett, Michelle D.; Collins, Ian
CORPORATE SOURCE: Cancer Research UK Centre for Cancer Therapeutics, The Institute of Cancer Research, Sutton, Surrey, SM2 5NG, UK
SOURCE: Journal of Medicinal Chemistry (2008), 51(7), 2147-2157
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Fragment-based screening identified 7-azaindole as a protein kinase B inhibitor scaffold. Fragment elaboration using iterative crystallog. of inhibitor-PKA-PKB chimera complexes efficiently guided improvements in the potency and selectivity of the compds., resulting in the identification of nanomolar 6-(piperidin-1-yl)purine, 4-(piperidin-1-yl)-7-azaindole, and 4-(piperidin-1-yl)pyrrolo[2,3-d]pyrimidine inhibitors of PKBβ with antiproliferative activity and showing pathway inhibition in cells. A divergence in the binding mode was seen between 4-aminomethylpiperidine and 4-aminopiperidine containing mols. Selectivity for PKB vs PKA was observed with 4-aminopiperidine derivs., and the most PKB-selective inhibitor (30-fold) showed significantly different bound conformations between PKA and PKA-PKB chimera.
IT 669068-16-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(piperidinyl pyrrolopyrimidines as protein kinase B inhibitors)
RN 669068-16-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(4-chlorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1275232 CAPLUS Full-text
DOCUMENT NUMBER: 147:522261
TITLE: Preparation of purine and related analogues as ROCK kinase or protein kinase P70S6K inhibitors
INVENTOR(S): Davies, Thomas Glanmor; Garrett, Michelle Dawn; Boyle, Robert George; Collins, Ian
PATENT ASSIGNEE(S): Astex Therapeutics Limited, UK; The Institute of Cancer Research Royal Cancer Hospital; Cancer Research Technology Limited
SOURCE: PCT Int. Appl., 212pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007125321	A2	20071108	WO 2007-GB1518	20070425
WO 2007125321	A3	20071227		

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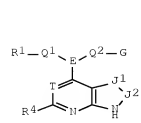
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: GB 2006-8176 A 20060425
GB 2006-8179 A 20060425
OTHER SOURCE(S): MARPAT 147:522261
GI



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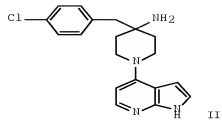


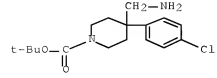
II

AB Title compds. I [T = N or CR5; J1-J2 = N=C(R6), (R7)C=N, (R8)N-C(O), (R8)2C-C(O), N=N or (R7)C=C(R6); E = 5- to 6-membered monocyclic carbocyclic or heterocyclic group; Q1 = bond or (un)substituted saturated hydrocarbon linker, one of the C atoms being optionally be replaced by O or N, or an adjacent pair of C atoms may be replaced by CONH, NHCO, etc.; Q2 = bond or (un)substituted saturated hydrocarbon linker, wherein one of the C atoms may optionally be replaced by O or N; G = H, NR2R3, OH or SH with the proviso that when E = aryl or heteroaryl and Q2 = bond, then G = H; R1 = H, aryl or heteroaryl, with the proviso that when R1 = H and G = NR2R3, then Q2 = bond; R2 and R3 independently = H, (un)substituted hydrocarbyl, acyl, etc.; R4, R6 and R8 independently = H, halo, saturated hydrocarbyl, CN, CONH2, CF3, NH2, etc.; R5 and R7 independently = H, halo, saturated hydrocarbyl, CN, or CF3], and their pharmaceutically acceptable salts, solvates, tautomers or N-oxides thereof, are prepared and disclosed as ROCK kinase or protein kinase P70S6K inhibitors. Thus, e.g., II was prepared by condensation reaction of 4-fluoro-1-(triisopropylsilanyl)-1H-pyrrolo[2,3- b]pyridine with [[4-(4-chlorophenyl)piperidin-4-yl]methyl]amine followed by deprotection. Many compds. of the invention showed antiproliferative activity in Alamar Blue assay and were found to have IC50 values of < 25 μM. II exhibited inhibitory activity against ROCK-II and P70S6K with IC50 values of < 0.01 μM and 0.03 μM, resp. I should prove useful for the treatment or prophylaxis of a disease or condition in which the modulation (e.g. inhibition) of ROCK kinase or protein kinase P70S6K.

IT 669068-16-0P, 4-Aminomethyl-4-(4-chlorophenyl)piperidine-1-carboxylic acid tert-butyl ester 885500-47-0P, 4-(4-Chlorophenyl)-4-[(methylamino)methyl]piperidine-1-carboxylic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of purine and related analogs as ROCK kinase or protein kinase P70S6K inhibitor)

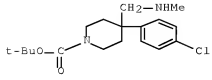
RN 669068-16-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(4-chlorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 885500-47-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-chlorophenyl)-4-[(methylamino)methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



L3 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:439604 CAPLUS Full-text
DOCUMENT NUMBER: 146:421851
TITLE: Preparation of piperidine derivatives as antagonists of CCR1 receptor
INVENTOR(S): Zhang, Penglie; Pennell, Andrew M. K.; Chen, Wei; Greenman, Kevin Lloyd; Li, Lianfa; Sullivan, Edward J.
PATENT ASSIGNEE(S): Chemocentryx, Inc., USA
SOURCE: PCT Int. Appl., 86pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007044804	A2	20070419	WO 2006-US39713	20061011
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20070088036	A1	20070419	US 2006-546938	20061011
US 20070093467	A1	20070426	US 2006-580202	20061011
PRIORITY APPLN. INFO.:			US 2005-725980P P	20051011
OTHER SOURCE(S):	MARPAT 146:421851			

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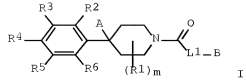

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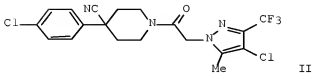


II

AB Title compds. I [R1 = cycloalkyl, (un)substituted alkyl, haloalkyl, etc.; any two R1 attached to the same or different carbon atoms may join together to form a 3- to 7-membered ring; m = 0-4; R2-6 independently = H, halo, CN, NO2, etc.; A = H, aryl, heteroaryl, etc.; B = (un)substituted aryl or heteroaryl; L1 = (un)substituted alkylene or heteroalkylene], and their pharmaceutically acceptable salts, are prepared and disclosed as antagonists of CCR1 receptor. Thus, e.g., II was prepared via heterocyclization of 4-chlorobenzyl cyanide with bis(2-chloroethyl)amine followed by acylation with (4-chloro-5-methyl-3-trifluoromethylpyrazol-1- yl)acetic acid. Select compds. were evaluated for their inhibitory activity in CCR1 ligand binding assay or chemotaxis assay, e.g., II demonstrated IC50 value of < 1000 nM.

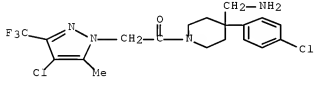
IT 934347-52-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidine derivs. as antagonists of CCR1 receptor)

RN 934347-52-1 CAPLUS

CN Ethanone, 1-[4-(aminomethyl)-4-(4-chlorophenyl)-1-piperidinyl]-2-[4-chloro-5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



L3 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:11886 CAPLUS Full-text

DOCUMENT NUMBER: 146:121827

TITLE: Piperidine derivatives useful as histamine H3 antagonists and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Aslanian, Robert G.; Berlin, Michael Y.; Boyce, Christopher W.; Chao, Jianhua; De Lera Ruiz, Manuel; Mangiaracina, Pietro; McCormick, Kevin D.; Mutahi, Mwangi W.; Rosenblum, Stuart B.; Shih, Neng-Yang; Solomon, Daniel M.; Tom, Wing C.; Vaccaro, Henry A.;

	Zheng, Junying; Zhu, Xiaohong
PATENT ASSIGNEE(S):	Schering Corporation, USA
SOURCE:	PCT Int. Appl., 119pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007001975	A1	20070104	WO 2006-US23800	20060619
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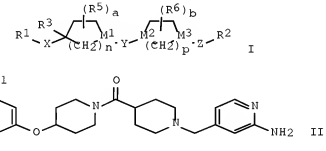
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006262441	A1	20070104	AU 2006-262441	20060619
CA 2610959	A1	20070104	CA 2006-2610959	20060619
US 20070015807	A1	20070118	US 2006-455625	20060619
EP 1902046	A1	20080326	EP 2006-773528	20060619
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
MX 200800115	A	20080318	MX 2008-115	20071219
KR 2008021082	A	20080306	KR 2007-730855	20071228
PRIORITY APPLN. INFO.:			US 2005-692110P P	20050620
			WO 2006-US23800 W	20060619
OTHER SOURCE(S):	MARPAT 146:121827			

GI

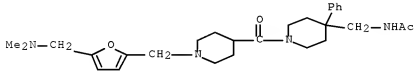


AB Disclosed are novel compds. of the formula I or a pharmaceutically acceptable salt thereof; compns. and methods of treating allergy-induced airway responses, congestions, obesity, metabolic syndrome, alc. fatty liver disease, hepatic steatosis, nonalcoholic steatohepatitis, cirrhosis, hepatacellular carcinoma and cognitive deficit disorders, using said compds., alone or in combination with other agents. Compds. of formula I wherein M1 and M3 are

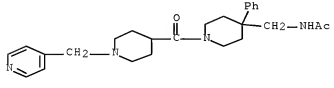
independently CH and N; M2 is CH, CF and N; Y is CO, CS, C1-5 alkyl, C-NOH and derivs., and SO1-2; X is NH and derivs., aminoalkyl, alkylamino, , C0-3 alkyl, etc.; Z is bond, (un)substituted C1-6 alkyl, (un)substituted alkoxy, (un)substituted alkylamino, etc.; R1 is H, (un)substituted alkyl, (un)substituted (hetero)cycloalkyl, (un)substituted (hetero)aryl, etc.; R2 is (un)substituted alkyl, (un)substituted alkenyl, (un)substituted (hetero)aryl, and (un)substituted (hetero)cycloalkyl; R3 is H, alkyl, (un)substituted (hetero)aryl, (un)substituted (hetero)cycloalkyl, and CONH2; R5 and R6 are independently halo, alkyl, OH, alkoxy, haloalkyl, CN, etc.; a and b are independently 0, 1 and 2; n and p are independently 1, 2 and 3; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by etherification ot N-Boc-piperidin-4-ol with 3,5-dichlorophenol; the resulting N-Boc-4-(3,5-dichlorophenoxy) underwent hydrolysis to give 4-(3,5-dichlorophenoxy)piperidine, which underwent amidation with N-[2-(tert-butoxycarbonylamino)pyridin-4-ylmethyl]piperidine-4-carboxylic acid lithium salt; the resulting amide underwent hydrolysis to give compound II. All the invention compds. were evaluated for their histamine antagonistic activity (data given).

IT 918532-07-7P 918532-53-3P 918533-86-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperidine derivs. as histamine H3 antagonists useful in treatment of diseases)

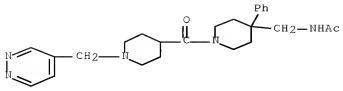
RN 918532-07-7 CAPLUS
CN Acetamide, N-[[1-[[1-[[5-[(dimethylamino)methyl]-2-furanyl]methyl]-4-piperidinyl]carbonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 918532-53-3 CAPLUS
CN Acetamide, N-[[4-phenyl-1-[[1-(4-pyridinylmethyl)-4-piperidinyl]carbonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 918533-86-5 CAPLUS
CN Acetamide, N-[[4-phenyl-1-[[1-(4-pyridazinylmethyl)-4-piperidinyl]carbonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



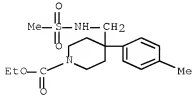
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:625275 CAPLUS Full-text
DOCUMENT NUMBER: 145:249070
TITLE: Preparation of 2,3-dihydro-1H-spiro[isoquinoline-4,4'-piperidine] via an N-sulfonyl Pictet-Spengler reaction
AUTHOR(S): Liu, Jian; Jian, Tianying; Sebhat, Iyassu; Nargund, Ravi
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA
SOURCE: Tetrahedron Letters (2006), 47(29), 5115-5117
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:249070

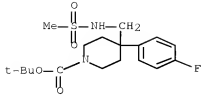
AB A high yielding synthesis of variously substituted 2,3-dihydro-1H-spiro[isoquinoline-4,4'-piperidine] is reported. N-(2- nitrophenyl)sulfonyl was successfully used as both an activating and protecting group for the key Pictet-Spengler reaction.

IT 906369-58-2P 906369-59-3P 906369-60-6P
906369-61-7P 906369-62-8P 906369-63-9P
906369-64-0P 906369-80-0P 906369-81-1P
906369-82-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of dihydro-spiro[isoquinoline-piperidine] by Pictet-Spengler reaction using N-(nitrophenyl)sulfonyl activating and protecting group)

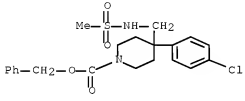
RN 906369-58-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-methylphenyl)-4-[[(methylsulfonyl)amino]methyl]-, ethyl ester (CA INDEX NAME)



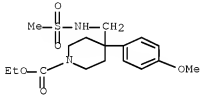
RN 906369-59-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-fluorophenyl)-4-[[(methylsulfonyl)amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



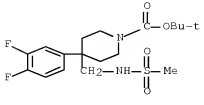
RN 906369-60-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-chlorophenyl)-4-
[[(methylsulfonyl)amino]methyl]-, phenylmethyl ester (CA INDEX NAME)



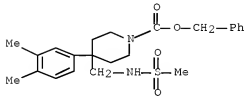
RN 906369-61-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-methoxyphenyl)-4-
[[(methylsulfonyl)amino]methyl]-, ethyl ester (CA INDEX NAME)



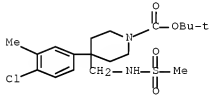
RN 906369-62-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(3,4-difluorophenyl)-4-
[[(methylsulfonyl)amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



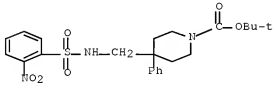
RN 906369-63-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(3,4-dimethylphenyl)-4-[[(methylsulfonyl)amino]methyl]-, phenylmethyl ester (CA INDEX NAME)



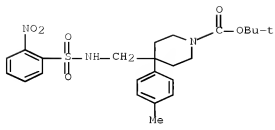
RN 906369-64-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-chloro-3-methylphenyl)-4-[[(methylsulfonyl)amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



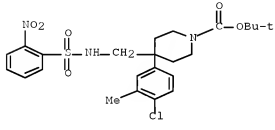
RN 906369-80-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[(2-nitrophenyl)sulfonyl]amino]methyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 906369-81-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-methylphenyl)-4-[[[(2-nitrophenyl)sulfonyl]amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 906369-82-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-chloro-3-methylphenyl)-4-[[[(2-nitrophenyl)sulfonyl]amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:465188 CAPLUS Full-text
DOCUMENT NUMBER: 144:488667
TITLE: Pharmaceutical compounds such as quinazolinones and their preparation, and use for treatment of protein kinase A and/or B mediated diseases
INVENTOR(S): Berdini, Valerio; Boyle, Robert George; Saxty, Gordon; Verdonk, Marinus Leendert; Woodhead, Steven John; Wyatt, Paul Graham; Sore, Hannah Fiona; Walker, David Winter; Caldwell, John; Collins, Ian
PATENT ASSIGNEE(S): Astex Therapeutics Limited, UK; The Institute of Cancer ResearchRoyal Cancer Hospital; Cancer Research Technology Limited
SOURCE: PCT Int. Appl., 178 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006051290	A2	20060518	WO 2005-GB4323	20051109
WO 2006051290	A3	20060914		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1814552 A2 20070808 EP 2005-801609 20051109

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

JP 2008519087 T 20080605 JP 2007-540710 20051109

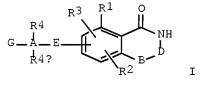
PRIORITY APPLN. INFO.: GB 2004-24742 A 20041109

US 2004-626403P P 20041109

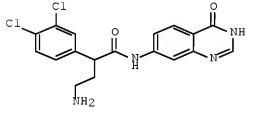
WO 2005-GB4323 W 20051109

OTHER SOURCE(S): MARPAT 144:488667

GI



I

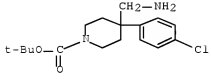


II

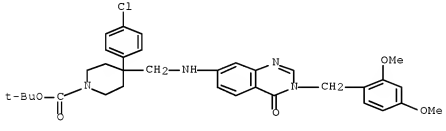
AB The invention is related to quinazolinones I [B-D = N:CH and derivs., NHCO and derivs.; G = OH, NH2 ad derivs.; E = CONH and derivs., O, S, NH, etc., with proviso; A = a bond and R4 and R4a are absent; or A = saturated hydrocarbon linker containing 1-7 C's, wherein 1 of the C atoms may optionally be replaced by an O or N atom; R1-R3 = independently H, halo, (un)substituted hydrocarbyl; R4 = H, alkyl; R4a = H, alkyl, monocyclic or bicyclic carbocyclyl or heterocyclyl containing up to 3 heteroatoms; or R4 and R4a together with the intervening atom(s) of A form a saturated monocyclic heterocyclic group] or salts, solvates, tautomers or N-oxides thereof, that inhibit or modulate the activity of protein kinase A (PKA) and protein kinase B (PKB), and their use in the treatment or prophylaxis of disease states or conditions mediated by PKA and PKB, such as proliferative diseases. The invention is also related to the preparation of quinazolinones I. Thus, acylation of 4-[(tert-butoxycarbonyl)amino]-2- (3,4-dichlorophenyl)butyric acid with 7-amino-3H-quinazolin-4-one and Boc-deprotection gave quinazolinone II. Selected I inhibited protein kinase A and/or B with IC50 values of less than 50 μM.

IT 669068-16-0P, 4-Aminomethyl-4-(4-chlorophenyl)piperidine-1-carboxylic acid tert-butyl ester 887129-10-4P, 4-(4-Chlorophenyl)-4-[[[3-(2,4-dimethoxybenzyl)-4-oxo-3,4-dihydroquinazolin-7-yl]amino]methyl]piperidine-1-carboxylic acid

tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of quinazolinones as protein kinase A and/or B inhibitors for treating proliferative diseases)
RN 669068-16-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(4-chlorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 887129-10-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-chlorophenyl)-4-[[[3-[(2,4-dimethoxyphenyl)methyl]-3,4-dihydro-4-oxo-7-quinazolinyl]amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



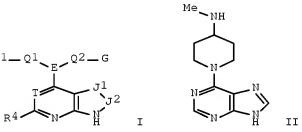
L3 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:411957 CAPLUS Full-text
DOCUMENT NUMBER: 144:450728
TITLE: Ortho-condensed pyridine and pyrimidine derivatives (e. g. purines) as protein kinases inhibitors and their preparation, pharmaceutical compositions and use for treatment of protein kinase mediated diseases such as proliferative diseases
INVENTOR(S): Berdini, Valerio; Boyle, Robert George; Saxty, Gordon; Walker, David Winter; Woodhead, Steven John; Wyatt, Paul Graham; Caldwell, John; Collins, Ian; Da Fonseca, Tatiana Faria
PATENT ASSIGNEE(S): Astex Therapeutics Ltd., UK; The Institute of Cancer ResearchRoyal Cancer Hospital; Cancer Research Technology Limited
SOURCE: PCT Int. Appl., 223 pp., which
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006046024	A1	20060504	WO 2005-GB4119	20051025
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1812004	A1	20070801	EP 2005-797685	20051025
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008517984	T	20080529	JP 2007-538500	20051025

PRIORITY APPLN. INFO.:		
GB 2004-23655	A	20041025
US 2004-621821P	P	20041025
US 2005-684119P	P	20050524
WO 2005-GB4119	W	20051025

OTHER SOURCE(S): MARPAT 144:450728

GI



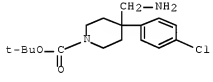
I II

AB The invention provides a compound for use as a protein kinase B inhibitor, the compound being a compound of the formula I or salts, solvates, tautomers or N-oxides thereof. Compds. of formula I where in T is N or CR5; J1-J2 is N=CR6, R7C=N, R8NCO, (R8)2CO, N=N, or R7C=CR6; E is 5- to 6-membered carbocyclic or heterocyclic group; Q1 is a bond, C1-3 saturated hydrocarbon where one of the carbon atoms may be optionally replaced by O or N, or an adjacent pair of carbons be replaced by CONH and derivs., or NHCO and derivs.; Q2 is a bond, (un)substituted saturated C1-3 hydrocarbon, where one of the carbon atoms my be optionally replaced by N or O; G is H, NH2 and derivs., OH, or SH, with the provision that E is (hetero)aryl and Q2 is a bond, then G is H; R1 is H, or (hetero)aryl;R4, R6, and R8 are independently H, halo, C1-5 saturated hydrocarbyl, CN, CONH2, CONHR9, CF3, NH2, NHCOR9, or NHCONHR9; R5 and R7 are independently H, halo, C1-5 saturated heterocarbyl, CN, or CF3; R9 is (un)substituted Ph, or (un)substituted Bn; or their pharmaceutically acceptable salts, solvates, tautomers, or N-oxides thereof. Example compound II was prepared by amination of 9-(tetrahydropyran-2-yl)-6-chloropurine with 4-(N-Boc)piperidine;the resulting [1-[9-(tetrahydropyran-2-yl)-9H-purin-6-yl]piperidin-4- yl]carbamic acid tert-Bu ester underwent methylation with Me

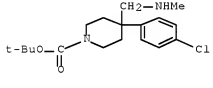
iodide to give methyl-[1-[9-(tetrahydropyran-2-yl)-9H-purin-6-yl]piperidin-4-yl]carbamic acid tert-Bu ester, which underwent hydrolysis to give example compound II. All the invention compds. were tested for their protein kinase inhibitory activity. From the assay it was determined that compound II and some of the other example compds. exhibited IC50 values of less than 10 μM against both protein kinase A and B. The invention compds. were also evaluated for their antiproliferative activity. Many of the invention compds. were found to have IC50 values of less than 25 μM and the preferred compds. have IC50 values of less than 15 μM.

IT 669068-16-0P 885500-47-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of ortho-condensed pyridine and pyrimidine derivs. (e. g. purines) as protein kinases inhibitors useful for treatment of protein kinase mediated diseases such as proliferative diseases)

RN 669068-16-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(4-chlorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 885500-47-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-chlorophenyl)-4-[(methylamino)methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2005:1289687 CAPLUS Full-text
DOCUMENT NUMBER:	144:51568
TITLE:	Preparation of substituted 2-quinolyl-oxazoles and their heterocyclic analogs useful as pde4 inhibitors
INVENTOR(S):	Kuang, Rongze; Blythin, David; Shih, Neng-Yang; Shue, Ho-Jane; Chen, Xiao; Cao, Jianhua; Gu, Danlin; Huang, Ying; Schwerdt, John H.; Ting, Pauline C.; Wong, Shing-Chun; Xiao, Li
PATENT ASSIGNEE(S):	Schering Corporation, USA
SOURCE:	PCT Int. Appl., 233 pp. CODEN: PIXXD2

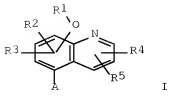
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005116009	A1	20051208	WO 2005-US17134	20050516
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005247906	A1	20051208	AU 2005-247906	20050516
CA 2565599	A1	20051208	CA 2005-2565599	20050516
US 20060106062	A1	20060518	US 2005-130359	20050516
EP 1758883	A1	20070307	EP 2005-750076	20050516
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1984901	A	20070620	CN 2005-80023666	20050516
BR 2005011295	A	20071204	BR 2005-11295	20050516
JP 2007537300	T	20071220	JP 2007-513471	20050516
TW 286475	B	20070911	TW 2005-94115924	20050517
MX 2006PA13414	A	20070123	MX 2006-PA13414	20061117
KR 2007013306	A	20070130	KR 2006-724186	20061117
IN 2006CN04254	A	20070629	IN 2006-CN4254	20061117
NO 2006005830	A	20070216	NO 2006-5830	20061215

PRIORITY APPLN. INFO.: US 2004-572266P P 20040518
WO 2005-US17134 W 20050516

OTHER SOURCE(S): CASREACT 144:51568; MARPAT 144:51568

GI



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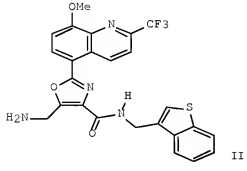


II

AB Title compds. I [R1 = H, alkyl, cycloalkyl; R2, R3 and R5 independently = H or halo; R4 = H, halo, alkyl, etc.; A = substituted oxazolyl, imidazole, thiazole or pyrrole], and their pharmaceutically acceptable salts, are prepared and disclosed as pde4 inhibitors. Thus, e.g., II was prepared in a multistep synthesis from 2-trifluoromethyl-8-methoxyquinolin-5-yl carboxylic acid. In PDE4 assays, selected compds. possessed IC50 values ranging from 0.01-1.8 nM. Also claimed are pharmaceutical compns., the use of the compds. as PDE4 inhibitors, and combinations with other actives.

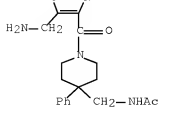
IT 871000-79-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted quinolyloxazoles and their heterocyclic analogs useful as PDE4 inhibitors)

RN 871000-79-2 CAPLUS

CN Acetamide, N-[[1-[[5-(aminomethyl)-2-[8-methoxy-2-(trifluoromethyl)-5-quinolinyl]-4-oxazolyl]carbonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:470969 CAPLUS Full-text
DOCUMENT NUMBER: 143:26636
TITLE: Preparation of 4-[(Arylmethyl)aminomethyl]piperidines as inhibitors of NGF binding (nerve growth factor) to p75NTR (p75 neurotrophic) receptor for treating p75NTR related diseases
INVENTOR(S): Bosch, Michael; Wagnon, Jean
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
SOURCE: Fr. Demande, 31 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2862968	A1	20050603	FR 2003-14172	20031201
FR 2862968	B1	20060804		
WO 2005054229	A1	20050616	WO 2004-FR3066	20041130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1694668	A1	20060830	EP 2004-805590	20041130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				
JP 2007512384	T	20070517	JP 2006-541974	20041130
US 20070037819	A1	20070215	US 2006-420505	20060526
PRIORITY APPLN. INFO.:			FR 2003-14172 A	20031201
			WO 2004-FR3066 W	20041130

OTHER SOURCE(S): MARPAT 143:26636
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein X = (CH2)n; n = 1-2; R1 = CF3; R2 = H, alkyl; R3 = (un)substituted pyrrolyl, 1,2,3-thiadiazolyl, pyrazinyl, etc.; and their salts, hydrates and solvates] were prepared as inhibitors of the binding of 125I NGF to p75NTR (p75 neurotrophic) receptor and of the apoptosis induced by NGF (nerve growth factor) for treating p75NTR related diseases (no data). For example, II was prepared by reacting 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- 1-

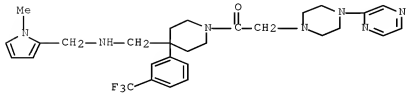
ethanone (preparation given) and 1-methyl-2-pyrrolecarboxaldehyde in THF in the presence of NaBH(OAc)3/AcOH. I inhibited the binding of 125I NGF to p75NTR receptor with IC50 in the range of 10-11 M to 10-6 M at the biochem. level. I inhibited the pro-apoptic effect induced by NGF, via growing cells expressing preferentially p75NTR, with IC50 in the range of 10-11 M to 10-6 M at the cellular level.

IT 852936-29-9P, [(1-Methyl-1H-pyrrol-2-yl)methyl][[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine 852936-31-3P 852936-32-4P, N-Methyl-1-[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(1,3-thiazol-2-yl)methyl]methanamine trihydrochloride 852936-33-5P, (2-Furylmethyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine 852936-34-6P, (3-Furylmethyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine 852936-35-7P, [(5-Methyl-2-furyl)methyl][[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine 852936-36-8P, [(4,5-Dimethyl-2-furyl)methyl](methyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine trihydrochloride 852936-37-9P, [(5-Chloro-2-furyl)methyl](methyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine 852936-38-0P, [[1-[[4-(Pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl][(2-thienyl)methyl]amine 852936-39-1P, [[1-[[4-(Pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl][(3-thienyl)methyl]amine 852936-40-4P, 1-Phenyl-N-[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]methanamine 852936-41-5P, [[1-[[4-(Pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl][(pyridin-2-yl)methyl]amine 852936-42-6P, N-Methyl-1-[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(pyridin-2-yl)methyl]methanamine 852936-43-7P, N-Methyl-1-[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(pyridin-3-yl)methyl]methanamine tetrahydrochloride 852936-44-8P, N-Methyl-1-[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(pyridin-4-yl)methyl]methanamine tetrahydrochloride 852936-45-9P, N-Methyl-1-(pyrazin-2-yl)-N-[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]methanamine tetrahydrochloride 852936-46-0P, [(6-Methylpyridin-2-yl)methyl][[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine 852936-47-1P, [(3-Methyl-2-thienyl)methyl][[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine trihydrochloride 852936-48-2P 852936-49-3P, N-Methyl-1-[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(pyrimidin-5-yl)methyl]methanamine 852936-50-6P, (1H-Imidazol-2-ylmethyl)(methyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine 852936-51-7P, (1H-Imidazol-5-ylmethyl)(methyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine tetrahydrochloride 852936-52-8P, N-Methyl-1-(4-methyl-1H-imidazol-5-yl)-N-[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]pyridin-4-yl]methyl]methanamine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 4-[(arylmethyl)aminomethyl]piperidines as

NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

RN 852936-29-9 CAPLUS

CN Ethanone, 1-[4-[[[(1-methyl-1H-pyrrol-2-yl)methyl]amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



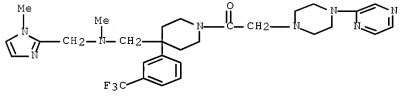
RN 852936-31-3 CAPLUS

CN Ethanone, 1-[4-[[methyl[(1-methyl-1H-imidazol-2-yl)methyl]amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 852936-30-2

CMF C29 H37 F3 N8 O



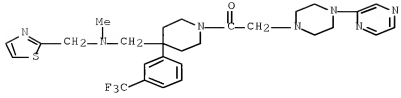
CM 2

CRN 144-62-7

CMF C2 H2 O4

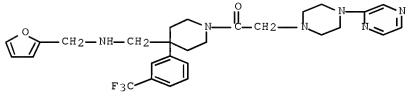
RN 852936-32-4 CAPLUS

CN Ethanone, 1-[4-[[methyl(2-thiazolylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, hydrochloride (1:3) (CA INDEX NAME)

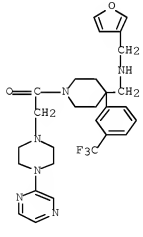


● 3 HCl

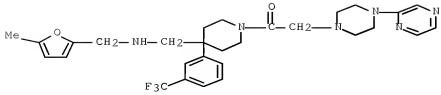
RN 852936-33-5 CAPLUS
CN Ethanone, 1-[4-[[(2-furanylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



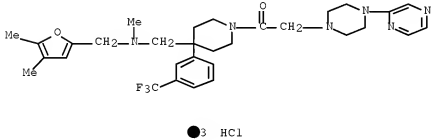
RN 852936-34-6 CAPLUS
CN Ethanone, 1-[4-[[(3-furanylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



RN 852936-35-7 CAPLUS
CN Ethanone, 1-[4-[[[(5-methyl-2-furanyl)methyl]amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)

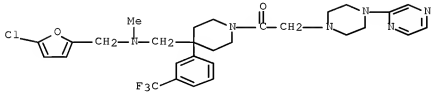


RN 852936-36-8 CAPLUS
CN Ethanone, 1-[4-[[[(4,5-dimethyl-2-furanyl)methyl]methylamino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, hydrochloride (1:3) (CA INDEX NAME)

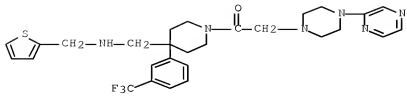


●3 HCl

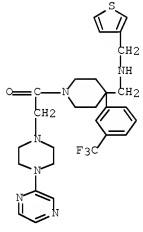
RN 852936-37-9 CAPLUS
CN Ethanone, 1-[4-[[[(5-chloro-2-furanyl)methyl]methylamino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



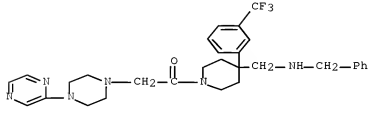
RN 852936-38-0 CAPLUS
CN Ethanone, 2-[4-(2-pyrazinyl)-1-piperazinyl]-1-[4-[[(2-thienylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)



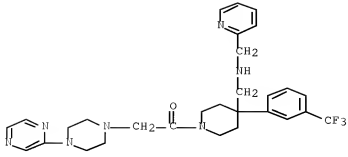
RN 852936-39-1 CAPLUS
CN Ethanone, 2-[4-(2-pyrazinyl)-1-piperazinyl]-1-[4-[[(3-thienylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)



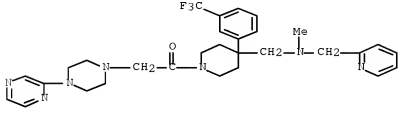
RN 852936-40-4 CAPLUS
CN Ethanone, 1-[4-[[(phenylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



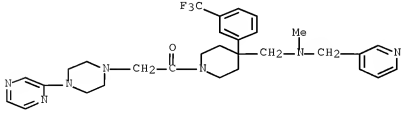
RN 852936-41-5 CAPLUS
CN Ethanone, 2-[4-(2-pyrazinyl)-1-piperazinyl]-1-[4-[[(2-pyridinylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)



RN 852936-42-6 CAPLUS
CN Ethanone, 1-[4-[[methyl(2-pyridinylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)

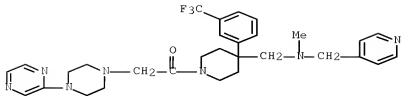


RN 852936-43-7 CAPLUS
CN Ethanone, 1-[4-[[methyl(3-pyridinylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, hydrochloride (1:4) (CA INDEX NAME)



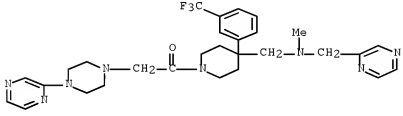
●4 HCl

RN 852936-44-8 CAPLUS
CN Ethanone, 1-[4-[[methyl(4-pyridinylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, hydrochloride (1:4) (CA INDEX NAME)



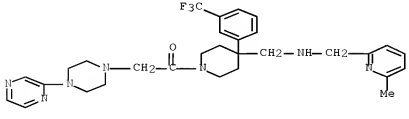
● 4 HCl

RN 852936-45-9 CAPLUS
CN Ethanone, 1-[4-[[methyl(2-pyrazinylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, hydrochloride (1:4) (CA INDEX NAME)

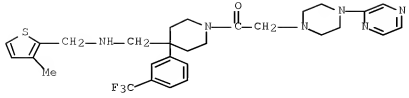


● 4 HCl

RN 852936-46-0 CAPLUS
CN Ethanone, 1-[4-[[[(6-methyl-2-pyridinyl)methyl]amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)

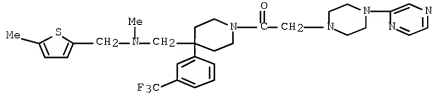


RN 852936-47-1 CAPLUS
CN Ethanone, 1-[4-[[[(3-methyl-2-thienyl)methyl]amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, hydrochloride (1:3) (CA INDEX NAME)



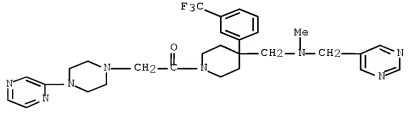
● 3 HCl

RN 852936-48-2 CAPLUS
CN Ethanone, 1-[4-[[methyl[(5-methyl-2-thienyl)methyl]amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

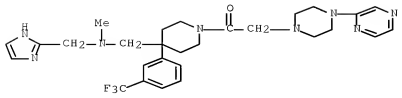


● HCl

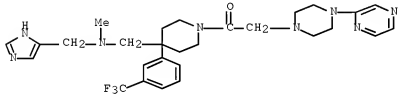
RN 852936-49-3 CAPLUS
CN Ethanone, 1-[4-[[methyl(5-pyrimidinylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



RN 852936-50-6 CAPLUS
CN Ethanone, 1-[4-[[(1H-imidazol-2-ylmethyl)methylamino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)

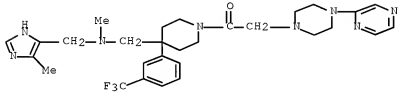


RN 852936-51-7 CAPLUS
CN Ethanone, 1-[4-[[(1H-imidazol-5-ylmethyl)methylamino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, hydrochloride (1:4) (CA INDEX NAME)



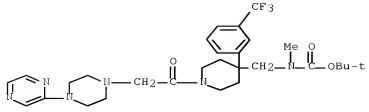
●4 HCl

RN 852936-52-8 CAPLUS
CN Ethanone, 1-[4-[[methyl[(4-methyl-1H-imidazol-5-yl)methyl]amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



IT 852936-54-9P, tert-Butyl [[1-[2-[4-(2-pyrazinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]carbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 4-[(arylmethyl)aminomethyl]piperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

RN 852936-54-0 CAPLUS
CN Carbamic acid, methyl[[1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



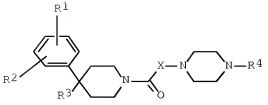
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:470968 CAPLUS Full-text
DOCUMENT NUMBER: 143:26635
TITLE: Preparation of (4-Phenylpiperazin-1-yl)acylpiperidine derivatives as inhibitors of NGF binding (nerve growth factor) to p75NTR (p75 neurotrophic) receptor for treating p75NTR related diseases
INVENTOR(S): Dos Santos, Victor; Wagnon, Jean
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
SOURCE: Fr. Demande, 49 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

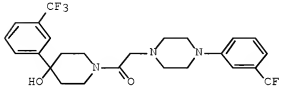
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2862967	A1	20050603	FR 2003-14173	20031201
FR 2862967	B1	20060804		
WO 2005054227	A1	20050616	WO 2004-FR3067	20041130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1699778	A1	20060913	EP 2004-805591	20041130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS				
JP 2007512385	T	20070517	JP 2006-541975	20041130
US 20070021609	A1	20070125	US 2006-420508	20060526

PRIORITY APPLN. INFO.: FR 2003-14173 A 20031201
WO 2004-FR3067 W 20041130

OTHER SOURCE(S): MARPAT 143:26635
GI



I



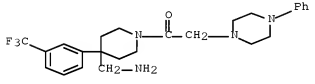
II

AB Title compds. I [wherein n = 1-2; R1 = halo, CF3, alkyl, alkoxy, OCF3; R2 = H, halo; R3 = H, OH and derivs., NH2 and derivs., etc.; R4 = (un)substituted Ph; their free bases, or acid addition salts, and their hydrates or solvates] were prepared as inhibitors of the binding of 125I NGF to p75NTR (p75 neurotrophic) receptor and of the apoptosis induced by NGF (nerve growth factor) for treating p75NTR related diseases (no data). For example, II•HCl was prepared by reacting 2-chloro-1-[4-hydroxy-4-[3- (trifluoromethyl)phenyl]-1-piperidinyl]-1-ethanone (preparation given) with 1-[3-(trifluoromethyl)phenyl]piperazine in the presence of KI/K2CO3/MeCN. I inhibited the binding of 125I NGF to p75NTR receptor with IC50 in the range of 10-11 M to 10-6 M at the biochem. level. I inhibited the pro-apoptic effect induced by NGF, via growing cells expressing preferentially p75NTR, with IC50 in the range of 10-11 M to 10-6 M at the cellular level.

IT 852937-04-3P, [[1-[(4-Phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine trihydrochloride 852937-05-4P, (2-Furylmethyl)[[1-[(4-phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine 852937-06-5P, [[1-[(4-Phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl][(2-thienyl)methyl]amine 852937-09-8P 852937-11-2P, [[1-[(4-Phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl][(pyridin-3-yl)methyl]amine dioxalate 852937-13-4P 852937-14-5P, N-Methyl-1-[1-[(4-phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methanamine dihydrochloride 852937-15-6P, N,N-Dimethyl-1-[1-[(4-phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methanamine 852937-16-7P, N-Methyl-N-[[1-[(4-phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]ethanamine dihydrochloride 852937-17-8P, [[1-[[4-(4-Fluorophenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine trihydrochloride 852937-18-9P, [[1-[[4-(3-Methoxyphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine dihydrochloride 852937-19-0P, [[1-[[4-(3,4-Dichlorophenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine 852937-20-3P, [[1-[[4-(2,4-Dimethylphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]methylamine dihydrochloride 852937-21-4P, [[1-[[4-(2,4-Dimethylphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperid

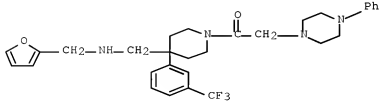
in-4-yl]methyl]dimethylamine dihydrochloride 852937-22-5P, [[1-[[4-(3,4-Dimethoxyphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine trihydrochloride 852937-23-6P, [[1-[[4-(3,4-Dimethoxyphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]dimethylamine trihydrochloride 852937-24-7P, N-Ethyl-N-[[1-[[4-(3-methoxyphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]ethanamine dihydrochloride 852937-26-9P, [[1-[[4-(3,4-Dimethoxyphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]methylamine 852937-39-4P, [[1-[[4-(3,4-Dimethoxyphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl][(2-furyl)methyl]methylamine 852937-40-7P, 9-(3-Furylmethyl)[[1-[(4-phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine 852937-41-8P, [[1-[[4-(2,3-Dimethylphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine 852937-47-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of phenylpiperazinylacylpiperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

RN 852937-04-3 CAPLUS
CN Ethanone, 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-(4-phenyl-1-piperazinyl)-, hydrochloride (1:3) (CA INDEX NAME)



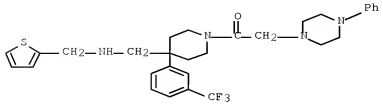
●3 HCl

RN 852937-05-4 CAPLUS
CN Ethanone, 1-[4-[[(2-furanylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-(4-phenyl-1-piperazinyl)- (CA INDEX NAME)



RN 852937-06-5 CAPLUS
CN Ethanone, 2-(4-phenyl-1-piperazinyl)-1-[4-[[(2-thienylmethyl)amino]methyl]-

4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)



RN 852937-09-8 CAPLUS
CN Ethanone, 2-(4-phenyl-1-piperazinyl)-1-[4-[[(2-pyridinylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-, ethanedioate (1:1) (CA INDEX NAME)

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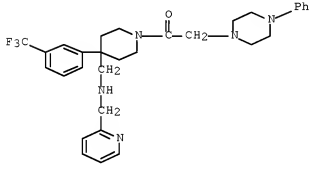
CRN 852937-08-7
CMF C31 H36 F3 N5 O



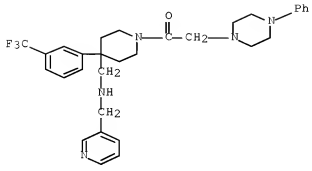
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 852937-11-2 CAPLUS
CN Ethanone, 2-(4-phenyl-1-piperazinyl)-1-[4-[[(3-pyridinylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-, ethanedioate (1:2) (CA INDEX NAME)

CM 1

CRN 852937-10-1
CMF C31 H36 F3 N5 O



CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 852937-13-4 CAPLUS
CN Ethanone, 2-(4-phenyl-1-piperazinyl)-1-[4-[[(4-pyridinylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-, ethanedioate (1:1) (CA INDEX NAME)

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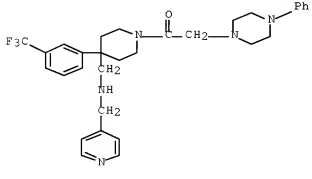
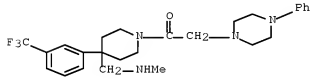
CRN 852937-12-3
CMF C31 H36 F3 N5 O



CM 2

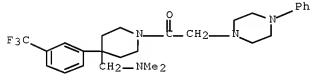
CRN 144-62-7
CMF C2 H2 O4

RN 852937-14-5 CAPLUS
CN Ethanone, 1-[4-[(methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-(4-phenyl-1-piperazinyl)-, hydrochloride (1:2) (CA INDEX NAME)

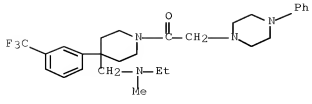


●2 HCl

RN 852937-15-6 CAPLUS
CN Ethanone, 1-[4-[(dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-(4-phenyl-1-piperazinyl)- (CA INDEX NAME)

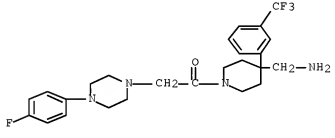


RN 852937-16-7 CAPLUS
CN Ethanone, 1-[4-[(ethylmethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-(4-phenyl-1-piperazinyl)-, hydrochloride (1:2) (CA INDEX NAME)



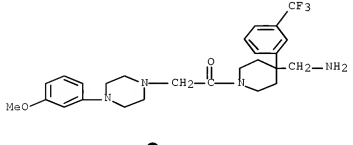
●2 HCl

RN 852937-17-8 CAPLUS
CN Ethanone, 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(4-fluorophenyl)-1-piperazinyl]-, hydrochloride (1:3) (CA INDEX NAME)



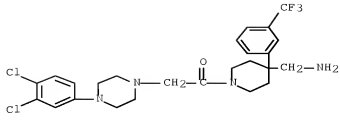
●3 HCl

RN 852937-18-9 CAPLUS
CN Ethanone, 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(3-methoxyphenyl)-1-piperazinyl]-, hydrochloride (1:2) (CA INDEX NAME)

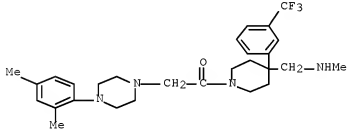


●2 HCl

RN 852937-19-0 CAPLUS
CN Ethanone, 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(3,4-dichlorophenyl)-1-piperazinyl]- (CA INDEX NAME)

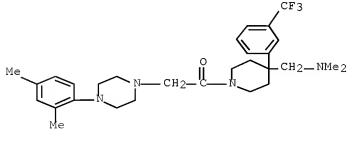


RN 852937-20-3 CAPLUS
CN Ethanone, 2-[4-(2,4-dimethylphenyl)-1-piperazinyl]-1-[4-[(methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

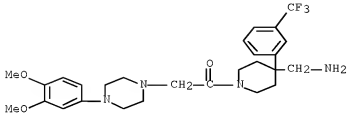
RN 852937-21-4 CAPLUS
CN Ethanone, 1-[4-[(dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2,4-dimethylphenyl)-1-piperazinyl]-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

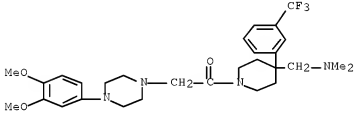
RN 852937-22-5 CAPLUS

CN Ethanone, 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(3,4-dimethoxyphenyl)-1-piperazinyl]-, hydrochloride (1:3) (CA INDEX NAME)



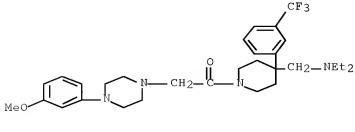
●3 HCl

RN 852937-23-6 CAPLUS
CN Ethanone, 2-[4-(3,4-dimethoxyphenyl)-1-piperazinyl]-1-[4-[(dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-, hydrochloride (1:3) (CA INDEX NAME)



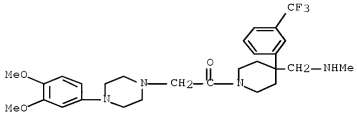
●3 HCl

RN 852937-24-7 CAPLUS
CN Ethanone, 1-[4-[(diethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(3-methoxyphenyl)-1-piperazinyl]-, hydrochloride (1:2) (CA INDEX NAME)

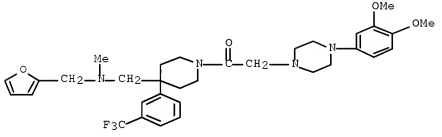


●2 HCl

RN 852937-26-9 CAPLUS
CN Ethanone, 2-[4-(3,4-dimethoxyphenyl)-1-piperazinyl]-1-[4-[(methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

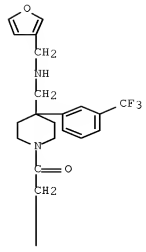


RN 852937-39-4 CAPLUS
CN Ethanone, 2-[4-(3,4-dimethoxyphenyl)-1-piperazinyl]-1-[4-[[(2-furanylmethyl)methylamino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)



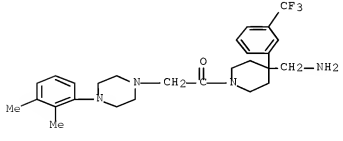
RN 852937-40-7 CAPLUS
CN Ethanone, 1-[4-[[(3-furanylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-(4-phenyl-1-piperazinyl)- (CA INDEX NAME)

PAGE 1-A

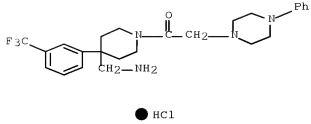


PAGE 2-A

RN 852937-41-8 CAPLUS
CN Ethanone, 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2,3-dimethylphenyl)-1-piperazinyl]- (CA INDEX NAME)

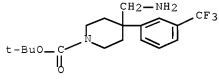


RN 852937-47-4 CAPLUS
CN Ethanone, 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-(4-phenyl-1-piperazinyl)-, hydrochloride (1:1) (CA INDEX NAME)

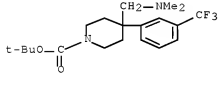


IT 852937-43-0P, tert-Butyl 4-(Aminomethyl)-4-[3-(trifluoromethyl)phenyl]piperidine-1-carboxylate 852937-44-1P, tert-Butyl 4-[(Dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]piperidine-1-carboxylate 852937-48-5P, tert-Butyl [[1-[2-(4-phenylpiperazin-1-yl)ethanoyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]carbamate 852937-49-6P, tert-Butyl methyl[[1-[2-(4-phenylpiperazin-1-yl)ethanoyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]carbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of phenylpiperazinylacylpiperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

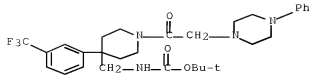
RN 852937-43-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



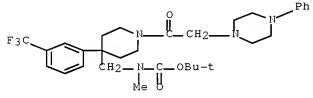
RN 852937-44-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 852937-48-5 CAPLUS
CN Carbamic acid, [[1-[(4-phenyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 852937-49-6 CAPLUS
CN Carbamic acid, methyl[[1-[(4-phenyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:220128 CAPLUS Full-text
DOCUMENT NUMBER: 142:298111
TITLE: Preparation of 2-substituted benzimidazole piperidines as selective melanin concentrating hormone receptor antagonists for the treatment of obesity and related disorders
INVENTOR(S): Burnett, Duane A.; Wu, Wen-Lian; Sasikumar, Thavalakulamgara K.; Greenlee, William J.; Caplen, Mary Ann; Guo, Tao; Hunter, Rachael Catherine
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 57 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050054628	A1	20050310	US 2004-926557	20040826
CA 2536929	A1	20050317	CA 2004-2536929	20040826
WO 2005023798	A1	20050317	WO 2004-US27734	20040826

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,

EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG

EP 1664022	A1	20060607	EP 2004-782252	20040826
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1845916	A	20061011	CN 2004-80024937	20040826
JP 2007504146	T	20070301	JP 2006-524846	20040826
MX 2006PA02372	A	20060620	MX 2006-PA2372	20060228
PRIORITY APPLN. INFO.:			US 2003-498876P P	20030829
			WO 2004-US27734 W	20040826

OTHER SOURCE(S): CASREACT 142:298111; MARPAT 142:298111

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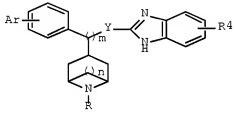

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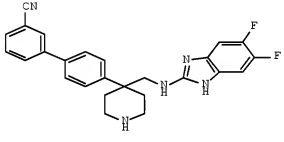


II

AB Title compds. I [Y = bond, divalent alkyl, etc.; M = 0-1; n = 0, 2, 3; Ar = (hetero)aryl, R1 = H, alkyl, cycloalkyl, etc.; R4 = OH, alkoxy, etc.] are prepared For instance, II is prepared in 9 steps from 4-aminomethyl-1-benzyl-4-phenylpiperidine, 4,5-difluorobenzene-1,2-diamine and 3-cyanobenzeneboronic acid. In a selected example, a Ki of 3 nM for the melanin concentrating hormone (MCH) receptor is observed I are useful in treating obesity, metabolic disorders, eating disorders, e.g., hyperphagia and diabetes.

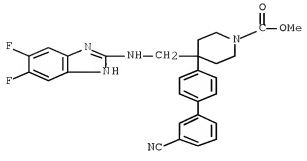
IT 847614-74-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

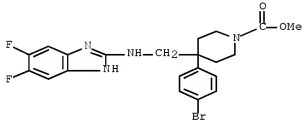
(preparation of 2-substituted benzimidazole piperidines as selective melanin concentrating hormone receptor antagonists for treatment of obesity and related disorders)

RN 847614-74-8 CAPLUS

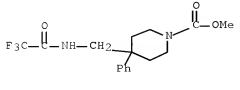
CN 1-Piperidinecarboxylic acid, 4-(3'-cyano[1,1'-biphenyl]-4-yl)-4-[[(5,6-difluoro-1H-benzimidazol-2-yl)amino]methyl]-, methyl ester (CA INDEX NAME)



IT 847615-45-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 2-substituted benzimidazole piperidines as selective melanin concentrating hormone receptor antagonists for treatment of obesity and related disorders)
RN 847615-45-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-bromophenyl)-4-[[(5,6-difluoro-1H-benzimidazol-2-yl)amino]methyl]-, methyl ester (CA INDEX NAME)

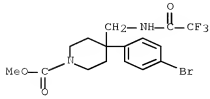


IT 847614-99-7P 847615-00-3P 847615-01-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-substituted benzimidazole piperidines as selective melanin concentrating hormone receptor antagonists for treatment of obesity and related disorders)
RN 847614-99-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-phenyl-4-[[(2,2,2-trifluoroacetyl)amino]methyl]-, methyl ester (CA INDEX NAME)

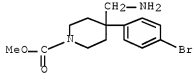


RN 847615-00-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-bromophenyl)-4-[[(2,2,2-

trifluoroacetyl)amino]methyl]-, methyl ester (CA INDEX NAME)



RN 847615-01-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(4-bromophenyl)-, methyl ester (CA INDEX NAME)



L3 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:872662 CAPLUS Full-text
DOCUMENT NUMBER: 141:366128
TITLE: Preparation of cycloalkylcarbonyl or heterocycloalkylcarbonyl-substituted spiropiperidines as melanocortin-4 receptor agonists for the treatment of conditions such as obesity
INVENTOR(S): Guo, Liangqin; He, Shuwen; Jian, Tianying; Lai, Yingjie; Liu, Jian; Nargund, Ravi P.; Sebhat, Iyassu K.; Ujjainwalla, Feroze; Ye, Zhixiong; Young, Jonathan R.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 200 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089307	A2	20041021	WO 2004-US9751	20040331
WO 2004089307	A3	20050331		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,

BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU	2004227835	A1	20041021	AU 2004-227835	20040331
AU	2004227835	B2	20070614		
CA	2520114	A1	20041021	CA 2004-2520114	20040331
EP	1613601	A2	20060111	EP 2004-749540	20040331
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
BR	2004009078	A	20060418	BR 2004-9078	20040331
CN	1768041	A	20060503	CN 2004-80009148	20040331
JP	2006522132	T	20060928	JP 2006-509489	20040331
JP	3856815	B2	20061213		
CN	101108825	A	20080123	CN 2007-10141003	20040331
US	20060183904	A1	20060817	US 2005-548350	20050907
US	7329673	B2	20080212		
ZA	2005007638	A	20060830	ZA 2005-7638	20050921
IN	2005DN04299	A	20070831	IN 2005-DN4299	20050922
MX	2005PA10724	A	20051215	MX 2005-PA10724	20051004
NO	2005005166	A	20051230	NO 2005-5166	20051103
PRIORITY APPLN. INFO.:				US 2003-460293P	P 20030404
				CN 2004-80009148	A3 20040331
				WO 2004-US9751	W 20040331

OTHER SOURCE(S): MARPAT 141:366128
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

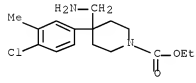
AB Title compds. I or II [X,Y = R62C, R9N, C(:O); Y,X = R62C, R6N, C(:O), R6N:C, O, S, S(:O), SO2; XY = CR6:CR6; Z = R1C, N; A = (CH2)m; E = (CH2)p; R1 = H, amidino, (un)substituted aminoalkyl, iminoylalkyl, alkyl, cycloalkylalkyl, phenylalkyl, naphthylalkyl, or heteroarylalkyl; R2 = (un)substituted Ph, naphthyl, heteroaryl; R4 = H, (un)substituted alkyl, halogen, alkoxy, O2N, F3C, F3CCH2, F3CO, F3CCH2O; R6, R9 = H, (un)substituted alkyl, phenylalkyl, naphthylalkyl, heteroarylalkyl, cycloalkylalkyl, heterocycloalkylalkyl, aminoalkyl, carboxyalkyl, etc.; m , p = 1, 2; n = 0-3] such as III•HCl are prepared as melanocortin-4 receptor agonists for the treatment of obesity and related conditions such as diabetes, bulimia, insulin resistance, and hyperlipidemia; a variety of other conditions, particularly male and female sexual dysfunction and erectile dysfunction, are also potentially treatable with the title compds. Oxoindanospiropiperidinecarboxylate IV is reduced with sodium borohydride and the alc. eliminated in the presence of p-toluenesulfonic acid to give the indenespiropiperidinecarboxylate; Jacobsen epoxidn. of the indene double bond, opening of the epoxide with sodium azide, aziridine formation using a fluorous phosphine, N-methylation of the aziridine, regioselective reduction of the aziridine with sodium borohydride to yield the aminoindanospiropiperidinecarboxylate, acylation with 2-acetoxyisobutyryl chloride, hydrolysis of the ester with sodium methoxide and methylation of the alc. with Me iodide, deprotection of the piperidine nitrogen, and acylation with nonracemic trans-4-(2,4- difluorophenyl)-1-tert-butyl-3-pyrrolidinecarboxylic acid yields III. Some of the title compds. bind to the melanocortin-4 receptor with IC50 values of <10 μM and <5 μM (no data).

IT 778627-62-6P 778627-63-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of cycloalkylcarbonyl or

heterocycloalkylcarbonyl-
substituted spiropiperidines as melanocortin-4 receptor agonists for the treatment of conditions such as obesity and male or female sexual dysfunction)

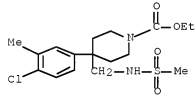
RN 778627-62-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(4-chloro-3-methylphenyl)-, ethyl ester (CA INDEX NAME)



RN 778627-63-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-chloro-3-methylphenyl)-4-[[(methylsulfonyl)amino]methyl]-, ethyl ester (CA INDEX NAME)



L3 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:550937 CAPLUS Full-text

DOCUMENT NUMBER: 141:106379

TITLE: A preparation of (piperidinylmethyl)amine derivatives, useful as NK1 antagonists and selective serotonin reuptake inhibitors (SSRI)

INVENTOR(S): Bernstein, Peter; Warwick, Paul

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056771	A1	20040708	WO 2003-SE2004	20031218

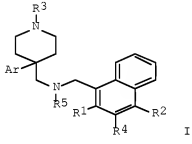
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

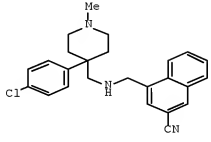
AU 2003291589	A1	20040714	AU 2003-291589		20031218
EP 1581495	A1	20051005	EP 2003-768468		20031218
EP 1581495	B1	20070418			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2006512363	T	20060413	JP 2004-562205		20031218
AT 360001	T	20070515	AT 2003-768468		20031218
ES 2286470	T3	20071201	ES 2003-768468		20031218
US 20060058352	A1	20060316	US 2005-539140		20050616
PRIORITY APPLN. INFO.:			US 2002-435130P	P	20021220
			WO 2003-SE2004	W	20031218

OTHER SOURCE(S): MARPAT 141:106379

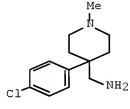
GI



I



II



III

AB The invention relates to a preparation of piperidinylamine derivs. of formula I [wherein: R1 and R2 are independently selected from H, CN, CF3, OCF3, halogen, or alk(en/yn)yl, etc.; R3 is H or alkyl; R4 is H, CN, alkyl, or alkoxy; R5 is H or alkyl; Ar is (un)substituted Ph], useful as NK1 antagonists and selective serotonin reuptake inhibitors (SSRI). The prepared invention compds. were screened in SERT binding assay (2nM < Ki < 180nM) and NK1 FLIPR assay (70nM < IC50 < 2μM). For instance, piperidine derivative II was prepared via amination of 1-iodomethyl-3- cyanonaphthalene by piperidine derivative III with a yield of 51% (example 1).

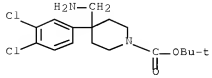
IT 669068-09-1P 669068-74-0P 719276-18-3P 719276-23-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

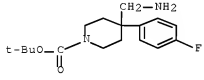
(intermediate; preparation of piperidinylamine derivs. with NK1 antagonist activity and SSRI activity)

RN 669068-09-1 CAPLUS

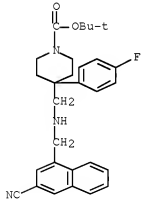
CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(3,4-dichlorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



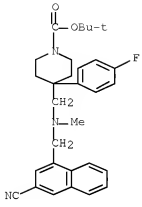
RN 669068-74-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



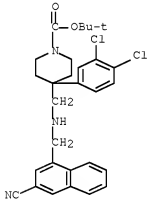
RN 719276-18-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[(3-cyano-1-naphthalenyl)methyl]amino]methyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



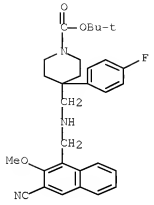
RN 719276-23-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[(3-cyano-1-naphthalenyl)methyl]methylamino]methyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



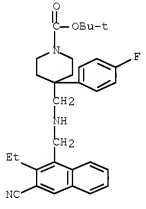
IT 719276-01-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of piperidinylamine derivs. with NK1 antagonist activity and SSRI activity)
RN 719276-01-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[(3-cyano-1-naphthalenyl)methyl]amino]methyl]-4-(3,4-dichlorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 719276-25-2 719276-27-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of piperidinylamine derivs. with NK1 antagonist activity and SSRI activity)
RN 719276-25-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[(3-cyano-2-methoxy-1-naphthalenyl)methyl]amino]methyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 719276-27-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[(3-cyano-2-ethyl-1-naphthalenyl)methyl]amino]methyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



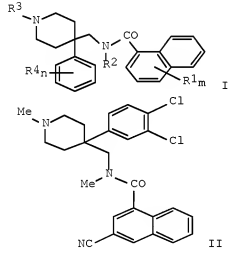
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:203811 CAPLUS Full-text
DOCUMENT NUMBER: 140:253448
TITLE: Preparation of N-piperidinylmethyl naphthamide derivatives as NK1 receptor antagonists and serotonin reuptake inhibitors and their therapeutic uses
INVENTOR(S): Bernstein, Peter; Dantzman, Cathy; Dedinas, Robert; Shen, Lihong; Warwick, Paul
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004020411	A1	20040311	WO 2003-SE1329	20030826
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003253558	A1	20040319	AU 2003-253558	20030826
EP 1549615	A1	20050706	EP 2003-791529	20030826
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006502239	T	20060119	JP 2004-569744	20030826
US 20060241142	A1	20061026	US 2005-525303	20051104
PRIORITY APPLN. INFO.:			SE 2002-2567	A 20020829
			SE 2002-2986	A 20021009
			WO 2003-SE1329	W 20030826

OTHER SOURCE(S): MARPAT 140:253448

GI



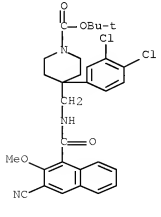
AB N-piperidinylmethyl naphthamide derivs. (shown as I; variables defined below; e.g. II as monocitrate hemihydrate), in vivo-hydrolyzable precursors thereof, pharmaceutically-acceptable salts thereof, the use in therapy and pharmaceutical compns. and methods of treatment using the same are disclosed. For I: R1 = CN, CF3, OCF3, OCHF2, halogen, C2-4alkenyl, C2-4alkynyl, Ra, Rb, SRa, NRaRb, CH2NRaRb, ORa or CH2ORa, where Ra and Rb = H, C1-6-alkyl, C(O)Rc, C(O)NHRc or CO2Rc, where Rc = C1-6alkyl; or, Ra and Rb together are (CH2)jG(CH2)k or G(CH2)jG, where G is O or S, j = 1-4, and k = 0-2; m = 1-3 where at least one R1 moiety is other than H; R2 and R3 = H, C1-6alkyl or C1-

6alkyl substituted with C1-4alkoxy; R4 = H, CN, CF3,OCF3, OCHF2, halogen, C1-4alkyl, C2-4alkenyl, C2-4alkynyl, SRa, NRaRb, CH2NRaRb, ORa or CH2ORa, where Ra and Rb = H, C1-6alkyl, C(O)Rc, C(O)NHRc or CO2Rc where Rc = C1-6alkyl; or, Ra and Rb together are (CH2)jG(CH2)k or G(CH2)jG, and n is 0-3. Although the methods of preparation are not claimed, .apprx.80 example prepns. are included. For example, II was prepared from 3-cyano-1-naphthoyl chloride and 1-methyl-4-(3,4-dichlorophenyl)-4-(N- methylaminomethyl)piperidine; the 2nd reactant was prepared in 4 steps starting with cyclization of 3,4-dichlorophenylacetonitrile with N-methylbis(2-chloroethyl)amine hydrochloride to give 1-methyl-4-(3,4- dichlorophenyl)-4-cyanopiperidine, which was hydrogenated to 1-methyl-4-aminomethyl-4-(3,4-dichlorophenyl)piperidine, which was ethoxycarbonylated to 1-methyl-4-(3,4-dichlorophenyl)-4-(ethoxycarbonylaminomethyl)piperidine, which was reduced with LiAlH4 to 1-methyl-4-(3,4-dichlorophenyl)-4-(N-methylaminomethyl)piperidine. Compds. I exhibit a Ki of 1-100 nM in the SERT assay and have an IC50 = 1-100 nM in the NK1 FLIPR assay.

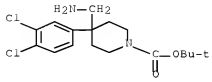
IT 669068-08-0P, 1-Boc-4-(3,4-dichlorophenyl)-4-[[[(3-cyano-2-methoxynaphth-1-yl)carbonyl]amino]methyl]piperidine 669068-09-1P, 1-Boc-4-aminomethyl-4-(3,4-dichlorophenyl)piperidine 669068-15-9P, 1-Boc-4-(4-chlorophenyl)-4-[[[(3-cyano-2-methoxynaphth-1-yl)carbonyl]amino]methyl]piperidine 669068-16-0P, 1-Boc-4-aminomethyl-4-(4-chlorophenyl)piperidine 669068-23-9P, 1-Boc-4-(3,4-dichlorophenyl)-4-[[[(3-cyano-2,4-dimethoxynaphth-1-yl)carbonyl]amino]methyl]piperidine 669068-27-3P, 1-Boc-4-(3,4-dichlorophenyl)-4-[[[(3-cyano-2-ethylnaphth-1-yl)carbonyl]amino]methyl]piperidine 669068-73-9P, 1-Boc-4-(4-fluorophenyl)-4-[[[(3-cyanonaphth-1-yl)carbonyl]amino]methyl]piperidine 669068-74-0P, 1-Boc-4-aminomethyl-4-(4-fluorophenyl)piperidine 669068-77-3P, 1-Boc-4-(4-fluorophenyl)-4-[[[(3-cyanonaphth-1-yl)carbonyl](methyl)amino]methyl]piperidine 669068-82-0P, 1-Boc-4-(4-fluorophenyl)-4-[[[(3-cyano-2-ethylnaphth-1-yl)carbonyl]amino]methyl]piperidine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-piperidinylmethyl naphthamide derivs. as NK1 receptor antagonists and serotonin reuptake inhibitors and their therapeutic uses)

RN 669068-08-0 CAPLUS

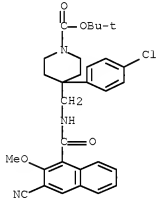
CN 1-Piperidinecarboxylic acid, 4-[[[(3-cyano-2-methoxy-1-naphthalenyl)carbonyl]amino]methyl]-4-(3,4-dichlorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



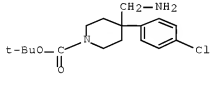
RN 669068-09-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(3,4-dichlorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



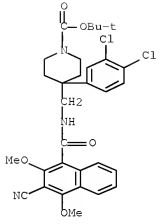
RN 669068-15-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-chlorophenyl)-4-[[[(3-cyano-2-methoxy-1-naphthalenyl)carbonyl]amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



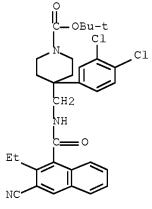
RN 669068-16-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(4-chlorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



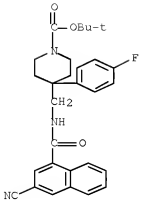
RN 669068-23-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[(3-cyano-2,4-dimethoxy-1-naphthalenyl)carbonyl]amino]methyl]-4-(3,4-dichlorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



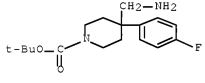
RN 669068-27-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[(3-cyano-2-ethyl-1-naphthalenyl)carbonyl]amino]methyl]-4-(3,4-dichlorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



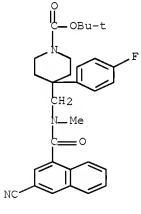
RN 669068-73-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[(3-cyano-1-naphthalenyl)carbonyl]amino]methyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



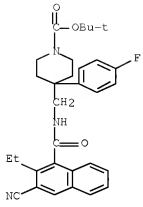
RN 669068-74-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 669068-77-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[(3-cyano-1-naphthalenyl)carbonyl]methylamino]methyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 669068-82-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[(3-cyano-2-ethyl-1-naphthalenyl)carbonyl]amino]methyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1951:38847 CAPLUS
DOCUMENT NUMBER: 45:38847
ORIGINAL REFERENCE NO.: 45:6664c-g
TITLE: 4-Aryl-4-aminomethylpiperidines
INVENTOR(S): Kwartler, Charles E.; Lucas, Philip
PATENT ASSIGNEE(S): Sterling Drug Inc.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2538107		19510116	US 1946-687216	19460730

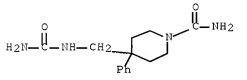
AB N-Substituted 4-aryl-4-(aminomethyl)piperidines possess value as analgesics, antispasmodics, and sedatives. 4-Cyano-4-phenylpiperidine 55 g. in 400 ml. 15% NH3 in MeOH with 500 lb. H and 20 g. Raney Ni 14 hrs. gave, on vacuum distillation of the filtrate, 47 g. 4-phenyl-4- (aminomethyl)piperidine (I), b4 154° (di-HCl salt, m. 252-4°), also obtained by hydrogenolysis of the 1-benzyl derivative (II) of I over Pd sponge. From II 30 g. and H2NCONHNO2 14.4 g. in 450 cc. H2O at 90° was obtained on filtration 19 g. 1-benzyl-4-phenyl-4-ureidomethylpiperidine, m. 172-3° (from aqueous Me2CO), converted by hydrogenolysis to 4-phenyl-4-(ureidomethyl)piperidine (III), m. 186-7° (from H2O). Similarly 7.3 g. 1-Me derivative of I, b12.5 170-2° (di-HCl salt, m. 287-8°), gave 7 g. 1-Me derivative of III, m. 200-1°, and 11.2 g. I gave 1-carbamyl derivative of III, 11 g., m. 205-6°. II 14 and MeSC(:NH)NH2.H2SO4 7 g. in 50 ml. H2O 15 hrs. at room temperature, then 1 hr. at 100°, gave PhCH2N(C2H4)2CPhCH2NHrC(:NH)NH2.0.5H2SO4, m. 122-5° (from H2O); drying at 100° converted it to a vitreous solid, m. about 150°, which analyzed satisfactorily for the above formula. From I 2.8 g. was obtained 3.5 g. H2NC(:NH)-N(C2H4)2CPhCH2NHC(:NH)NH2.H2SO4, m. 363-5° (decomposition). Reaction of the aminomethyl compds. with alkyl chloroformates gave the following 4-phenylpiperidines: 1,4-Me(EtOCONHCH2), m. 86-8° 1,4-PhCH2(EtOCONH CH2) HCl salt, m. 233-5°; 1,4-PhCH2(MeOCONHCH2) HCl salt, m. 211° (decomposition); 1,4-PhCH2(PrOCONHCH2) HCl salt, m. 211-3° (decomposition); 1,4-PhCH2(BuOCONHCH2) HCl salt, m. 208-9° (pH 5.5 for 1% solution); 1,4-PhCH2(iso-BuOCONHCH2) HCl salt, 227° (pH 6); 1,4-PhCH2(AmOCONHCH2) HCl salt, 205-6° (pH 5.7 for 0.5%

solution); and 1,4-PhCH2(C6H13OCONHCH2) HCl salt, m. 193-4°. The pH of a 1% aqueous solution of PhCH2N(C2H4)2-CPhCH2NHAc.HCl, m. 271-3°, was 5.8. Cf. C.A. 45, 669g.

IT 873396-12-4P, 1-Piperidinecarboxamide, 4-phenyl-4-ureidomethyl-
RL: PREP (Preparation)
(preparation of)

RN 873396-12-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[(aminocarbonyl)amino]methyl]-4-phenyl- (CA INDEX NAME)



L3 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1951:3762 CAPLUS

DOCUMENT NUMBER: 45:3762

ORIGINAL REFERENCE NO.: 45:669g-i

TITLE: 4-Aryl-4-aminomethylpiperidines

PATENT ASSIGNEE(S): Sterling Drug Inc.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

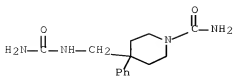
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 640168		19500712	GB	

AB 4-Cyano-4-phenylpiperidine and H (Ni) form the 4-aminomethyl compound (I), b4 154° (di-HCl salt, m. 252-4°). The 1-Me derivative (II) of I, b12.5 170-2° (di-HCl salt, m. 287-8°), is prepared similarly. II and H2NCONHNO2 (III) form 1-methyl-4-phenyl-4- (ureidomethyl)piperidine (IV), m. 200-1°. I and III form the 1-H2NCO analog of IV, m. 205°. 1-PhCH2 analog (V) of IV, m. 172-3°. V and H (Pd) form 4-phenyl-4-(ureidomethyl)piperidine, m. 186-7°. Acylation of II with EtO2CCl forms the N-EtO2C derivative, m. 86-8°. 1-Benzyl-4-phenyl-4-(aminomethyl)piperidine and chloroformates or acyl chlorides form the HCl salts of the following N-carbalkoxy and acyl derivs. (N-substituent, m.p., and pH of solution given): MeO2C, 211°; EtO2C, 233-5°; PrO2C, 221-3°; BuO2C, 208-9°, 5.5 in 1% solution; iso-BuO2C, 227°, 6 in 1% solution; AmO2C, 205-6°, 5.7 in 0.5% solution; C6H11O2C, 193-4°; Ac, 271-3°, 5.8 in 1% solution

IT 873396-12-4P, 1-Piperidinecarboxamide, 4-phenyl-4-ureidomethyl-
RL: PREP (Preparation)
(preparation of)

RN 873396-12-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[(aminocarbonyl)amino]methyl]-4-phenyl- (CA INDEX NAME)



L3 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1948:5791 CAPLUS Full-text
DOCUMENT NUMBER: 42:5791
ORIGINAL REFERENCE NO.: 42:1270f-i,1271a-d
TITLE: Preparation of substituted 4-(aminomethyl)piperidines and their straight chain analogs
AUTHOR(S): Kwartler, Charles E.; Lucas, Philip
CORPORATE SOURCE: Sterling-Winthrop Research Inst., Rensselaer, NY
SOURCE: Journal of the American Chemical Society (1947), 69, 2582-6
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

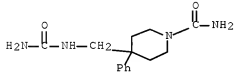
AB The following were prepared according to Eisleb (U.S. 2,167,351, C.A. 33, 8923.1): Et γ-dimethylamino-α-phenylbutyrate, b2 108° (HCl salt, m. 115-17°); γ-diethylamino analog, b3 132-3° (HCl salt, m. 89-90°). 1-Methyl-4-cyano-4-phenylpiperidine (36 g.) in 400 cc. 15% MeOH-NH3, hydrogenated 20 hrs. over 10 g. Raney Ni at room temperature/500 lb., gives 66.7% 1-methyl-4-(aminomethyl)-4-phenylpiperidine (I), b12.5 170-2° (HCl salt, m. 287-8°); 1-benzyl analog (II), b0.5 201-2° (HCl salt, m. 229-31°). 4-Cyano-4-phenylpiperidine (b2 145-6°; picrate, m. 205-6°) (55 g.) in 500 cc. 10% MeOH-NH3, hydrogenated 14 hrs. over 20 g. Raney Ni at room temperature/500 lb., gives 47 g. 4-(aminomethyl)-4-phenylpiperidine (III), b4 154° (HCl salt, m. 252-4°); III results also (83.2% yield) by hydrogenating 31 g. II in 78 cc. EtOH and 6 cc. AcOH over 0.5 g. Pd at 55°/40 lb. 4-Carbethoxy-4-phenylpiperidine b3 154-5° (HCl salt, m. 112-13°). II (30 g.) and 14.4 g. nitrourea in 450 cc. H2O, heated at 90° until gas evolution ceases, give 55% 1-benzyl-4-ureidomethyl- 4-phenylpiperidine (IV), m. 172-4°; 1-Me analog m. 200-1°. III (11.2 g.) and 14 g. nitrourea in 140 cc. H2O, heated 30 min. at 70°, give 80% 1-carbamyl-4-ureidomethyl-4-phenylpiperidine (V), m. 205-6° (decomposition). Hydrogenation of IV in EtOH, AcOH, and H2O over PdCl2-C at 50-60°/45 lb. gives 4 g. 4-ureidomethyl-4- phenylpiperidine, m. 186-7°; with nitrourea this yields V. 1-Carbamyl-4-carbethoxy-4-phenylpiperidine, m. 119-20°. 1-Diethylamino-3-phenyl-4-ureidobutane m. 83-4°. II (14 g.), 7 g. methylisothiourea sulfate, and 50 ml. H2O, stirred 15 hrs. at room temperature and heated 1 hr. on the steam bath, give 30-2% 1-benzyl-4- (guanidinomethyl)-4-phenylpiperidine sulfate, m. 150°; III gives 47% of the 1-guanyl analog (VI), m. 363-5° (decomposition); 1-guanyl-4-carbethoxy-4-phenylpiperidine sulfate (VII), m. 276-7° (decomposition). I (8.16 g.) and 8.3 g. anhydrous K2CO3 in 75 ml. dioxane, treated dropwise with 4.34 g. ClCO2Et in ether and refluxed 90 min., give 45.3% 1-methyl-4-(carbethoxyaminomethyl)-4-phenylpiperidine, m. 86-8°. 2-Phenyl-4-(diethylaminobutyl)guanidine-HI, with 1 mol. H2O, m. 91-3°; p-chlorophenyl analog m. 93-5°; 3,4-dichlorophenyl analog, with 1 mol. H2O, m. 122-3°. II (22.4 g.) in 100 ml. C5H5N, treated dropwise with 8.68 g. ClCO2Et in ether, kept 16 hrs. at room temperature, and heated 1 hr. at 60°, gives 71% 1-benzyl-4-(carbethoxyaminomethyl)-4-phenylpiperidine-HCl (VIII), m. 232-3° (decomposition); Me ester m. 210.6-11.2° (decomposition); Pr ester m. 219-27° (decomposition); Bu ester m. 208-8.8°; iso-Bu ester m. 226.6-7.4°; hexyl ester m. 193-4°. The majority of these compds. show mild spasmolytic action and

neg. analgesic action. The effect against acetylcholine spasms of the isolated rabbit ileum was negligible in all cases. Against BaCl2-induced spasms, VII was approx. 2.5 times as active as papaverine; the remaining compds. were less active. 1-Guanidino-2-phenyl-4-diethylaminobutane sulfate, VI, and VIII were of the same order of activity as papaverine against BaCl2-induced spasms of the isolated virgin guinea pig uterus; all the other compds. studied were less active.

IT 873396-12-4P, Urea, [(1-carbamoyl-4-phenyl-4-piperidyl)methyl]-
RL: PREP (Preparation)
(preparation of)

RN 873396-12-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[(aminocarbonyl)amino]methyl]-4-phenyl- (CA INDEX NAME)



=> file marpat

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	93.13	272.64
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-13.60	-13.60

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FILE CONTENT: 1961-PRESENT VOL 148 ISS 25 (20080704/ED)

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(COVERAGE TO THESE DATES IS NOT COMPLETE):

US	20080119550	22 MAY 2008
DE	102007054884	21 MAY 2008
EP	1925296	28 MAY 2008
JP	2008117905	22 MAY 2008
WO	2008061874	29 MAY 2008
GB	2443936	21 MAY 2008
FR	2908651	23 MAY 2008
RU	2324697	20 MAY 2008
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FULL SEARCH INITIATED 07:56:57 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 42375 TO ITERATE

73.8% PROCESSED 31270 ITERATIONS 39 ANSWERS

98.7% PROCESSED 41824 ITERATIONS 59 ANSWERS

99.3% PROCESSED 42083 ITERATIONS 60 ANSWERS

100.0% PROCESSED 42375 ITERATIONS 60 ANSWERS
SEARCH TIME: 00.00.55

L4 60 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 125.72 398.36

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY TOTAL SESSION
CA SUBSCRIBER PRICE 0.00 -13.60

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FILE COVERS 1907 - 10 Jul 2008 VOL 149 ISS 2
FILE LAST UPDATED: 9 Jul 2008 (20080709/ED)

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http://www.cas.org/legal/infopolicy.html

=> s L4 SSS full
L5 60 L4

=> d ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 60 ANSWERS - CONTINUE? Y/(N):y

L5 ANSWER 1 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:412119 CAPLUS Full-text

DOCUMENT NUMBER: 148:403086

TITLE: Preparation of piperidine derivatives as melanocortin-4 receptor modulators

INVENTOR(S): Bakshi, Raman K.; Dellureficio, James P.; Hong, Qingmei; Jian, Tianying; Liu, Jian; Nargund, Ravi P.; Ye, Zhixiong

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 141pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008039418	A2	20080403	WO 2007-US20606	20070924

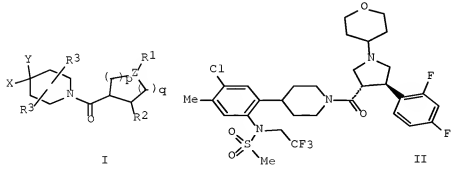
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-847494P P 20060927

OTHER SOURCE(S): MARPAT 148:403086

GI



AB The title compds. with general formula I [wherein X = alkyl, -(CH2)n-cycloalkyl, (un)substituted -(CH2)n-Ph, -(CH2)n-naphthyl, etc.; Y = H, alkyl, alkenyl, -(CH2)n-cycloalkyl, etc.; Z = CH or N; R1 = (un)substituted -(CH2)n-heterocycloalkyl, -(CH2)n-(bridged heterocycloalkyl), or -N(R7)-

heterocycloalkyl, where R7 = H or alkyl; R2 = (un)substituted Ph, naphthyl, or heteroaryl; R3 = independently H, OH, halo, CF3, etc.; n = 0-4; p = 1-2; q = 0-2] or pharmaceutically acceptable salts thereof were prepared as ligands of the human melanocortin receptors, in particular, selective ligands of the human melanocortin-4 receptor (MC-4R). I are useful for the treatment, control, or prevention of diseases and disorders responsive to the modulation of MC-4R, such as obesity, diabetes, nicotine addiction, alcoholism, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Example compound II was prepared by a multi-step synthesis (procedure given). The tested compds. were found to bind to MC-4R with IC50 values of less than 10 μM.

L5 ANSWER 2 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:12259 CAPLUS Full-text
DOCUMENT NUMBER: 148:144652
TITLE: Preparation of substituted piperidines that increase p53 activity and the uses thereof
INVENTOR(S): Ma, Yao; Lahue, Brian Robert; Shipps, Gerald W.; Wang, Yaolin; Bogen, Stephane L.; Voss, Matthew Ernst; Nair, Latha G.; Tian, Yuan; Doll, Ronald J.; Guo, Zhuyan; Strickland, Corey O.; Zhang, Rumin; McCoy, Mark A.; Pan, Weidong; Siegel, Elise M.; Gibeau, Craig R.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 199pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080004287	A1	20080103	US 2007-769030	20070627
WO 2008005268	A1	20080110	WO 2007-US14958	20070627
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2006-817753P P 20060630
OTHER SOURCE(S): MARPAT 148:144652
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = (un)substituted heterocyclyl, heterocyclenyl, heteroaryl, etc.; A = O, S, CO, (un)substituted CH2, etc.; m = 0-2; R2 = (un)substituted aryl, heteroaryl, cyclyl, etc.; R3 = COX, SO2X, OX, etc., where in X = (un)substituted aryl, heteroaryl, heterocyclyl, etc.; R4 and R4a

independently = H, alkyl, alkenyl, etc.; or R4 and R1 together form (un)substituted heterocyclyl or heterocyclenyl; or R4 and R4a or R5 and R5a or R6 and R6a or R7 and R7a together with the atom they are attached to form an (un)substituted spirocycle; R5, R5a, R7 or R7a independently = H, alkyl, alkoxy, etc.; R6 and R6a independently = H, alkyl, trihaloalkyl, etc.; R6 and R7, R6 and R6a or R5 and R7 together with the carbon each is attached to form cycloalkyl, cyclenyl, heterocyclyl, or heterocyclenyl], and their pharmaceutically acceptable salts, are prepared and disclosed as modulators of p53 activity. Thus, e.g., II was prepared by amidation of III (preparation given) with N-(2-piperazinylphenyl)-2-methoxyethanamide (preparation given) followed by demethylation. Compds. of the present application exhibit FP IC50, FP Ki, and Cell Viability CO50 values of less than about 50.0 μM. Select HDM2 inhibitory activities are given. In its many embodiments, the present invention discloses I as inhibitors of HDM2 protein, methods for preparing such compds., pharmaceutical compns. including one or more such compds., methods of treatment, prevention, inhibition, of one or more diseases associated with the HDM2 protein or P53 using such compds. or pharmaceutical compns.

L5 ANSWER 3 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1175911 CAPLUS Full-text
DOCUMENT NUMBER: 147:450505
TITLE: Dispersion adjuvant for metal nanoparticles and metal nanoink comprising the dispersed metal nanoparticles
INVENTOR(S): Kim, Sang Ho; Lee, Jong Taik; Kim, Min Seo; Heo, Soo Yeon
PATENT ASSIGNEE(S): Lg Chem, Ltd., S. Korea
SOURCE: U.S. Pat. Appl. Publ., 7pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070244220	A1	20071018	US 2007-783741	20070411
KR 2007101775	A	20071017	KR 2007-34671	20070409
PRIORITY APPLN. INFO.:			KR 2006-33207 A	20060412
OTHER SOURCE(S):	MARPAT 147:450505			

AB A dispersion adjuvant for metal nanoparticles is an amide derivative The dispersion adjuvant helps metal nanoparticles to be dispersed in a solvent in the presence of a dispersant, inhibits metal particles from agglomerating among themselves, and increases the content of metal nanoparticles in nonaq. solvent. Addnl., interconnection lines formed by using the nanoink have an increased content of metal per unit area, and provide improved conductivity

L5 ANSWER 4 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:999518 CAPLUS Full-text
DOCUMENT NUMBER: 147:344112
TITLE: Preparation of aryl sulfonyl heterocycles as bradykinin receptor modulators
INVENTOR(S): Peterson, John M.; Li, Guiying; Ihle, David C.; Hodgetts, Kevin J.; Guo, Qin; Ge, Ping; Hutchison, Alan J.
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: PCT Int. Appl., 113pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007101007	A2	20070907	WO 2007-US62406	20070220

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-776145P P 20060223
OTHER SOURCE(S): MARPAT 147:344112
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [n = 0 or 1, if n = 0, then m = 1 and q = 0 or 1, if n = 1, then either (i) m = 1 and q = 1 or 2 or (ii) q = 1 and m = 1 or 2; X = O, S, SO, SO2, or NR3; Y = -OCH2-, -(CH2)2-, -CH=CH-, etc.; R1 = 0-5 substituents chosen from halo, OH, CN, alkyl, etc.; R2 = oxo, OH, and alkyl; R3 = H, alkyl or alkanoyl; R4 = H, alkyl, alkenyl, etc.; R5 = alkyl, alkenyl, alkyl ether, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as capable of modulating bradykinin receptors. Thus, e.g., II was prepared by deprotection of N-BOC-morpholine-3-carboxylic acid, sulfonylation with 2,6-dimethyl-4-methoxyphenylsulfonyl chloride, reduction, O-alkylation with tert-butylbromoaceate, hydrolysis, and amidation with 4-(3-(dimethylamino)propyl)piperazine. Bioassays are described for evaluating activity of I (no data). I may be used to modulate bradykinin receptor activity in vivo or in vitro, and are particularly useful in the treatment of conditions responsive to B1 modulation in humans, domesticated companion animals and livestock animals, including inflammation and pain. Pharmaceutical compns. and methods for using them to treat such disorders are provided, as are methods for using such ligands for receptor localization studies and various in vitro assays.

L5 ANSWER 5 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:464460 CAPLUS Full-text
DOCUMENT NUMBER: 146:462284
TITLE: Preparation of pyrazolo[1,5-a]pyrimidine-3-carboxamides as casein kinase II (CK2) modulators for the treatment of cancer
INVENTOR(S): Rice, Kenneth D.; Bussenius, Joerg; Costanzo, Simona; Kennedy, Abigail R.; Kim, Angie Inyoung; Manalo, Jean-Claire Limun; Peto, Csaba J.
PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 99pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

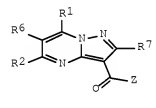
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007048066	A2	20070426	WO 2006-US41506	20061023
WO 2007048066	A3	20070628		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

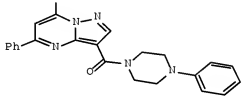
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

AU 2006304875	A1	20070426	AU 2006-304875	20061023
PRIORITY APPLN. INFO.:			US 2005-729348P	P 20051021
			WO 2006-US41506	W 20061023

OTHER SOURCE(S): MARPAT 146:462284
GI



I



II

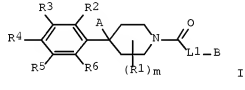
AB Title compound I [wherein R1 = OH, alkoxy or arylalkylamino; R2 = alkyl, (un)substituted (hetero)aryl or heterocycloalkyl; R6 = H or alkyl; R7 = H, alkylamino or dialkylamino; Z = aryloxy, cycloalkyloxy, amino, etc., with limitations] or pharmaceutically acceptable salts thereof were prepared as casein kinase II (CK2) modulators. For instance, cyclization of Et 5-amino-1H-pyrazole-4-carboxylate with Et 3-oxo-3-phenylpropanoate followed by ester hydrolysis of the resultant pyrazolo[1,5-a]pyrimidine-3- carboxylate and subsequent coupling with 1-Phenylpiperazine led to pyrazolopyrimidine carboxamide II. I showed CK2 inhibitory activity with IC50 values of less than 5000 nM. The invented compds. and their pharmaceutical compns. are useful for the treatment of diseases that involve CK2, such as cancer.

L5 ANSWER 6 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:439604 CAPLUS Full-text
DOCUMENT NUMBER: 146:421851
TITLE: Preparation of piperidine derivatives as antagonists of CCR1 receptor

INVENTOR(S): Zhang, Penglie; Pennell, Andrew M. K.; Chen, Wei; Greenman, Kevin Lloyd; Li, Lianfa; Sullivan, Edward J.
PATENT ASSIGNEE(S): Chemocentryx, Inc., USA
SOURCE: PCT Int. Appl., 86pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007044804	A2	20070419	WO 2006-US39713	20061011
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20070088036	A1	20070419	US 2006-546938	20061011
US 20070093467	A1	20070426	US 2006-580202	20061011
PRIORITY APPLN. INFO.:			US 2005-725980P P	20051011

OTHER SOURCE(S): MARPAT 146:421851
GI



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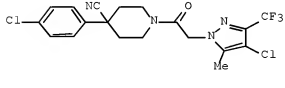


II

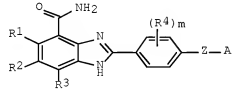
AB Title compds. I [R1 = cycloalkyl, (un)substituted alkyl, haloalkyl, etc.; any two R1 attached to the same or different carbon atoms may join together to form a 3- to 7-membered ring; m = 0-4; R2-6 independently = H, halo, CN, NO2, etc.; A = H, aryl, heteroaryl, etc.; B = (un)substituted aryl or heteroaryl; L1 = (un)substituted alkylene or heteroalkylene], and their pharmaceutically acceptable salts, are prepared and disclosed as antagonists of CCR1 receptor. Thus, e.g., II was prepared via heterocyclization of 4-chlorobenzyl cyanide with bis(2-chloroethyl)amine followed by acylation with (4-chloro-5-methyl-3-trifluoromethylpyrazol-1- yl)acetic acid. Select compds. were evaluated for

their inhibitory activity in CCR1 ligand binding assay or chemotaxis assay, e.g., II demonstrated IC50 value of < 1000 nM.

L5 ANSWER 7 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:409620 CAPLUS Full-text
DOCUMENT NUMBER: 146:421983
TITLE: Preparation of 1H-benzimidazole-4-carboxamides as poly(ADP-ribose)polymerase (PARP) inhibitors for the treatment of inflammation, sepsis and septic shock
INVENTOR(S): Penning, Thomas D.; Thomas, Sheela A.; Zhu, Gui-Dong; Gandhi, Virajkumar B.; Gong, Jianchun; Giranda, Vincent L.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 85pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007041357	A1	20070412	WO 2006-US38169	20060928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2623822	A1	20070412	CA 2006-2623822	20060928
US 20070179136	A1	20070802	US 2006-536994	20060929
PRIORITY APPLN. INFO.:			US 2005-721683P	P 20050929
			WO 2006-US38169	W 20060928

OTHER SOURCE(S): MARPAT 146:421983
GI



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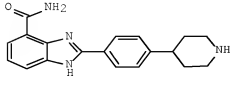

II

AB Title compds. I [wherein R1, R2, R3 = H, alkenyl, alkoxy, etc.; R4 = H, halo or (halo)alkyl; m = 4; Z = bond or alkylenyl; A = (un)substituted nonarom. N-heterocyclyl, with limitations] were prepared as poly(ADP-ribose)polymerase (PARP) inhibitors. For instance, CDI-mediated amidation of tert-Bu 4-(4-carboxyphenyl)piperidine-1-carboxylate with 2,3-diaminobenzamide dihydrochloride followed by cyclocondensation/deprotection in refluxing acetic acid gave benzimidazolecarboxamide II. The invented compds. were found to be potent PARP inhibitors with Ki values in the range of nanomolar. They could penetrate cell membranes and inhibit PARP in intact cells, and potentiate the antitumor activity of cisplatin. Therefore, I are useful for treating a disease or a disorder associated with PARP, such as inflammation, sepsis and septic shock.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:150683 CAPLUS Full-text

DOCUMENT NUMBER: 146:206459

TITLE: Processes for preparation of pyrrolidine-containing boronic acids and their derivatives by convergent syntheses

INVENTOR(S): Campbell, David Alan; Winn, David T.

PATENT ASSIGNEE(S): Phenomix Corporation, USA

SOURCE: PCT Int. Appl., 52pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007016356	A1	20070208	WO 2006-US29451	20060727
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006275697	A1	20070208	AU 2006-275697	20060727
CA 2617310	A1	20070208	CA 2006-2617310	20060727
EP 1919485	A1	20080514	EP 2006-788816	20060727
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
KR 2008036125	A	20080424	KR 2008-705010	20080229

PRIORITY APPLN. INFO.: US 2005-704380P P 20050801
WO 2006-US29451 W 20060727

OTHER SOURCE(S): CASREACT 146:206459; MARPAT 146:206459

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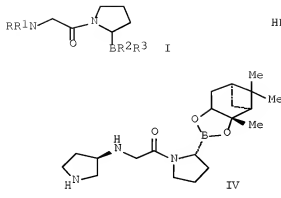

AB Title pyrrolidine-containing boronic acids [I; R = N-protecting group, e.g., benzyl, Cbz, Boc, Fmoc, Alloc, Teoc; R1 = (un)substituted hydrocarbon group optionally containing hetero atoms, e.g., 3-pyrrolidinyl; R2, R3 = independently or together a group that can be hydrolyzed to OH], useful for treating patients suffering from diabetes and related diseases (no data), are prepared by coupling RR1NCH2COA (II; same R, R1; A = OH or a group which may be displaced by an amine, e.g., imidazolyl, halo, azide, carbonate ester) with boropyrrolidines (III; same R2, R3). Further, intermediates II are prepared by sequential alkylation of R1NH2 (same R1) with LCH2CO2R4 [L = leaving group, preferably Cl, Br, iodo, mesylate, triflate; R4 = carboxyl-protecting group, preferably Me, Et, CMe3, allyl, benzyl] in presence of a base, preferably Na2CO3, K2CO3 or Cs2CO3, to give R1NHCH2CO2R4, protection of the secondary amine to give RR1NCH2CO2R4, and conversion of the latter to RR1NCH2COA. In the context of synthesizing heterocyclic boronic acid compds., a convergent synthetic methodol. is particularly efficient for preparing boropyrrolidines, e.g., IV (preparation given), and derivs. of boropyrrolidines.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2006:1157964 CAPLUS Full-text
DOCUMENT NUMBER:	145:471409
TITLE:	Preparation of five- and six-membered cyclic amines as coagulation factor Xa inhibitors
INVENTOR(S):	Groebke-Zbinden, Katrin; Haap, Wolfgang; Hilpert, Hans; Panday, Narendra; Ricklin, Fabienne; Wirz, Beat
PATENT ASSIGNEE(S):	F. Hoffmann-La Roche A.-G., Switz.
SOURCE:	PCT Int. Appl., 169pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006114401	A2	20061102	WO 2006-EP61776	20060424
WO 2006114401	A3	20070412		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 20060247238	A1	20061102	US 2006-403973		20060413
AU 2006239329	A1	20061102	AU 2006-239329		20060424
CA 2604603	A1	20061102	CA 2006-2604603		20060424
EP 1877404	A2	20080116	EP 2006-777206		20060424
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR					
NO 2007005158	A	20071123	NO 2007-5158		20071010
MX 200713203	A	20071211	MX 2007-13203		20071023
KR 2007114836	A	20071204	KR 2007-724610		20071025
IN 2007CN04815	A	20080321	IN 2007-CN4815		20071029
CN 101208334	A	20080625	CN 2006-80023061		20071226
PRIORITY APPLN. INFO.:			EP 2005-103452	A	20050427
			WO 2006-EP61776	W	20060424

OTHER SOURCE(S): MARPAT 145:471409

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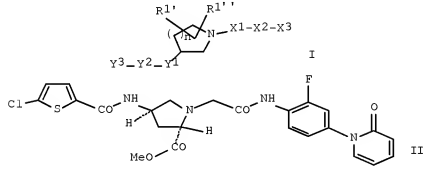

AB The invention is concerned with novel cyclic amines (shown as I; variables defined below; e.g. (2S,4R)-4-[[(5-chlorothien-2-yl)carbonyl]amino]-1-[[[2-fluoro-4-(2-oxo-2H-pyridin-1-yl)phenyl]carbamoyl]methyl]pyrrolidine-2-carboxylic acid Me ester (shown as II)) as well as physiol. acceptable salts thereof. These compds. inhibit the coagulation factor Xa and can be used as medicaments (e.g. for thrombotic disorders). For I: X1 is -CHR2C(O)NH-, -C(O)CH2NH-, -C(O)NH- or C(O)C(O)NH-; X2 is (un)substituted phenylene, heteroarylene or heterocyclylene; X3 is H or (un)substituted Ph, heteroaryl or heterocyclyl; R2 is hydrogen or C1-6 alkyl; Y1 is -C(O)NH-, -C(O)NHCH2- or -NHC(O)-; Y2 is (un)substituted phenylene, heteroarylene or heterocyclylene; Y3 is H, or (un)substituted Ph, heteroaryl or heterocyclyl; R1' is halogen, carboxy, C1-6-alkoxycarbonyl, hydroxy C1-6-alkyl-NHC(O)-, N(C1-6-alkyl)(hydroxy C1-6-alkyl)C(O)-, C1-6-alkyl-NHC(O)-, et al. R1'' is H; or R1'

and R1'' form, together with the same C atom to which they are attached, -C(O)-, -C(:CH2)-, C3-7-cycloalkyl or heterocyclyl, one or two C atoms of said heterocyclyl being optionally replaced with a carbonyl group; R2 is H or C1-6-alkyl; n = 1-2; addnl. details including provisos are given in the claims. Methods of preparation are claimed and prepns. and/or characterization data for .apprx.70 examples of I are included. For example, II was prepared in 4 steps starting with coupling of trans-4-(Bocamino)-L-proline Me ester hydrochloride with 5-chlorothiophene-2-carboxylic acid to give (2S,4R)-4-[[(5-chlorothien-2-yl)carbonyl]amino]pyrrolidine-1,2- dicarboxylic acid 1-tert-Bu ester 2-Me ester, which was deprotected and reacted with 2-bromo-N-[2-fluoro-4-(2-oxo-2H-pyridin-1-yl)phenyl]acetamide (prepared from 1-(4-amino-3-fluorophenyl)-1H-pyridin-2-one and bromoacetyl bromide). The use of lipase from Candida (e.g. Candida antarctica from B) or Pseudomonas fluorescens or a protease from Aspergillus sojae for enzymic resolution of N-Boc-3-cyano-4-hydroxypyrrolidines and N-Boc-3-acyloxy-4-cyanopyrrolidines is also claimed. Ki values for factor Xa are tabulated for 5 examples of I.

L5 ANSWER 10 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:815924 CAPLUS Full-text
DOCUMENT NUMBER: 145:249186
TITLE: Preparation of pyrrolopyridines and analogs as inhibitors of tryptase
INVENTOR(S): Hirschbein, Bernard; Lee, Chang Sun; Litvak, Joane; Liu, Weili; Sendzik, Martin; Shelton, Emma J.; Spencer, Jeffrey R.; Sperandio, David; Tai, Vincent W-F.; Winslow-Lohman, Julia; Yee, Robert
PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 222pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006086609	A2	20060817	WO 2006-US4680	20060209
WO 2006086609	A3	20070201		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-651870P P 20050210
OTHER SOURCE(S): MARPAT 145:249186
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = (un)substituted benzo, pyridino, pyrimidino, etc.; D = N or CR6 wherein R6 = H, alkyl, halo, etc.; R1 = H, alkylsulfonyl, arylsulfonyl, etc.; R2 = H, alkyl, alkylsulfonyl; R3 = H, alkyl, OH, CN, etc.; R4a and R4b independently = H, (un)substituted alkyl, acyl, etc.; L = functionalized bridging ligand; Z = (un)substituted heterocycle], and their pharmaceutically acceptable salts, are prepared and disclosed as tryptase inhibitors. Thus, e.g., II was prepared by coupling of [5'-chloro-2'-hydroxy-3'-(1H-pyrrolo[2,3-c]pyridin-2-yl)-biphenyl-4- yl]acetic acid (preparation given) with 4-phenylmethylpiperidin-4-ol. Assays for determining activity against human tryptase are described (no data). Further disclosed are pharmaceutical composition comprising these compds. and method of treating asthma, allergic rhinitis, and/or Chronic Obstructive Pulmonary Disease utilizing these compds.

L5 ANSWER 11 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:408956 CAPLUS Full-text
DOCUMENT NUMBER: 144:450718
TITLE: Ortho-condensed pyridine and pyrimidine derivatives (e. g. purines) as protein kinases inhibitors and their preparation, pharmaceutical compositions and use for treatment of protein kinase mediated diseases such as proliferative diseases
INVENTOR(S): Berdini, Valerio; Boyle, Robert George; Saxty, Gordon; Verdonk, Marinus Leendert; Woodhead, Steven John; Wyatt, Paul Graham; Sore, Hannah Fiona; Caldwell, John; Collins, Ian; Da Fonseca, Tatiana Faria; Donald, Alastair
PATENT ASSIGNEE(S): Astex Therapeutics Limited, UK; The Institute of Cancer ResearchRoyal Cancer Hospital; Cancer Research Technology Limited
SOURCE: PCT Int. Appl., 174 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006046023	A1	20060504	WO 2005-GB4115	20051025
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1812003	A1	20070801	EP 2005-796842	20051025
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008517983	T	20080529	JP 2007-538499	20051025

PRIORITY APPLN. INFO.:			
GB 2004-23684	A	20041025	
US 2004-621719P	P	20041025	
US 2005-683980P	P	20050524	
WO 2005-GB4115	W	20051025	

OTHER SOURCE(S): CASREACT 144:450718; MARPAT 144:450718

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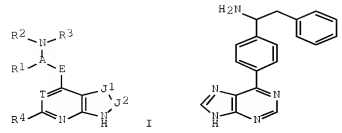

I II

AB The invention provides a compound for use as a protein kinase B inhibitor of prophylaxis or treatment of protein kinase mediated diseases, the compound being a compound of the formula I or salts, solvates, tautomers or N-oxides thereof. Compds. of formula I where in T is N or CR5; J1-J2 is N=CR6, R7C=N, R8NCO, (R8)2CO, N=N, or R7C=CR6; A is (un)substituted C1-7 saturated hydrocarbon linker having maximum 5 atoms between R1 and NR2R3, and maximum 4 atoms between E and NR2R2, where one of the carbon atoms may be optionally replaced by O or N; E is mono- or bicyclic carbocyclic or heterocyclic group, or an acyclic group X-G; X is CH2, O, S, NH; G is C1-4 alkylene where one of the carbon atoms may be optionally replaced by O, S or NH; R1 is is H, or (hetero)aryl; R2 and R3 are independently H, (un)substituted C1-4 heterocarbyl, or (un)substituted C1-4 acyl; or NR3R3 together and an atom from the linker A may form a saturated 4- to 7-membered monocyclic heterocyclic group, or a cyano group; R4 is H, halo, (un)substituted C1-6 saturated hydrocarbyl, CN, CONH2, CONHR9, CF3, NH2, NHCOR9, or NHCONHR9; R9 is (un)substituted Ph, or (un)substituted Bn; or their pharmaceutically acceptable salts, solvates, tautomers, or N-oxides thereof. Example compound II was prepared by condensation of 4-[9-(tetrahydropyran-2-yl)-9H- purine-6-yl]benzaldehyde with tert-butanesulfinamide; the resulting 2-methylpropane-2-sulfinic acid 4-[9-(tetrahydropyran-2-yl)-9H-purine-6- yl]benzylideneamide reacted with benzylmagnesium chloride to give 2-methylpropane-2-sulfinic acid (2-phenyl-[4-[9-(tetrahydropyran-2-yl)-9H- purine-6-yl]phenyl]ethyl)amide, which underwent hydrolysis to give example compound II. All the invention compds. were tested for their protein kinase inhibitory activity. From the assay it was determined that compound II and some of the other example compds. exhibited IC50 values of less than 10 μM against both protein kinase A and B. The invention compds. were also evaluated for their antiproliferative activity. Preferred compds. of the invention were found to have IC50 values of less than 30 μM in this assay..

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:365172 CAPLUS Full-text

DOCUMENT NUMBER: 144:382018

TITLE: Methods for the treatment of substance abuse and addiction

INVENTOR(S): Bristow, Linda; Fong, Tung M.; Morse, Andrew C.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006041769	A2	20060420	WO 2005-US35449	20050930
WO 2006041769	A3	20070614		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

EP 1804798	A2	20070711	EP 2005-812168	20050930

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU

US 20080021067	A1	20080124	US 2007-662018		20070305
PRIORITY APPLN. INFO.:			US 2004-616064P	P	20041005
			WO 2005-US35449	W	20050930

OTHER SOURCE(S): MARPAT 144:382018

AB The present invention relates to methods of treating and preventing substance addiction and substance abuse, including nicotine addiction and nicotine addiction-related disorders in a subject comprising administering a melanocortin 4 receptor agonist to said subject. The present invention further relates to methods of treating or preventing substance addiction and substance addiction-related disorders in a subject comprising administering a melanocortin 4 receptor agonist to said subject. The present invention further provides for pharmaceutical compns. and medicaments useful in carrying out these methods.

L5 ANSWER 13 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:236714 CAPLUS Full-text
DOCUMENT NUMBER: 144:287793
TITLE: Inhibition of voluntary ethanol consumption with non-peptidyl melanocortin 4-receptor agonists
INVENTOR(S): Bristow, Linda; Fong, Tung M.; Morse, Andrew C.; Ren, Kunkun
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006028631	A2	20060316	WO 2005-US28128	20050809

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,

LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1781283 A2 20070509 EP 2005-812403 20050809

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU

US 20080085885 A1 20080410 US 2007-660117 20070212

PRIORITY APPLN. INFO.: US 2004-601486P P 20040813

WO 2005-US28128 W 20050809

OTHER SOURCE(S): MARPAT 144:287793

AB The present invention relates to methods of inhibiting or reducing voluntary alc. consumption in a subject comprising administering a non-peptidyl melanocortin 4 receptor agonist to said subject. The present invention further relates to methods of treating or preventing alcoholism, alc. abuse, and alc. related disorders in a subject comprising administering a non-peptidyl melanocortin 4 receptor agonist to said subject. The present invention further provides for pharmaceutical compns. and medicaments useful in carrying out these methods.

L5 ANSWER 14 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:167664 CAPLUS Full-text

DOCUMENT NUMBER: 144:247201

TITLE: Method of stimulating the motility of the gastrointestinal system using growth hormone secretagogues, and therapeutic use

INVENTOR(S): Polvino, Wiliam J.

PATENT ASSIGNEE(S): Sapphire Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006020930	A2	20060223	WO 2005-US28851	20050812
WO 2006020930	A3	20061123		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU 2005272598	A1	20060223	AU 2005-272598	20050812
CA 2576238	A1	20060223	CA 2005-2576238	20050812

EP 1789067		A2	20070530	EP 2005-786631	20050812
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU					
US 20070191283		A1	20070816	US 2005-203639	20050812
CN 101076349		A	20071121	CN 2005-80032193	20050812
JP 2008509930		T	20080403	JP 2007-525853	20050812
IN 2007MN00186		A	20070720	IN 2007-MN186	20070206
MX 200701477		A	20071010	MX 2007-1477	20070206
KR 2007064593		A	20070621	KR 2007-705083	20070302
PRIORITY APPLN. INFO.:				US 2004-600959P	P 20040812
				WO 2005-US28851	W 20050812

OTHER SOURCE(S): MARPAT 144:247201

AB The invention discloses a method for stimulating the motility of the gastrointestinal system in a subject in need thereof, wherein the subject suffers from maladies (i.e., disorders or diseases) of the gastrointestinal system. The method comprises administering to a subject in need thereof a therapeutically effective amount of a growth hormone secretagogue compound or a pharmaceutically acceptable salt, hydrate or solvate thereof. The growth hormone secretagogue can be co-administered with a laxative, a H2 receptor antagonist, a serotonin 5-HT4 agonist, an antacid, an opioid antagonist, a proton pump inhibitor, a motilin receptor agonist, dopamine antagonist, a cholinergic agonist, a cholinesterase inhibitor, somatostatin, octreotide, or any combination thereof.

L5 ANSWER 15 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1272696 CAPLUS Full-text

DOCUMENT NUMBER: 144:36440

TITLE: Method for preparation and application of bimolecular derivatives of Huperzine-B and dual functional groups-containing derivatives of Huperzine-B

INVENTOR(S): Bai, Donglu; Feng, Song; He, Xuchang; Tang, Xican; Wang, Rui

PATENT ASSIGNEE(S): Shanghai Institute of Materia Medica, Chinese Academy of Sciences, Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 20 pp. CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1616431	A	20050518	CN 2003-10108598	20031113
PRIORITY APPLN. INFO.:			CN 2003-10108598	20031113

OTHER SOURCE(S): CASREACT 144:36440; MARPAT 144:36440

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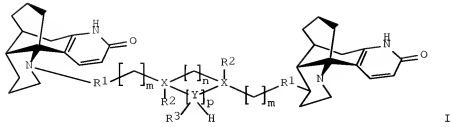

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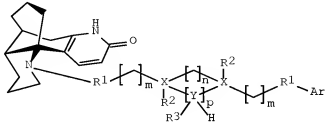

II

AB The invention relates to bimol. derivs. of Huperzine-B and dual functional groups-containing derivs. of Huperzine-B, their preparation methods and applications. The bimol. derivs. and dual functional groups-containing derivs. I or II (R1 = CO, CH2; R2, R3 = H, Me, Et, Pr, cyclopropyl, Bn, substituted phenyl; Ar = alkoxy, halo, nitro, substituted Ph, naphthyl, pyridinyl, taurine; X,Y = C, N, O; m = 1,2,3; n = 0, 1, 2, 3; p = 1 to 12 integers) were prepared using Huperzine-B as starting material, and had a higher inhibiting activity on acetylcholine esterase than that of Huperzine-B as determined by the in vitro bioactivity test. Some derivs. have an inhibiting activity several hundreds times, or even several thousands times higher than that of the parent compound It is hopeful to obtain a medicine having high therapeutic index and little adverse effect for the treatment of presenile dementia by further optimization and selection of these derivs.

L5 ANSWER 16 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1220275 CAPLUS Full-text
DOCUMENT NUMBER: 143:460031
TITLE: Preparation of heterocycle-containing phenol ethers, thioethers and related derivatives as histamine H3 ligands
INVENTOR(S): Bernardelli, Patrick; Cronin, Andrew Michael; Denis, Alexis; Denton, Stephen Martin; Jacobelli, Henry; Kemp, Mark Ian; Lorthiois, Edwige; Rousseau, Fiona; Serradeil-Civit, Delphine; Vergne, Fabrice
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: PCT Int. Appl., 216 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005108384	A1	20051117	WO 2005-IB1114	20050419
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
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LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL,
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ZM, ZW

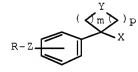
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

EP 1593679	A1	20051109	EP 2004-291187		20040507
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR					
AU 2005240846	A1	20051117	AU 2005-240846		20050419
CA 2565852	A1	20051117	CA 2005-2565852		20050419
EP 1747210	A1	20070131	EP 2005-718521		20050419
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU					
CN 1950351	A	20070418	CN 2005-80014662		20050419
BR 2005010664	A	20071204	BR 2005-10664		20050419
JP 2007536365	T	20071213	JP 2007-512541		20050419
MX 2006PA12819	A	20070126	MX 2006-PA12819		20061106
KR 843848	B1	20080703	KR 2006-723284		20061106
NO 2006005635	A	20070201	NO 2006-5635		20061206

PRIORITY APPLN. INFO.: EP 2004-291187 A 20040507
GB 2005-4564 A 20050304
WO 2005-IB1114 W 20050419

OTHER SOURCE(S): MARPAT 143:460031

GI



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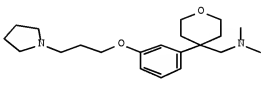

II

AB Title compds. [I; m, p = 0-3; m+p ≤4; X = cyano, CH2OH, alkoxymethyl, CO2H, alkoxycarbonyl, aminomethyl, aminocarbonyl, CH2Ohet (het = (substituted) mono- or bicyclic heteroaryl), CH2het, het; Y = CH2, CH(OH), CO, N (substituted by H, at al.); ZR is in the meta or para position of the Ph group; Z = O, S, S(O), S(O)2; R = (cyclo)aminoalkyl; addnl. details are given in the claims], were prepared Thus, reaction of 3-[4-(dimethylamino)methyltetrahydro-2H-pyran-4-yl]phenol (preparation given) with 1-(3-chloropropyl)pyrrolidine (preparation given) gave 20% title compound (II). In a cell-based H3 functional assay measuring cAMP through β-lactamase reporter gene activity, I showed Ki <5 μM; values are tabulated for 26 examples of I. I are H3 ligands useful in treating e.g. inflammatory, allergic and respiratory diseases.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1123812 CAPLUS Full-text

DOCUMENT NUMBER: 143:379815
TITLE: Method of reducing C-reactive protein using growth hormone secretagogues
INVENTOR(S): Polvino, William J.; Carpi, David B.; Smith, Roy G.
PATENT ASSIGNEE(S): Rejuvenon Corporation, USA
SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005097261	A1	20051020	WO 2005-US10927	20050330
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2565324	A1	20051020	CA 2005-2565324	20050330
US 20050261201	A1	20051124	US 2005-94339	20050330
EP 1735055	A1	20061227	EP 2005-733103	20050330
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2007531769	T	20071108	JP 2007-506567	20050330
KR 2007010151	A	20070122	KR 2006-721482	20061017
PRIORITY APPLN. INFO.:			US 2004-557466P P	20040330
			WO 2005-US10927 W	20050330

OTHER SOURCE(S): MARPAT 143:379815

AB The invention discloses a method for reducing C-reactive protein in a subject in need of treatment thereof, wherein the subject is at risk of having or the subject has already had a vascular event or suffering from an inflammatory disease or disorder. In one embodiment, the vascular event is a cardiovascular event (e.g., myocardial infarction). In another embodiment, the vascular event is a cerebrovascular event (e.g., stroke, transient ischemic attacks). In yet another embodiment the vascular event is a peripheral vascular event (e.g., intermittent claudication). The method comprises administering a therapeutically effective amount of at least one growth hormone secretagogue compound or a pharmaceutically acceptable salt, hydrate or solvate thereof. The growth hormone secretagogue can be coadministered with a second growth hormone secretagogue, HMG CoA reductase inhibitor, an ACAT inhibitor, a CETP inhibitor, an anti-inflammatory agent, an ACE inhibitor, a Beta blocker, a cholesterol absorption inhibitor, a nicotonic acid, a fabric acid derivative, a bile acid sequestering agent or a combination thereof.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:698366 CAPLUS Full-text
DOCUMENT NUMBER: 143:166724
TITLE: Prodrugs of potassium channel inhibitors, and preparation thereof

INVENTOR(S): Gross, Michael F.; Lloyd, John
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 25 pp., Cont.-in-part of U.S. Ser. No. 417,355.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050171156	A1	20050804	US 2005-28399	20050103
US 20040110793	A1	20040610	US 2003-417355	20030416
US 7005436	B2	20060228		
US 20060014792	A1	20060119	US 2005-186991	20050721
WO 2006073967	A1	20060713	WO 2005-US47183	20051227
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1841741	A1	20071010	EP 2005-855697	20051227
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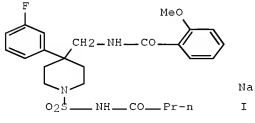
PRIORITY APPLN. INFO.:
US 2002-374279P P 20020419
US 2003-417355 A2 20030416
US 2005-28399 A 20050103
WO 2005-US47183 W 20051227

OTHER SOURCE(S): CASREACT 143:166724; MARPAT 143:166724

GI



I

AB The invention discloses compds. useful as prodrugs of potassium channel inhibitor compds., in particular as prodrugs of Kv1.5 channel inhibitors. Preparation of compds. of the invention, e.g. I, is described.

L5 ANSWER 19 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:470969 CAPLUS Full-text
DOCUMENT NUMBER: 143:26636
TITLE: Preparation of 4-[(Arylmethyl)aminomethyl]piperidines as inhibitors of NGF binding (nerve growth factor) to p75NTR (p75 neurotrophic) receptor for treating p75NTR related diseases
INVENTOR(S): Bosch, Michael; Wagnon, Jean
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
SOURCE: Fr. Demande, 31 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2862968	A1	20050603	FR 2003-14172	20031201
FR 2862968	B1	20060804		
WO 2005054229	A1	20050616	WO 2004-FR3066	20041130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1694668	A1	20060830	EP 2004-805590	20041130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				
JP 2007512384	T	20070517	JP 2006-541974	20041130
US 20070037819	A1	20070215	US 2006-420505	20060526

PRIORITY APPLN. INFO.: FR 2003-14172 A 20031201
WO 2004-FR3066 W 20041130
OTHER SOURCE(S): MARPAT 143:26636
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein X = (CH2)n; n = 1-2; R1 = CF3; R2 = H, alkyl; R3 = (un)substituted pyrrolyl, 1,2,3-thiadiazolyl, pyrazinyl, etc.; and their salts, hydrates and solvates] were prepared as inhibitors of the binding of 125I NGF to p75NTR (p75 neurotrophic) receptor and of the apoptosis induced by NGF (nerve growth factor) for treating p75NTR related diseases (no data). For example, II was prepared by reacting 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- 1-ethanone (preparation given) and 1-methyl-2-pyrrolecarboxaldehyde in THF in the presence of NaBH(OAc)3/AcOH. I inhibited the binding of 125I NGF to p75NTR receptor with IC50 in the range of 10-11 M to 10-6 M at the biochem. level. I inhibited the pro-apoptic effect induced by NGF, via growing cells

expressing preferentially p75NTR, with IC50 in the range of 10-11 M to 10-6 M at the cellular level.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 20 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:470968 CAPLUS Full-text

DOCUMENT NUMBER: 143:26635

TITLE: Preparation of (4-Phenylpiperazin-1-yl)acylpiperidine derivatives as inhibitors of NGF binding (nerve growth factor) to p75NTR (p75 neurotrophic) receptor for treating p75NTR related diseases

INVENTOR(S): Dos Santos, Victor; Wagnon, Jean

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.

SOURCE: Fr. Demande, 49 pp.
CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

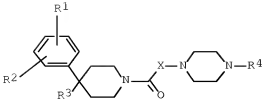
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2862967	A1	20050603	FR 2003-14173	20031201
FR 2862967	B1	20060804		
WO 2005054227	A1	20050616	WO 2004-FR3067	20041130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1699778	A1	20060913	EP 2004-805591	20041130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS				
JP 2007512385	T	20070517	JP 2006-541975	20041130
US 20070021609	A1	20070125	US 2006-420508	20060526

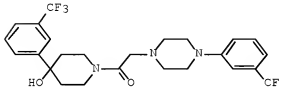
PRIORITY APPLN. INFO.: FR 2003-14173 A 20031201
WO 2004-FR3067 W 20041130

OTHER SOURCE(S): MARPAT 143:26635

GI



I



II

AB Title compds. I [wherein n = 1-2; R1 = halo, CF3, alkyl, alkoxy, OCF3; R2 = H, halo; R3 = H, OH and derivs., NH2 and derivs., etc.; R4 = (un)substituted Ph; their free bases, or acid addition salts, and their hydrates or solvates] were prepared as inhibitors of the binding of 125I NGF to p75NTR (p75 neurotrophic) receptor and of the apoptosis induced by NGF (nerve growth factor) for treating p75NTR related diseases (no data). For example, II•HCl was prepared by reacting 2-chloro-1-[4-hydroxy-4-[3- (trifluoromethyl)phenyl]-1-piperidinyl]-1-ethanone (preparation given) with 1-[3-(trifluoromethyl)phenyl]piperazine in the presence of KI/K2CO3/MeCN. I inhibited the binding of 125I NGF to p75NTR receptor with IC50 in the range of 10-11 M to 10-6 M at the biochem. level. I inhibited the pro-apoptic effect induced by NGF, via growing cells expressing preferentially p75NTR, with IC50 in the range of 10-11 M to 10-6 M at the cellular level.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 21 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:451356 CAPLUS Full-text

DOCUMENT NUMBER: 143:7981

TITLE: Preparation of amino acid piperidinamides as melanocortin receptor agonists

INVENTOR(S): Lee, Koo; Park, Heui-Sul; Ahn, In-Ae; Yoo, Hyun-Ju; Choi, Sung-Pil; Choi, Deog-Young; Yim, Hyeon-Joo; Kwon, O-Hwan; Kondoh, Yutaka

PATENT ASSIGNEE(S): Lg Life Sciences Ltd., S. Korea; Yamanouchi Pharmaceutical Co., Ltd.

SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

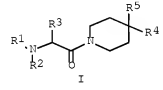
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005047253	A1	20050526	WO 2004-KR2930	20041112

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK,
LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO,
NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,
SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG

KR 2005045927 A 20050517 KR 2004-92245 20041112
PRIORITY APPLN. INFO.: KR 2003-79800 A 20031112
OTHER SOURCE(S): MARPAT 143:7981
GI



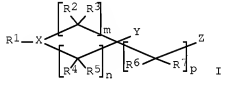
I

AB The invention relates to amino acid derivs. I [R1 = H, (CH2)0-3-R6, (CH2)0-3CO-R6, (CH2)0-3SO2-R6, CO(CH2)0-3-R6; where R6 = (un)substituted alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, amino or hydroxy; R2 = H, alkyl or cycloalkyl; or R1R2N = heterocyclyl; R3 = (un)substituted alkyl, (CH2)0-3-cycloalkyl, -Ph or -heteroaryl in which the rings may be substituted; R4 = Ph, cyclohexyl or an amino group; R5 = H, (CH2)0-3R7, where R7 = H, amino, OH, alkyl, acyl, carbamoyl, etc.], including pharmaceutically-acceptable salts, hydrates, solvates and isomers, which are effective agonists of the melanocortin receptor (MCR). Thus, (2R)-2-amino-N-[4-cyclohexyl-4-(tert-butylcarbamoyl)piperidin-1-yl]-3-(4- chlorophenyl)propionamide TFA salt was prepared via amidation reaction and showed EC50 = 0.005-0.5 μM and IC50 = 0.1-0.5 μM against MCR4.
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 22 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:369273 CAPLUS Full-text
DOCUMENT NUMBER: 142:430299
TITLE: Preparation of novel piperidine and cyclohexanecarbonitrile derivatives effective in enhancing LDL receptor manifestation
INVENTOR(S): Ban, Hitoshi; Ohnuma, Satoshi; Tsuboya, Norie; Asano, Shigehiro
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: PCT Int. Appl., 209 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2005037269 A1 20050428 WO 2004-JP15773 20041019
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1679069 A1 20060712 EP 2004-792910 20041019
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
US 20070078120 A1 20070405 US 2006-576581 20060420
PRIORITY APPLN. INFO.: JP 2003-361256 A 20031021
WO 2004-JP15773 W 20041019
OTHER SOURCE(S): MARPAT 142:430299
GI



AB Drugs for enhancing LDL receptor manifestation contains compds. represented by the following formula (I), prodrugs thereof, or pharmaceutically acceptable salts of either [m, n, p = 0-4, provided that 3≤m+n≤8; X = N, each (un)substituted CH; Y = each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or aromatic group, COY; R1 = H, each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, 3- to 8-membered saturated heterocyclyl containing one (un)substituted NH or O, aromatic group, COR14; R14 = each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or aromatic group; R2-R7 = H, OH, each (un)substituted alkyl, alkoxy, alkoxycarbonyl, aralkyl, heteroarylalkyl, aralkyloxy, or heteroarylalkyloxy; or one or a plural combination of R2 and R3, R4 and R5, or R6 and R7 = oxo; or R2 and R4 together = alkylene; two of R2-R5 are on the adjacent carbon atom to form a double bond; Z = H, OH, CO2H, cyano, phthalimido, halo, each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or aromatic group, etc.] as active ingredients. These compds. are effective in enhancing low d. lipoprotein (LDL) receptor manifestation and lowering blood concentration of LDL cholesterol and are useful as therapeutic agents for treating hyperlipemia and arteriosclerosis. Thus, 0.019 mL benzyl bromide was added to a suspension of 40 mg 4-(3-methoxyphenyl)-1,4'- bipiperidine-4-carbonitrile dihydrochloride and 92.6 mg K2CO3 in 1.0 mL DMF under ice-cooling, and the resulting mixture was warmed to room temperature, stirred overnight, and quenched by adding water to give, after workup and silica gel chromatog., 15.6 mg 1'-benzyl-4-(3-methoxyphenyl)-1,1'- bipiperidine-4-carbonitrile (II). II at 10 μM and N-benzyl-4-(3-methoxyphenyl)-1-(pyrimidin-2-yl)piperidine-4-carbothioamide at 3 μM enhanced the LDL receptor activity by 135 and 195%, resp.

REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS

L5 ANSWER 23 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:220128 CAPLUS Full-text
DOCUMENT NUMBER: 142:298111
TITLE: Preparation of 2-substituted benzimidazole piperidines as selective melanin concentrating hormone receptor antagonists for the treatment of obesity and related disorders
INVENTOR(S): Burnett, Duane A.; Wu, Wen-Lian; Sasikumar, Thavalakulamgara K.; Greenlee, William J.; Caplen, Mary Ann; Guo, Tao; Hunter, Rachael Catherine
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 57 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050054628	A1	20050310	US 2004-926557	20040826
CA 2536929	A1	20050317	CA 2004-2536929	20040826
WO 2005023798	A1	20050317	WO 2004-US27734	20040826
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1664022	A1	20060607	EP 2004-782252	20040826
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1845916	A	20061011	CN 2004-80024937	20040826
JP 2007504146	T	20070301	JP 2006-524846	20040826
MX 2006PA02372	A	20060620	MX 2006-PA2372	20060228

PRIORITY APPLN. INFO.: US 2003-498876P P 20030829
WO 2004-US27734 W 20040826
OTHER SOURCE(S): CASREACT 142:298111; MARPAT 142:298111
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Y = bond, divalent alkyl, etc.; M = 0-1; n = 0, 2, 3; Ar = (hetero)aryl, R1 = H, alkyl, cycloalkyl, etc.; R4 = OH, alkoxy, etc.] are prepared For instance, II is prepared in 9 steps from 4-aminomethyl-1-benzyl-4-phenylpiperidine, 4,5-difluorobenzene-1,2-diamine and 3-cyanobenzeneboronic acid. In a selected example, a Ki of 3 nM for the melanin concentrating hormone (MCH) receptor is observed I are useful in treating obesity, metabolic disorders, eating disorders, e.g., hyperphagia and diabetes.

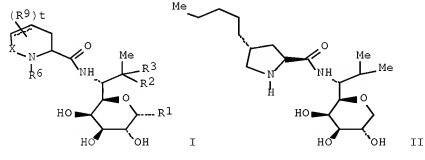
L5 ANSWER 24 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:160818 CAPLUS Full-text
DOCUMENT NUMBER: 142:261735
TITLE: Preparation of lincomycin derivatives as antibacterial agents
INVENTOR(S): Lewis, Jason G.; Anandan, Sampath-Kumar; O'Dowd, Hardwin; Gordeev, Mikhail F.
PATENT ASSIGNEE(S): Vicuron Pharmaceuticals, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 125 pp., Cont.-in-part of U.S. Ser. No. 777,455.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050043248	A1	20050224	US 2004-871618	20040617
US 7199106	B2	20070403		
US 20040116690	A1	20040617	US 2003-642807	20030815
US 7164011	B2	20070116		
US 20040230046	A1	20041118	US 2004-777455	20040211
US 7199105	B2	20070403		
US 20050215488	A1	20050929	US 2004-992564	20041117
US 7256177	B2	20070814		
US 20060148722	A1	20060706	US 2005-217836	20050831
US 7361743	B2	20080422		

PRIORITY APPLN. INFO.:

US 2003-479296P	P	20030617
US 2003-479502P	P	20030617
US 2003-642807	A2	20030815
US 2004-777455	A2	20040211
US 2002-403770P	P	20020815
US 2004-871618	A2	20040617
US 2004-992564	A2	20041117

OTHER SOURCE(S): MARPAT 142:261735
GI



AB Lincomycin derivs. I, wherein the delocalized bond represents a double bond or a single bond; R1 is alkyl, SMe, S-alkyl, S-(2-hydroxyethyl), (heteroaryl)alkyl, H, halogen, alkyl-sulfanyl, alkenyl, alkoxy, cycloalkyl-alkyl; R2 and R3 are independently H, alkyl, alkenyl, alkoxy, CN, alkyl-

sulfanyl, OH, halo, oxime; R6 is H, alkyl, (carboxamide)alkyl, (carbamoyl)alkyl, alkoxycarbonyl, (alkoxycarbonyl)alkyl, (alkoxycarbonyl-amino)alkyl, amine; R9 is H, alkyl, halo, alkenyl, (heteroaryl)alkenyl, sulfonyl, X is (CH2)m; m is 0-2; t is 0-3; are prepared as antibacterial agents. The compds. of the subject invention may exhibit potent activities against bacteria, including gram pos. organisms, and may be useful antimicrobial agents. Methods of synthesis and of use the compds. are also disclosed. Title compds. have a min. inhibition concentration of 32 μg/mL or less against at least one of the organisms selected from the group consisting of Streptococcus pneumoniae, Staphylococcus aureus, Staphylococcus epidermidis, Enterococcusfaecalis, Enterococcusfaecium, Haemophilus influenzae, Moraxella catarrhalis, Escherichia coli, Bacteroidesfragilis, and Clostridium difficile. Thus, aminodeoxy glycoside II was prepared and tested in vitro as antibacterial agent.

REFERENCE COUNT: 101 THERE ARE 101 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 25 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:120944 CAPLUS Full-text

DOCUMENT NUMBER: 142:240671

TITLE: Preparation of lincomycin derivatives as antibacterial agents

INVENTOR(S): Lewis, Jason G.; Anandan, Sampath K.; O'dowd, Hardwin; Gordeev, Mikhail F.

PATENT ASSIGNEE(S): Vicuron Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 284 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

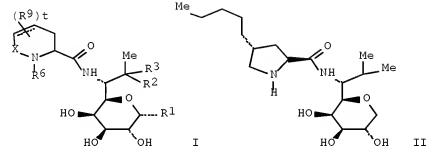
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012320	A2	20050210	WO 2004-US19689	20040617
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20040116690	A1	20040617	US 2003-642807	20030815
US 7164011	B2	20070116		
US 20040230046	A1	20041118	US 2004-777455	20040211
US 7199105	B2	20070403		
AU 2004261550	A1	20050210	AU 2004-261550	20040617
CA 2528592	A1	20050210	CA 2004-2528592	20040617
EP 1644393	A2	20060412	EP 2004-776816	20040617
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004011534	A	20060822	BR 2004-11534	20040617
CN 1823083	A	20060823	CN 2004-80020301	20040617
JP 2007516172	T	20070621	JP 2006-517464	20040617
NO 2005005893	A	20060314	NO 2005-5893	20051212

MX 2005PA13915	A	20060703	MX 2005-PA13915		20051216
PRIORITY APPLN. INFO.:			US 2003-479296P	P	20030617
			US 2003-479502P	P	20030617
			US 2003-642807	A	20030815
			US 2004-777455	A	20040211
			US 2002-403770P	P	20020815
			WO 2004-US19689	W	20040617

OTHER SOURCE(S): CASREACT 142:240671; MARPAT 142:240671

GI



I II

AB Lincomycin derivs. I, wherein the delocalized bond represents a double bond or a single bond; R1 is alkyl, SMe, S-alkyl, S-(2-hydroxyethyl), (heteroaryl)alkyl, H, halogen, alkylsulfanyl, alkenyl, alkoxy, cycloalkyl-alkyl; R2 R3 are independently H, alkyl, alkenyl, alkoxy, CN, alkylsulfanyl, OH, halo, oxime; R6 is H, alkyl, (carboxamido)alkyl, (carbamoyl)alkyl, alkoxycarbonyl, (alkoxycarbonyl)alkyl, (alkoxycarbonyl-amino)alkyl, amine; R9 is H, alkyl, halo, alkenyl, (heteroaryl)alkenyl, sulfonyl, X is (CH2)m; m is 0-2; t is 0-3; are prepared as antibacterial agents. The compds. of the subject invention may exhibit potent activities against bacteria, including gram pos. organisms, and may be useful antimicrobial agents. Methods of synthesis and of use the compds. are also disclosed. Title compds. have a min. inhibition concentration of 32 μg/mL or less against at least one of the organisms selected from the group consisting of Streptococcus pneumoniae, Staphylococcus aureus, Staphylococcus epidermidis, Enterococcusfaecalis, Enterococcusfaecium, Haemophilus influenzae, Moraxella catarrhalis, Escherichia coli, Bacteroidesfragilis, and Clostridium difficile. Thus, aminodeoxy glycoside II was prepared and tested in vitro as antibacterial agent.

L5 ANSWER 26 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2005:14219 CAPLUS Full-text
DOCUMENT NUMBER:	142:114065
TITLE:	Preparation of benzene and phenol derivatives as inhibitors of sensory neuron specific (SNS) sodium channels
INVENTOR(S):	Jennings, Neil Stuart; Stokes, Stephen; Hamlyn, Richard John; Tickle, David Christopher; Huckstep, Michael Richard; Lynch, Rosemary; Knutsen, Lars Jacob Stray
PATENT ASSIGNEE(S):	Ionix Pharmaceuticals Limited, UK

SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005000309	A2	20050106	WO 2004-GB2697	20040624
WO 2005000309	A3	20050303		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:
GB 2003-15139 A 20030627
GB 2003-15140 A 20030627
US 2003-485742P P 20030710
US 2003-485743P P 20030710

OTHER SOURCE(S): MARPAT 142:114065
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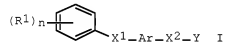

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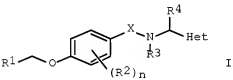

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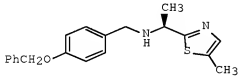

III

AB Benzenes I [wherein each R1 independently is halo, alk(yl/oxy), alkylthio, hydroxy, amino or (di)alkylamino; n is 0-3; X1 is a direct bond or -L-O/S/NR'-L1-; L and L1 are direct bond or alkylene; R' is H or alkyl; Ar is 5/6-membered heteroaryl or Ph group; X2 is a direct bond -L2-O/S/NR'-, C(O) or S(O); L2 is a direct bond or alkylene; Y is alkylene, alkyl, Ph or hetero(aryl/cyclyl); et al., with some limitations], phenol derivs. II [wherein R1 = H, alkyl, (hetero)aryl or (hetero)cyclyl; each R2 independently = alkyl, halo, alkoxy, alkylthio, OH, NO2, cyano, amino or (di)alkylamino; R3 = H, alkyl, or links with R4; R4 = H, alkyl, (hetero)aryl or (hetero)cyclyl; n

= 0-4; X = CH2, C(O), S(O), S(O)2; Het = heteroaryl or heterocyclyl], and pharmaceutically acceptable salts thereof were prepared as inhibitors of sensory neuron specific (SNS) sodium channels. For example, reductive amination of 4-benzyloxybenzaldehyde with 1-(S)-(5-methylthiazol-2-yl)ethylamine trifluoroacetate (preparation given) in the presence of triethylamine and sodium cyanoborohydride gave III in 27% yield, which showed IC50 of 3.83 μM against human Nav1.8 ion channel. Therefore, the invented compds. and pharmaceutical compns. thereof are useful as analgesic and neuroprotective agents.

L5 ANSWER 27 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:999707 CAPLUS Full-text
DOCUMENT NUMBER: 141:424382
TITLE: Preparation of lincomycin thio glycoside derivatives possessing antibacterial activity
INVENTOR(S): Lewis, Jason G.; Patel, Dinesh V.; Anandan, Sampath Kumar; Gordeev, Mikhail F.
PATENT ASSIGNEE(S): Vicuron Pharmaceuticals Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 102 pp., Cont.-in-part of U.S. Ser. No. 642,807.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040230046	A1	20041118	US 2004-777455	20040211
US 7199105	B2	20070403		
US 20040116690	A1	20040617	US 2003-642807	20030815
US 7164011	B2	20070116		
CA 2528596	A1	20050127	CA 2004-2528596	20040617
WO 2005007665	A2	20050127	WO 2004-US19497	20040617
WO 2005007665	A3	20050818		
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AU 2004261550	A1	20050210	AU 2004-261550	20040617
CA 2528592	A1	20050210	CA 2004-2528592	20040617
WO 2005012320	A2	20050210	WO 2004-US19689	20040617
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SN, TD, TG

US 20050043248	A1	20050224	US 2004-871618	20040617	
US 7199106	B2	20070403			
EP 1644393	A2	20060412	EP 2004-776816	20040617	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR					
EP 1654268	A2	20060510	EP 2004-785949	20040617	
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BR 2004011537	A	20060801	BR 2004-11537	20040617	
BR 2004011534	A	20060822	BR 2004-11534	20040617	
CN 1823083	A	20060823	CN 2004-80020301	20040617	
JP 2007516172	T	20070621	JP 2006-517464	20040617	
JP 2007528360	T	20071011	JP 2006-517386	20040617	
US 20050215488	A1	20050929	US 2004-992564	20041117	
US 7256177	B2	20070814			
US 20060148722	A1	20060706	US 2005-217836	20050831	
US 7361743	B2	20080422			
NO 2005005893	A	20060314	NO 2005-5893	20051212	
MX 2005PA13915	A	20060703	MX 2005-PA13915	20051216	
MX 2005PA14064	A	20060711	MX 2005-PA14064	20051219	

PRIORITY APPLN. INFO.:

US 2002-403770P	P	20020815
US 2003-479502P	P	20030617
US 2003-642807	A2	20030815
US 2003-479296P	P	20030617
WO 2003-US25820	A	20030815
US 2004-777455	A	20040211
US 2004-871618	A2	20040617
WO 2004-US19497	W	20040617
WO 2004-US19689	W	20040617
US 2004-992564	A2	20041117

OTHER SOURCE(S): MARPAT 141:424382

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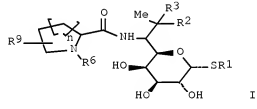

I

AB Lincomycin thio glycoside derivs. I, wherein R1 is alkyl; R2 and R3 are independently H, alkyl, hydroxy, fluoro, or cyanoalkyl or one of R2 and R3 is = NOR7 and the other is absent, or one of R2 and R3 is = CH2 and the other is absent, with the proviso that both R2 and R3 are not H; when one of R2 and R3 is fluoro, the other is not hydrogen or hydroxy; and when one of R2 and R3 is hydroxy, the other is not fluoro, hydrogen, or hydroxy; R6 is selected from the group consisting of H, alkyl, hydroxyalkyl, -C(O)O-alkylen-cycloalkyl, -C(O)O-alkylene-substituted cycloalkyl,-C(O)O-alkyl, -C(O)O-substituted alkyl, -C(O)O-aryl, -C(O)O-substituted aryl, -C(O)O-heteroaryl, -C(O)O-substituted heteroaryl, -[C(O)O]p-alkyleneheterocycle, -[C(O)O]p-alkylene-substituted heterocycle, wherein p = 0-1; R7 is H or alkyl; R9 is hydrogen, alkyl, alkoxyalkoxy, cycloalkyl, alkoxyalkoxy, substituted oxygen, substituted

nitrogen, halogen, Ph, substituted Ph, -(CH2)m-OH, -(CH2)m-NR4R5, -alkylene-Ra where Ra is monofluorophenyl and monochlorophenyl, and branched chain isomers thereof wherein m is an integer of from 1 to 8 inclusive and R4 and R5 are H or alkyl; n is 1 or 2; are disclosed. These lincomycin derivs. exhibit antibacterial activity. As the compds. of the subject invention exhibit potent activities against bacteria, including gram pos. organisms, they are useful antimicrobial agents. Methods of synthesis and of use of the compds. are also disclosed. Prodrugs, tautomers or pharmaceutically acceptable salts thereof; with the proviso that the compound of formula I has a min. inhibition concentration of 32 μg/mL or less against at least one of the organisms selected from the group consisting of Streptococcus pneumoniae, Staphylococcus aureus, Staphylococcus epidermidis, Enterococcus faecalis, Enterococcus faecium, Haemophilus influenzae, Moraxella catarrhalis, Escherichia coli, Bacteroides fragilis, Bacteroides thetaiotaomicron, and Clostridium difficile. Thus, 1-(4-n-propyl-N- methylpyrrolidin-2-yl)-N-[1-[3,4,5-trihydroxy-6-(methylthio)tetrahydropyran-2-yl]-2-methylprop-1-yl]acetamide was prepared and tested in mice as antibacterial agent.

REFERENCE COUNT: 106 THERE ARE 106 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 28 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:252507 CAPLUS Full-text

DOCUMENT NUMBER: 140:287409

TITLE: Preparation of carbamoylpiperazines as melanocortin-4 receptor agonists

INVENTOR(S): Bakshi, Raman Kumar; Nargund, Ravi P.; Palucki, Brenda L.; Park, Min K.; Ye, Zhixiong

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 154 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024720	A1	20040325	WO 2003-US27892	20030905
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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CA 2498272	A1	20040325	CA 2003-2498272	20030905
AU 2003268493	A1	20040430	AU 2003-268493	20030905
EP 1539735	A1	20050615	EP 2003-749459	20030905
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006505531	T	20060216	JP 2004-536116	20030905
US 20060040906	A1	20060223	US 2005-526178	20050228
PRIORITY APPLN. INFO.:			US 2002-409879P	P 20020911
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OTHER SOURCE(S): MARPAT 140:287409

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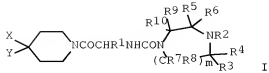

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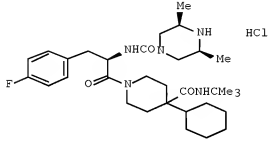

II

AB Piperazines I [R1 = H, (un)substituted alkyl, cycloalkyl, aryl, heteroaryl; R2 = H, (un)substituted alkyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, CH2C.tplbond.CH, CH2CHF2; R3-R10 = H, (un)substituted alkyl, aryl, cycloalkyl, heterocyclyl, heteroaryl; R3R5, R3R9, R5R7, R7R9 = atoms required to complete a 5-7-membered ring; X = (un)substituted alkyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, CN, CONH2, CO2H, acyl, NH2, SH, s(O)H, SO2H, OH; Y = H, (un)substituted alkyl, alkenyl, cycloalkyl, aryl, heteroaryl, heterocyclyl; m = 1, 2] were prepared for use as agonists of the human melanocortin-4 receptor (MC-4R) and, in particular, as receptor-subtype selective agonists of MC-4R. They are useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity and diabetes. Thus, (R)-4-FC6H4CH2CH(CO2H)NHCO2CMe3 was treated with 1-cyclohexyl-4-tert.-butoxycarbamoylpiperidine hydrochloride, followed by deblocking and reaction with cis-2,6-dimethylpiperazine to give the title compound II.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 29 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:162704 CAPLUS Full-text

DOCUMENT NUMBER: 140:199635

TITLE: Preparation of lincomycin thio glycoside derivatives possessing antibacterial activity

INVENTOR(S): Lewis, Jason; Patel, Dinesh V.; Kumar, Anandan S.; Gordeev, Mikhail F.

PATENT ASSIGNEE(S): Vicuron Pharmaceuticals, Inc., USA; Anandan, Sampath K.

SOURCE: PCT Int. Appl., 143 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2004016632 A2 20040226 WO 2003-US25820 20030815
WO 2004016632 A3 20040624
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CA 2493799 A1 20040226 CA 2003-2493799 20030815
AU 2003265475 A1 20040303 AU 2003-265475 20030815
EP 1529052 A2 20050511 EP 2003-788609 20030815
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CN 1681832 A 20051012 CN 2003-821293 20030815
JP 2006504673 T 20060209 JP 2004-529541 20030815
BR 2003013725 A 20060613 BR 2003-13725 20030815
NZ 538141 A 20070629 NZ 2003-538141 20030815
CA 2528596 A1 20050127 CA 2004-2528596 20040617
WO 2005007665 A2 20050127 WO 2004-US19497 20040617
WO 2005007665 A3 20050818
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1654268 A2 20060510 EP 2004-785949 20040617
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
BR 2004011537 A 20060801 BR 2004-11537 20040617
JP 2007528360 T 20071011 JP 2006-517386 20040617
MX 2005PA01689 A 20050527 MX 2005-PA1689 20050211
NO 2005001289 A 20050509 NO 2005-1289 20050314
MX 2005PA14064 A 20060711 MX 2005-PA14064 20051219

PRIORITY APPLN. INFO.:

US 2002-403770P	P	20020815
US 2003-479502P	P	20030617
US 2003-642807	A	20030815
WO 2003-US25820	W	20030815
US 2004-777455	A	20040211
WO 2004-US19497	W	20040617

OTHER SOURCE(S): MARPAT 140:199635

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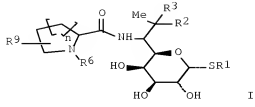

I

AB Lincomycin thio glycoside derivs. I, wherein R1 is alkyl; R2 and R3 are independently H, alkyl, hydroxy, fluoro, or cyanoalkyl or one of R2 and R3 is = NOR7 and the other is absent, or one of R2 and R3 is = CH2 and the other is absent, with the proviso that both R2 and R3 are not H; when one of R2 and R3 is fluoro, the other is not hydrogen or hydroxy; and when one of R2 and R3 is hydroxy, the other is not fluoro, hydrogen, or hydroxy; R6 is selected from the group consisting of H, alkyl, hydroxyalkyl, -C(O)O-alkylen-cycloalkyl, -C(O)O-alkylene-substituted cycloalkyl,-C(O)O-alkyl, -C(O)O-substituted alkyl, -C(O)O-aryl, -C(O)O-substituted aryl, -C(O)O-heteroaryl, -C(O)O-substituted heteroaryl, -[C(O)O]p-alkyleneheterocycle, -[C(O)O]p-alkylene-substituted heterocycle, wherein p = 0-1; R7 is H or alkyl; R9 is hydrogen, alkyl, alkoxyalkoxy, cycloalkyl, alkoxyalkoxy, substituted oxygen, substituted nitrogen, halogen, Ph, substituted Ph, -(CH2)m-OH, -(CH2)m-NR4R5, -alkylene-Ra where Ra is monofluorophenyl and monochlorophenyl, and branched chain isomers thereof wherein m is an integer of from 1 to 8 inclusive and R4 and R5 are H or alkyl; n is 1 or 2; are disclosed. These lincomycin derivs. exhibit antibacterial activity. As the compds. of the subject invention exhibit potent activities against bacteria, including gram pos. organisms, they are useful antimicrobial agents. Methods of synthesis and of use of the compds. are also disclosed. Prodrugs, tautomers or pharmaceutically acceptable salts thereof; with the proviso that the compound of formula I has a min. inhibition concentration of 32 μg/mL or less against at least one of the organisms selected from the group consisting of Streptococcus pneumoniae, Staphylococcus aureus, Staphylococcus epidermidis, Enterococcus faecalis, Enterococcus faecium, Haemophilus influenzae, Moraxella catarrhalis, Escherichia coli, Bacteroides fragilis, Bacteroides thetaiotaomicron, and Clostridium difficile. Thus, 1-(4-n-propyl-N- methylpyrrolidin-2-yl)-N-[1-[3,4,5-trihydroxy-6-(methylthio)tetrahydropyran-2-yl]-2-methylprop-1-yl]acetamide was prepared and tested in mice as antibacterial agent.

L5 ANSWER 30 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2003:991507 CAPLUS Full-text
DOCUMENT NUMBER:	140:42206
TITLE:	Preparation of piperazinylacylpiperidines as inhibitors of NGF binding (nerve growth factor) to p75NTR (p75 neurotrophic) receptor for treating p75NTR related diseases
INVENTOR(S):	Bono, Francoise; Bosch, Michaeel; Dos Santos, Victor; Herbert, Jean Marc; Nisato, Dino; Tonnerre, Bernard; Wagnon, Jean
PATENT ASSIGNEE(S):	Sanofi-Synthelabo, Fr.
SOURCE:	PCT Int. Appl., 56 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	French
FAMILY ACC. NUM. COUNT:	2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104226	A1	20031218	WO 2003-FR1686	20030605
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003255645	A1	20031222	AU 2003-255645	20030605
EP 1513836	A1	20050316	EP 2003-757109	20030605
EP 1513836	B1	20060503		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
CN 1675203	A	20050928	CN 2003-818808	20030605
JP 2005533051	T	20051104	JP 2004-511296	20030605
AT 325122	T	20060615	AT 2003-757109	20030605
AT 336491	T	20060915	AT 2003-757108	20030605
PT 1513836	T	20060929	PT 2003-757109	20030605
ES 2264001	T3	20061216	ES 2003-757109	20030605
ES 2271637	T3	20070416	ES 2003-757108	20030605
TW 283671	B	20070711	TW 2003-92115416	20030606
ZA 2004009823	A	20060726	ZA 2004-9823	20041203
US 20060167007	A1	20060727	US 2004-516808	20041203
US 7294628	B2	20071113		
PRIORITY APPLN. INFO.:			FR 2002-7001 A	20020607
			WO 2003-FR1686 W	20030605
OTHER SOURCE(S):	MARPAT 140:42206			

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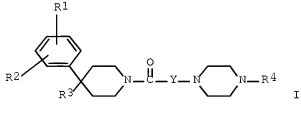

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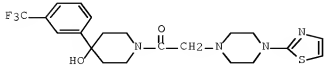

II

AB Title compds. I [wherein: Y = (CH2)n; n = 1 or 2; R1 = halo, CF3, alkyl, alkoxy, trifluoromethoxy; R2 = H, halo; R3 = H, OR5, CH2OR5, NH2 and derivs., NHCOR6 and derivs., NHCONH2 and derivs., CH2NR7R8, CH2NHCONH2 and derivs., alkoxycarbonyl, CONH2 and derivs.; or R3 forms a double bond between the carbon atom where it is bound to and the neighboring carbon atom of the piperidine cycle; R4 = 1,3-thiazol-2-yl; R5 = H, alkyl, alkylcarbonyl; R6 = alkyl, (CH2)mNH2 and derivs.; m = 1,2, or 3; R7, R8 = independently H, alkyl; R8 = (CH2)qOH, (CH2)qSMe; q = 2 or 3; or R7R8N = aziridine, azetidine, pyrrolidine, piperidine, morpholine; and their salts, hydrates and solvates] were prepared as inhibitors of the binding of 125I NGF to p75NTR (p75 neurotrophic) receptor and of the apoptosis induced by NGF (nerve growth factor) for treating p75NTR related diseases (no data). For example, I (m.p. = 157-158°) was prepared by reacting 2-chloro-1-[4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-1- ethanone (preparation given) and 1-(1,3-thiazol-2-yl)piperazine dihydrochloride (preparation given) in the presence of KI/K2CO3/MeCN. I inhibited the binding of 125I NGF to p75NTR receptor with IC50 in the range of 10-11 M to 10-6 M at the biochem. level. I inhibited the pro-apoptic effect induced by NGF, via growing cells expressing preferentially p75NTR, with IC50 in the range of 10-11 M to 10-6 M at the cellular level.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 31 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:991506 CAPLUS Full-text

DOCUMENT NUMBER: 140:27846

TITLE: Preparation of piperazinylacylpiperidines as inhibitors of NGF binding (nerve growth factor) to p75NTR (p75 neurotrophic) receptor for treating p75NTR related diseases

INVENTOR(S): Bono, Francoise; Bosch, Michaeel; Dos, Santos Victor; Herbert, Jean Marc; Nisato, Dino; Tonnerre, Bernard; Wagnon, Jean

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.; Dos Santos, Victor

SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104225	A1	20031218	WO 2003-FR1685	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2487840	A1	20031218	CA 2003-2487840	20030605
AU 2003255644	A1	20031222	AU 2003-255644	20030605
EP 1513835	A1	20050316	EP 2003-757108	20030605
EP 1513835	B1	20060816		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

BR 2003011828	A	20050329	BR 2003-11828		20030605
CN 1675203	A	20050928	CN 2003-818808		20030605
JP 2005534661	T	20051117	JP 2004-511295		20030605
AT 325122	T	20060615	AT 2003-757109		20030605
NZ 537044	A	20060831	NZ 2003-537044		20030605
AT 336491	T	20060915	AT 2003-757108		20030605
PT 1513836	T	20060929	PT 2003-757109		20030605
ES 2264001	T3	20061216	ES 2003-757109		20030605
ES 2271637	T3	20070416	ES 2003-757108		20030605
TW 283671	B	20070711	TW 2003-92115416		20030606
US 20050176722	A1	20050811	US 2004-516704		20041202
ZA 2004009823	A	20060726	ZA 2004-9823		20041203
NO 2004005331	A	20050307	NO 2004-5331		20041206
IN 2004KN01862	A	20060407	IN 2004-KN1862		20041206
MX 2004PA12341	A	20050930	MX 2004-PA12341		20041207
PRIORITY APPLN. INFO.:			FR 2002-7001	A	20020607
			WO 2003-FR1685	W	20030605

OTHER SOURCE(S): MARPAT 140:27846

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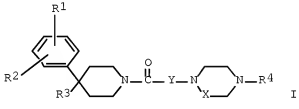

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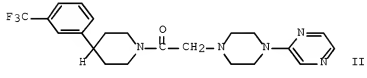

II

AB Title compds. I [wherein: Y = (CH2)n; n = 1 or 2; X = (CH2)p; p = 1 or 2; R1 = halo, CF3, alkyl, alkoxy, trifluoromethoxy; R2 = H, halo; R3 = H, OR5, CH2OR5, NH2 and derivs., NHCOR6 and derivs., NHCONH2 and derivs., CH2NR7R8, CH2NHCONH2 and derivs., alkoxycarbonyl, CONH2 and derivs.; or R3 forms a double bond between the carbon atom where it is bound to and the neighboring carbon atom of the piperidine cycle; R4 = (un)substituted pyridinyl, pyrazinyl, pyrimidinyl, pyridazinyl, 3(2H)-pyridazinon-5-yl, 3(2H)-pyridazinon-4-yl; R5 = H, alkyl, alkylcarbonyl; R6 = alkyl, (CH2)mNH2 and derivs.; m = 1,2, or 3; R7, R8 = independently H, alkyl; R8 = (CH2)qOH, (CH2)qSMe; q = 2 or 3; or R7R8N = aziridine, azetidine, pyrrolidine, piperidine, morpholine; and their salts, hydrates and solvates] were prepared as inhibitors of the binding of 125I NGF to p75NTR (p75 neurotrophic) receptor and of the apoptosis induced by NGF (nerve growth factor) for treating p75NTR related diseases (no data). For example, II•HCl was prepared by reacting 1-(2-pyrazinyl)piperazine (preparation given) with 2-chloro-1-[4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-1-ethanone (preparation given) in the presence of KI/K2CO3/MeCN,

followed by acidulation with HCl. I inhibited the binding of 125I NGF to p75NTR receptor with IC50 in the range of 10-11 M to 10-6 M at the biochem. level. I inhibited the pro-apoptic effect induced by NGF, via growing cells expressing preferentially p75NTR, with IC50 in the range of 10-11 M to 10-6 M at the cellular level.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 32 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:892748 CAPLUS Full-text

DOCUMENT NUMBER: 139:381377

TITLE: Preparation of 4-substituted N-acylpiperidines as melanocortin receptor ligands for controlling weight gain

INVENTOR(S): Ebetino, Frank Hallock; Liu, Xuewei; Solinsky, Mark Gregory; Wos, John August

PATENT ASSIGNEE(S): The Procter & Gamble Company, USA

SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003093234	A1	20031113	WO 2003-US11536	20030416
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20030236230	A1	20031225	US 2003-410775	20030409
US 7026335	B2	20060411		
CA 2483787	A1	20031113	CA 2003-2483787	20030416
AU 2003230923	A1	20031117	AU 2003-230923	20030416
EP 1499588	A1	20050126	EP 2003-724030	20030416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009744	A	20050209	BR 2003-9744	20030416
CN 1656070	A	20050817	CN 2003-812195	20030416
JP 2005525412	T	20050825	JP 2004-501373	20030416
NZ 536099	A	20060929	NZ 2003-536099	20030416
ZA 2004008529	A	20050707	ZA 2004-8529	20041021
MX 2004PA10761	A	20050307	MX 2004-PA10761	20041029
NO 2004005136	A	20050124	NO 2004-5136	20041125
US 20050171158	A1	20050804	US 2005-92100	20050329
IN 2005DN03777	A	20070810	IN 2005-DN3777	20050825

PRIORITY APPLN. INFO.:

US 2002-376585P	P	20020430
US 2003-410775	A1	20030409
WO 2003-US11536	W	20030416
IN 2004-DN3288	A3	20041025

OTHER SOURCE(S): MARPAT 139:381377

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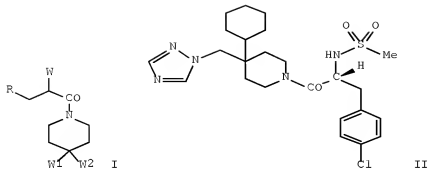

I II

AB The present invention relates to compds. that comprise a 4-substituted piperidine ring linked to a (un)substituted hydrocarbyl ring (shown as I; variables defined below; e.g. II) that are useful for controlling weight gain (no data). For I, including all enantiomeric and diastereomeric forms and pharmaceutically acceptable salts thereof: R is substituted aryl, W is a pendant unit -L-Q: L is a linking unit, Q is preferably a cyclic hydrocarbyl unit; W1 is preferably a carbocyclic unit and W2 is a heteroatom comprising unit; addnl. details are given in the claims. The compds. of the present invention will interact preferentially (i.e., selectively) to MC-4 and/or MC-3, relative to the other melanocortin receptors (no data). Although the methods of preparation are not claimed, 5 example prepns. of I and many example prepns. of intermediates are included.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 33 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:855758 CAPLUS Full-text
DOCUMENT NUMBER: 139:364829
TITLE: Preparation of heterocyclo inhibitors of potassium channel function
INVENTOR(S): Lloyd, John; Jeon, Yoon T.; Finlay, Heather; Yan, Lin; Beaudoin, Serge; Gross, Michael F.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Icagen, Inc.
SOURCE: PCT Int. Appl., 330 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003088908	A2	20031030	WO 2003-US11807	20030416
WO 2003088908	A3	20040527		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003223651	A1	20031103	AU 2003-223651		20030416
EP 1501467	A2	20050202	EP 2003-719792		20030416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2005529114	T	20050929	JP 2003-585661		20030416
NO 2004004351	A	20041013	NO 2004-4351		20041013
PRIORITY APPLN. INFO.:			US 2002-374279P	P	20020419
			WO 2003-US11807	W	20030416

OTHER SOURCE(S): MARPAT 139:364829

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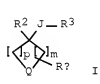

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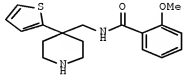


II

AB The title compds. [I; m, p = 0-3 (provided that the sum of m and p is at least 2); Q = NR1, O, S, SO, SO2; R1 = H, C(:W)NR6R7, SO2NR6R7, OCONR6R7, etc.; R2 = heteroaryl, heteroarylalkyl, aryl, etc.; J = a bond, alkylene; R3 = R5, OR5, SO2R5, etc.; R5 = CN, heteroaryl, aryl, etc.; R6, R7 = H, alkyl, OH, etc.; W = (un)substituted NH, N(CO2H), N(CN), N(SO2H), CH(NO2); Rx = H, alkyl, hydroxyalkyl, aryl, etc.], useful as inhibitors of potassium channel function (especially inhibitors of the Kv1 subfamily of voltage gated K+ channels, especially inhibitors Kv1.5 which has been linked to the ultra-rapidly activating delayed rectifier K+ current IKur) in the prevention and treatment of arrhythmia and IKur-associated conditions, were prepared E.g., a multi-step synthesis of II [starting from bis(2-chloroethyl)amine], was given. Pharmaceutical composition comprising the compound I is claimed.

L5 ANSWER 34 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2003:610426 CAPLUS Full-text
DOCUMENT NUMBER:	139:149925
TITLE:	Preparation of hydroxyalkanoyl aminopyrazoles and related compounds for inhibiting β-amyloid peptide release
INVENTOR(S):	Tung, Jay S.; Guinn, Ashley C.; Thorsett, Gene; Pleiss, Mike A.
PATENT ASSIGNEE(S):	Elan Pharmaceuticals, Inc., USA
SOURCE:	PCT Int. Appl., 62 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003064396	A1	20030807	WO 2003-US3143	20030131

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 20040006085 A1 20040108 US 2003-355700 20030131

US 7053220 B2 20060530

PRIORITY APPLN. INFO.: US 2002-353214P P 20020201

OTHER SOURCE(S): MARPAT 139:149925

AB The invention is directed to a class of compds. R3OCR2(Q)CR5R5aCO-X [X is heterocyclylamino, arylamino, carbomethoxyalkylamino, etc.; Q is Q1 or alkyl-O-Q1, where Q1 is (un)substituted alk(en)(yn)yl, cycloalkyl, carbocyclyl, aryl, heterocyclyl; R2 is H, Me, Et, Pr, or Bu; R3 is H, alkyl, (thio)alkanoyl, or carbamoyl; R5 is any group given for Q1 or alkoxy; R5a is H or alk(en)yl], including (hydroxyalkanoyl)aminopyrazoles, -aminothiadiazoles, -amino acid esters, -amino acid amides, -amino alcs., -amino ketones, and -hydantoins. Pharmaceutical formulations containing compds. of the invention are useful for inhibiting β-amyloid peptide release and/or synthesis, inhibiting γ-secretase activity, and treating neurol. disorders, including Alzheimer's disease, associated with β-amyloid peptide production The preparation of N-aminohydantoins used in the construction of hydroxyalkanoylaminohydantoins is given in the examples. Thus, N3-amino-5,5-diphenylimidazolidine-2,4-dione was prepared from 5,5-diphenylydantoin and hydrazine monohydrate and reacted with Boc-protected L-phenylglycine to prepare N3-[(2S)-aminophenylacetamido]-5,5- diphenylimidazolidine-2,4-dione.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 35 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:472390 CAPLUS Full-text

DOCUMENT NUMBER: 139:53026

TITLE: Preparation of ureidobenzothiazoles as adenosine receptor ligands

INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross, Roger David; Riemer, Claus

PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.

SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049741	A1	20030619	WO 2002-EP13761	20021205

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,

FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 20030149036	A1	20030807	US 2002-308338	20021203
US 6727247	B2	20040427		
CA 2469596	A1	20030619	CA 2002-2469596	20021205
AU 2002356626	A1	20030623	AU 2002-356626	20021205
AU 2002356626	B2	20071129		
BR 2002014825	A	20040914	BR 2002-14825	20021205
EP 1455792	A1	20040915	EP 2002-804578	20021205
EP 1455792	B1	20070418		

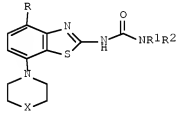
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

CN 1602196	A	20050330	CN 2002-824654	20021205
JP 2005516006	T	20050602	JP 2003-550790	20021205
AT 359792	T	20070515	AT 2002-804578	20021205
ES 2283652	T3	20071101	ES 2002-804578	20021205
RU 2311905	C2	20071210	RU 2004-121166	20021205
US 20040229893	A1	20041118	US 2003-691770	20031023
US 7019001	B2	20060328		
MX 2004PA05444	A	20041011	MX 2004-PA5444	20040604

PRIORITY APPLN. INFO.:		EP 2001-129228	A 20011210
		US 2002-308338	A3 20021203
		WO 2002-EP13761	W 20021205

OTHER SOURCE(S): MARPAT 139:53026

GI



I

AB Title compds. [I; R = alkoxy, halo; R1, R2 = H, alkyl, cycloalkyl, tetrahydropyran-4-yl; R1R2N = (substituted) 2-oxa-5- azabicyclo[2.2.1]heptyl, 3-endo-hydroxy-8-azabicyclo[3.2.1]octyl, 2-azabicyclo[2.2.2]octyl, 1-oxo-2,8-diazaspiro[4.5]decyl, 3-azaspiro[5.5]undecyl, 8-azaspiro[4.5]decyl, 1-oxa-8-azaspiro[4.5]decyl, 1,8,8-trimethyl-3-azabicyclo[3.2.1]octyl, 1,4-oxazepanyl, 2-oxa-5-azabicyclo[2.2.2]octyl, 8-oxa-3-azabicyclo[3.2.1]octyl, 1,4-diazabicyclo[3.2.1]octyl, 2-azabicyclo[2.2.1]heptyl, 3-azabicyclo[3.2.1]octyl, piperazinyl, piperidin-1-yl; X = O, CH2; n = 0-4], were prepared Thus, 4-methoxy-7-morpholin-4-ylbenzothiazol-2-ylamine in CH2Cl2 was treated with pyridine and Ph chloroformate and the resulting solution stirred for 45 min at ambient temperature; (1S,4S)-2-oxa-5- azabicyclo[2.2.1]heptane was added and the mixture stirred at ambient temperature for 15 min and at 40° for 2.5 h. to give (1S,4S)-2-oxa-5- azabicyclo[2.2.1]heptane-5-carboxylic acid (4-methoxy-7-morpholin-4- ylbenzothiazol-2-yl)amide. This bound to human A2a receptors with pKi = 8.5.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 36 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:257877 CAPLUS Full-text
DOCUMENT NUMBER: 138:255224
TITLE: Preparation of oxazolidinones
INVENTOR(S): Kawanami, Hajime; Ikushima, Yutaka; Torii, Kazuo
PATENT ASSIGNEE(S): National Institute of Advanced Industrial Science and Technology, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003096058	A	20030403	JP 2001-291202	20010925
JP 3873115	B2	20070124		
PRIORITY APPLN. INFO.:			JP 2001-291202	20010925

OTHER SOURCE(S): CASREACT 138:255224; MARPAT 138:255224
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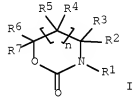

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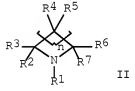


II

AB The compds. I [R1-R7 = H, (un)substituted aryl, C1-15 alkyl, alkenyl, alkynyl, cycloalkyl, etc.; n = 0-5] are prepared by reaction of cyclic amines II (R1-R7, n = same as I) with CO2 in the presence of halogen catalysts. 2-Phenylaziridine was treated with CO2 in the presence of I in EtOH at 40° under 100 kg/cm2 for 15 h to give 91.0% 2-phenyloxazolidinone.

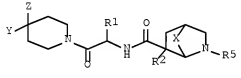
L5 ANSWER 37 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:76612 CAPLUS Full-text
DOCUMENT NUMBER: 138:137588
TITLE: Preparation of bridged piperidine amino acid derivatives as melanocortin receptor agonists
INVENTOR(S): Ye, Zhixiong; Barakat, Khaled J.; Guo, Liangqin; Nargund, Ravi P.; Sebhat, Iyassu K.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 105 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003007949	A1	20030130	WO 2002-US22258	20020712
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2453609	A1	20030130	CA 2002-2453609	20020712
AU 2002320494	A1	20030303	AU 2002-320494	20020712
AU 2002320494	B2	20060629		
EP 1411940	A1	20040428	EP 2002-750014	20020712
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2004538281	T	20041224	JP 2003-513556	20020712
US 20040180923	A1	20040916	US 2004-483913	20040114
US 7115628	B2	20061003		

PRIORITY APPLN. INFO.:		US 2001-306359P	P 20010718
		WO 2002-US22258	W 20020712

OTHER SOURCE(S): MARPAT 138:137588
GI



I

AB Novel bridged piperidine derivs. I [R1 = H or (un)substituted alkyl, (CHR7)0-2cycloalkyl, (CHR7)1-2O(CHR7)aryl, or (CHR7)0-2-(hetero)aryl, where R7 = H or (un)substituted alkyl, (CH2)0-2phenyl, -naphthyl, -heteroalkyl, or -cycloalkyl; or two R7 groups may form a ring; R2 = H, alkyl, (CH2)0-2cycloalkyl or -aryl; X = (CR3R4)1-2, where R3, R4 = H, alkyl, (CH2)0-2cycloalkyl or -aryl, OH, halo, or amino; R5 = H, alkyl, (CH2)0-2-(hetero)aryl, -cycloalkyl, or -heterocyclyl, acyl, CH2C.tplbond.CH, CO2R7, CH2CHF2, CONR72, SO2R7, etc.; Y = H, (un)substituted alk(en)yl, (CH2)0-2cycloalkyl, -Ph,-naphthyl, -heteroaryl, or -heterocyclyl; Z = alkyl or (CH2)0-2 attached to certain rings or functional groups] were prepared as agonists of human melanocortin receptor(s), in particular, the human melanocortin-4 receptor (MC-4R). They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, and sexual dysfunction. Thus, I (R1 = p-FC6H4CH2, R2 = R5 = H, X = CH2, Y = cyclohexyl, Z = Me3CNHCO) was prepared as

diastereomers via a coupling reaction. Compds. of the invention were found to bind to MC-4R (IC50 < 2 μM, EC50 < 1 μM).

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 38 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:813930 CAPLUS Full-text

DOCUMENT NUMBER: 137:325334

TITLE: Preparation of aryl and biaryl piperidines as MCH antagonists

INVENTOR(S): Hobbs, Douglas W.; Guo, Tao; Hunter, Rachael C.; Gu, Huizhong; Babu, Suresh D.; Shao, Yuefei

PATENT ASSIGNEE(S): Pharmacopeia, Inc., USA

SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

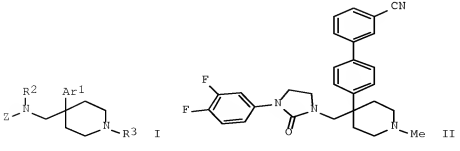
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083134	A1	20021024	WO 2002-US11296	20020410
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2443672	A1	20021024	CA 2002-2443672	20020410
AU 2002303299	A1	20021028	AU 2002-303299	20020410
US 20030013720	A1	20030116	US 2002-120080	20020410
US 6887889	B2	20050503		
EP 1377293	A1	20040107	EP 2002-731318	20020410
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004526761	T	20040902	JP 2002-580938	20020410
MX 2003PA09353	A	20040212	MX 2003-PA9353	20031010

PRIORITY APPLN. INFO.: US 2001-283523P P 20010412
WO 2002-US11296 W 20020410

OTHER SOURCE(S): MARPAT 137:325334

GI



AB The title compds. [I; Ar1 = (un)substituted Ph, pyridyl, pyrimidyl, etc.; Z = R4, COR4, SO2R4, etc.; R2 = H, alkyl, alkyl substituted with cycloalkyl; R3 = H, alkyl, cycloalkyl, etc.; R4 = Ph, phenylalkyl], useful for treatment, prevention or amelioration of one or more of diseases associated with the MCH receptor, were prepared E.g., a 7-step synthesis of II, starting from 3,4-difluorophenyl isocyanate, which showed Ki of 11-100 nM against MCH, was given. This invention provides also pharmaceutical compns. containing one or more of the compds. I for treatment of eating disorders.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 39 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:793427 CAPLUS Full-text

DOCUMENT NUMBER: 137:310932

TITLE: Preparation of N-substituted nonaryl heterocyclyl amides as NMDA/NR2B antagonists for relieving pain

INVENTOR(S): Liverton, Nigel J.; Butcher, John W.; McIntyre, Charles J.; Claiborne, Christopher F.; Claremon, David A.; McCauley, James A.; Romano, Joseph J.; Thompson, Wayne; Munson, Peter M.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 270 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002080928	A1	20021017	WO 2002-US10269		20020402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2443108	A1	20021017	CA 2002-2443108		20020402
AU 2002338334	A1	20021021	AU 2002-338334		20020402
US 20030119811	A1	20030626	US 2002-114685		20020402
US 7259157	B2	20070821			
EP 1390034	A1	20040225	EP 2002-763896		20020402
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2005511478	T	20050428	JP 2002-578967		20020402
PRIORITY APPLN. INFO.:			US 2001-281166P	P	20010403
			WO 2002-US10269	W	20020402

OTHER SOURCE(S): MARPAT 137:310932

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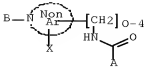

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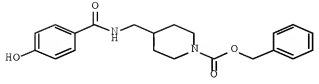

II

AB The title compds. [I; NonAr = nonarom. 5-7 membered containing heteroatoms; A = (un)substituted Ph, pyrrolyl, imidazolyl, etc.; B = aryl(CH2)0-3(CH2)0- 2CO, heteroaryl(CH2)1-3O(CH2)0-2CO, etc.; X = H, OH, F, etc.] which are effective as NMDA NR2B antagonists useful for relieving pain, were prepared E.g., a 2-step synthesis of II, starting with 4-aminomethylpiperidine, was given. The compds. I exhibit IC50's of less than 50 μM in the FLIPR and binding assays, and thus they have been found to exhibit biol. activity as NMDA NR2B antagonists.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 40 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:675992 CAPLUS Full-text
DOCUMENT NUMBER: 137:216873
TITLE: Acylated piperidine derivatives, specifically 1-(pyrrolidinylcarbonyl)piperidines, 1-(piperidinylcarbonyl)piperidines, and analogs, as melanocortin-4 receptor agonists, and their pharmaceutical compositions and therapeutic uses
INVENTOR(S): Goulet, Mark T.; Nargund, Ravi P.; Sebhat, Iyassu K.; Ujjainwalla, Feroze; Walsh, Thomas F.; Warner, Daniel; Young, Jonathan R.; Bakshi, Raman K.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Ye, Zhixiong
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002068387	A2	20020906	WO 2002-US5623	20020225
WO 2002068387	A3	20030220		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,

GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2439149	A1	20020906	CA 2002-2439149		20020225
AU 2002255597	A1	20020912	AU 2002-255597		20020225
AU 2002255597	B2	20060302			
EP 1372653	A2	20040102	EP 2002-725001		20020225
EP 1372653	B1	20061004			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2004527498	T	20040909	JP 2002-567901		20020225
AT 341327	T	20061015	AT 2002-725001		20020225
ES 2272703	T3	20070501	ES 2002-725001		20020225
ZA 2003006160	A	20040721	ZA 2003-6160		20030808
US 20040097546	A1	20040520	US 2003-468515		20030819
US 7015235	B2	20060321			
US 20060035935	A1	20060216	US 2005-239721		20050930
JP 2008150394	A	20080703	JP 2008-26028		20080206
PRIORITY APPLN. INFO.:			US 2001-272258P	P	20010228
			US 2001-300572P	P	20010622
			US 2001-300118P	P	20010622
			JP 2002-567902	A3	20020225
			WO 2002-US5623	W	20020225
			US 2003-468515	A3	20030819

OTHER SOURCE(S): MARPAT 137:216873
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Certain novel 4-substituted N-acylated piperidine derivs., specifically I, are agonists of the human melanocortin receptor(s) and, in particular, are selective agonists of the human melanocortin-4 receptor (MC-4R) [wherein: p = 1 or 2; q = 0, 1, or 2; n = 0, 1, or 2; R1 = H, amidino, alkyliminoyl, (un)substituted alkyl, (CH2)n-G1 [G1 = (un)substituted cycloalkyl, Ph, naphthyl, or heteroaryl]; R2 = (un)substituted Ph, naphthyl, or heteroaryl; X = alkyl, (CH2)n-G2 [G2 = (un)substituted cycloalkyl, Ph, naphthyl, heteroaryl, heterocyclyl, cyano, CONH2, CO2H, OH, NH2, and various derivs.]; Y = (un)substituted alkyl, alkenyl, (CH2)n-G3 [G3 = (un)substituted cycloalkyl, Ph, naphthyl, heteroaryl, or heterocyclyl]; including pharmaceutically acceptable salts]. They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Approx. 200 invention compds. I and approx. 80 intermediates were prepared For instance, amidation of (±)-trans-1-(tert-butoxycarbonyl)-3-(4- fluorophenyl)piperidine-4-carboxylic acid with 4-cyclohexyl-4-[(4,4- dimethyl-2-oxo-1,3-oxazolidin-3-yl)methyl]piperidine HCl, followed by N-deprotection with removal of BOC using HCl, and reductive N-methylation using paraformaldehyde and NaBH3CN, gave title compound (±)-trans-II, isolated as the trifluoroacetate salt. Representative compds. I bound to cloned human MC-4R in vitro with IC50 values generally below 2 μM, and also acted as agonists toward cloned human MCR in a functional assay with EC50 values less than 1 μM.

L5 ANSWER 41 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2002:675785 CAPLUS Full-text
DOCUMENT NUMBER:	137:216872
TITLE:	Acylated piperidine derivatives, specifically 1-[(aminocycloalkyl)carbonyl]piperidines, as

melanocortin-4 receptor agonists, and their pharmaceutical compositions and therapeutic uses

INVENTOR(S): Goulet, Mark T.; Nargund, Ravi P.; Ujjainwalla, Feroze; Walsh, Thomas F.; Warner, Daniel

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002067869	A2	20020906	WO 2002-US8002	20020225
WO 2002067869	A3	20030227		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2439119	A1	20020906	CA 2002-2439119	20020225
AU 2002250343	A1	20020912	AU 2002-250343	20020225
AU 2002250343	B2	20060525		
EP 1385506	A2	20040204	EP 2002-719251	20020225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004530656	T	20041007	JP 2002-567241	20020225
US 20040092501	A1	20040513	US 2003-468517	20030819
US 7012084	B2	20060314		
US 20060025442	A1	20060202	US 2005-239770	20050930

PRIORITY APPLN. INFO.:
US 2001-272259P P 20010228
WO 2002-US8002 W 20020225
US 2003-468517 A3 20030819

OTHER SOURCE(S): MARPAT 137:216872

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Certain novel 4-substituted N-acylated piperidine derivs., specifically I, are agonists of the human melanocortin receptor(s) and, in particular, are selective agonists of the human melanocortin-4 receptor (MC-4R) [wherein: p = 1 or 2; q = 0, 1, or 2; n = 0, 1, or 2; R1, R2 = H, amidino, alkyliminoyl, (un)substituted alkyl, (CH2)n-G1 [G1 = (un)substituted cycloalkyl, Ph, naphthyl, or heteroaryl]; or NR1R2 = 4- to 8-membered mono- or bicyclic ring system optionally containing an addition O, S, or N-alkyl atom(s); R3 = (un)substituted Ph, naphthyl, or heteroaryl; X = alkyl, (CH2)n-G2 [G2 = (un)substituted cycloalkyl, Ph, naphthyl, heteroaryl, heterocyclyl, cyano, CONH2, CO2H, OH, NH2, and various derivs.]; Y = H, (un)substituted alkyl, alkenyl, cycloalkyl, (CH2)n-G3 [G3 = (un)substituted cycloalkyl, Ph, naphthyl, heteroaryl, or heterocyclyl]; including pharmaceutically acceptable salts]. They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity,

diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Approx. 40 invention compds. I and approx. 20 intermediates were prepared For instance, the intermediate ester (±)-trans-Me 2-(4-chlorophenyl)-4- oxocyclohexanecarboxylate (preparation given) was saponified and the resulting acid was used to amidate 4-cyclohexyl-4-[(4,4-dimethyl-2-oxo-1,3-oxazolidin-3-yl)methyl]piperidine HCl. The obtained keto amide was aminated using dimethylamine, Ti(OPr-iso)4, and NaBH4, to give epimeric invention compds. α- and β-II, isolated sep. as the trifluoroacetate salts. Representative compds. I bound to cloned human MC-4R in vitro with IC50 values generally below 2 μM, and also acted as agonists toward cloned human MCR in a functional assay with EC50 values less than 1 μM.

L5 ANSWER 42 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:171864 CAPLUS Full-text
DOCUMENT NUMBER: 136:232312
TITLE: Preparation of dialkoxyaminoquinazolines as alpha-1 adrenergic antagonists
INVENTOR(S): Becker, Cyrus Kephra; Melville, Chris Richard; Pfister, Juerg Roland; Zhang, Xiaoming
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018348	A2	20020307	WO 2001-EP9749	20010823
WO 2002018348	A3	20020711		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2420177	A1	20020307	CA 2001-2420177	20010823
AU 2001093788	A	20020313	AU 2001-93788	20010823
EP 1315714	A2	20030604	EP 2001-974210	20010823
EP 1315714	B1	20051109		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013585	A	20030729	BR 2001-13585	20010823
JP 2004507527	T	20040311	JP 2002-523466	20010823
JP 3971299	B2	20070905		
CN 1545510	A	20041110	CN 2001-816595	20010823
AT 309240	T	20051115	AT 2001-974210	20010823
ES 2251512	T3	20060501	ES 2001-974210	20010823
AU 2001293788	B2	20071011	AU 2001-293788	20010823
US 20020045614	A1	20020418	US 2001-942385	20010829
US 6559153	B2	20030506		
ZA 2003001082	A	20040507	ZA 2003-1082	20030207
MX 2003PA01777	A	20030604	MX 2003-PA1777	20030227
PRIORITY APPLN. INFO.:			US 2000-229503P P	20000831

OTHER SOURCE(S): MARPAT 136:232312

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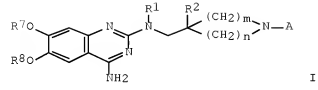
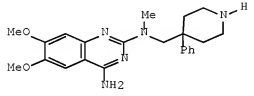


I



II

AB Title compds. I [R1 = H, alkyl; R2 = alkyl, (un)substituted heterocyclyl, heteroaryl or aryl; R7 and R8 independently = alkyl; A = H, (CH2)0-1R3, COR3, SO2R3, CO2R3, CONR4R5, SO2NR4R5, C(NR6)R5 or C(NR6)NR4R5; R3 = (un)substituted alkyl, aryl, arylalkyl, heteroaryl, etc.; R4 and R5 independently = H, or R4R5 together form 5-7 membered cycloalkyl or heterocyclyl; R6 = H, alkyl, CN; n = 0-2 and m = 0-3 wherein m + n ≥ 2] or prodrugs, individual isomers, racemic or non-racemic mixts. of isomers, or pharmaceutically acceptable salts or solvates thereof are prepared and disclosed as alpha-1B adrenergic receptor antagonists. Thus, II was prepared via substitution of 2-chloro-6,7-dimethyl-quinazolin-4- ylamine with (1-benzyl-4-phenyl-piperidin-4-ylmethyl)-methyl-amine, followed by N-debenzylation. II possessed a pKi of 7.99 toward alpha-1B, pKi of 6.52 toward alpha-1A, and pKi of 6.60 toward alpha-1D. The invention further relates to pharmaceutical compns. containing I and the use of such compds. in the control and prevention of diseases, such as disorders of the urinary tract, sexual dysfunction, pain, or disorders of the central nervous system.

L5 ANSWER 43 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2002:157581 CAPLUS Full-text
DOCUMENT NUMBER:	136:216648
TITLE:	Preparation of substituted piperidines as melanocortin receptor agonists
INVENTOR(S):	Bakshi, Raman K.; Barakat, Khaled J.; Lai, Yingjie; Nargund, Ravi P.; Palucki, Brenda L.; Park, Min K.; Patchett, Arthur A.; Sebhat, Iyassu; Ye, Zhixiong
PATENT ASSIGNEE(S):	Merck & Co., Inc., USA
SOURCE:	PCT Int. Appl., 128 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002015909	A1	20020228	WO 2001-US25757	20010817
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2419310	A1	20020228	CA 2001-2419310	20010817
AU 2001088285	A	20020304	AU 2001-88285	20010817
EP 1320366	A1	20030625	EP 2001-968006	20010817
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004506687	T	20040304	JP 2002-520830	20010817
AU 2001288285	B2	20050929	AU 2001-288285	20010817
US 20030236262	A1	20031225	US 2003-343040	20030127
US 6767915	B2	20040727		
PRIORITY APPLN. INFO.:			US 2000-227180P	P 20000823
			WO 2001-US25757	W 20010817
OTHER SOURCE(S):	MARPAT 136:216648			

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; X = C1-8 alkyl, alkylenecycloalkyl, alkylenearyl, alkyleneheteroaryl, etc.; X = C1-8 alkyl, alkylenecycloalkyl, alkylenearyl, alkyleneheteroaryl, etc.; R1 = H, C1-8 alkyl, alkylenecycloalkyl, alkylenearyl, alkyleneheteroaryl; Q = amino-tetrahydronaphthyl, amino-benzocycloheptyl, methylamino- tetrahydronaphthyl, aminoindanyl, amino-benzothiopyranyl, amino-1,4-dihydro-1,4-methanonaphthyl, etc.; n = 0, 1, 2], stereoisomers, and pharmaceutically acceptable salts are prepared as agonists of the human melanocortin receptors and, in particular, as selective agonists of the human melanocortin-4 receptor (MC-4R). Title compds. I are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Pharmaceutical composition including title compds. I and second active ingredient are claimed. Thus, the title compound II was prepared from 4-F-D-Phe-4-cyclohexyl-piperidine-4-carboxylic acid Et ester HCl salt and cis-1,2,3,4-tetrahydro-1-tert-butoxycarbonyl-naphthalene-2-carboxylic acid, which was prepared from 1,2-dihydroaphthalene, ClSO2NCO.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 44 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2002:143285 CAPLUS Full-text
DOCUMENT NUMBER:	136:200107
TITLE:	Preparation of indoles and azaindoles as tachykinin antagonists
INVENTOR(S):	Dinnell, Kevin; Elliott, Jason Matthew; Hollingworth, Gregory John; Shaw, Duncan Edward
PATENT ASSIGNEE(S):	Merck Sharp & Dohme Ltd., UK
SOURCE:	U.S. Pat. Appl. Publ., 26 pp.

CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020022624	A1	20020221	US 2001-903108	20010711
US 6476045	B2	20021105		

PRIORITY APPLN. INFO.: GB 2000-17256 A 20000713
OTHER SOURCE(S): CASREACT 136:200107; MARPAT 136:200107
GI

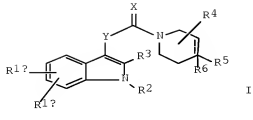
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; Het = II-VI (wherein the dotted line represents an optional double bond; A completes a fused pyridine ring; and B completes a fused benzene or pyridine ring); X = O, S, H2, :NH, :N(alkyl); Y = alkylene, alkenylene, alkynylene; Z = CR5R6, NR7; R1a, R1b = H, alkyl, alkoxy, etc.; R2 = H, alkyl, fluoroalkyl, etc.; R3 = (un)substituted Ph, biphenyl, naphthyl, etc.; R4 = H, alkyl, CO, etc.; R5, R6 = H, halo, alkyl, etc.; R7 = alkyl, cycloalkyl, naphthyl, etc.] which are of particular use in the treatment or prevention of depression, anxiety, pain, inflammation, migraine, emesis or postherpetic neuralgia, were prepared Thus, treating Me 5-chloro-2-(4-chlorophenyl)-1-methyl-1H- pyrrolo[2,3-b]pyridine-3-propanoate (preparation given) with LiOH in MeOH/THF/H2O followed by reaction of the resulting acid with 4-(phenylmethyl)-4-piperidinol in the presence of 1-hydroxybenzotriazole, Et3N and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide.HCl in THF afforded 83% 1-{3-[5-chloro-2-(4-chlorophenyl)-1-methyl-1H-pyrrolo[2,3-b]pyridin-3- yl]-1-oxopropyl}-4-(phenylmethyl)-4-piperidinol.

L5 ANSWER 45 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:817246 CAPLUS Full-text
DOCUMENT NUMBER: 135:357843
TITLE: Preparation of 2-Aryl indole derivatives for use as tachykinin receptor antagonists
INVENTOR(S): Dinnell, Kevin; Elliott, Jason Matthew; Hollingworth, Gregory John; Ridgill, Mark Peter; Shaw, Duncan Edward
PATENT ASSIGNEE(S): UK
SOURCE: U.S. Pat. Appl. Publ., 37 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20010039286	A1	20011108	US 2001-782422	20010213

PRIORITY APPLN. INFO.: GB 2000-3397 A 20000214
OTHER SOURCE(S): MARPAT 135:357843
GI



I

AB 2-Aryl indole derivs. I (wherein R1a, R1b, and R2 = a variety of substituents; R3 = optionally substituted Ph, biphenyl or naphthyl or heteroaryl group; R4 = H, (C1-6)alkyl, carbonyl (=O), (CH2)pphenyl or a (C1-2)alkylene bridge across the piperidine ring; R5 and R6 = variety of substituents; or R5 and R6 together are linked so as to form an optionally substituted 5-or 6-membered ring; X = O or S, two H atoms, boxHNH or boxHN(C1-6 alkyl); Y = straight or branched (C1-4)alkylene, (C2-4)alkenylene or (C2-4)alkynylene chain; the dotted line represents an optional double bond; m = 0,1,2,3,4; n = 1,2,3,4; and p = 1,2,3,4), or a pharmaceutically acceptable salt thereof, were prepared, and their use as tachykinin receptor antagonists evaluated. Thus, diisopropylethylamine and bromoacetonitrile were added to a loaded resin (synthetic preparation given) in N-methylpyrrolidinone, to which was added a solution of 6-(methylsulfonyl)spiro-[2H-1-benzopyran-2,4'-piperidin]-4(3H)-one in THF to give 1'-{3-[5-chloro-2-(4-chlorophenyl)-1H-indol-3-yl]-1-oxopropyl}-6- (methylsulfonyl)spiro(2H-1-benzopyran-2,4'-piperidin)-4(3H)-one. The compds. are of particular use in the treatment or prevention of depression, anxiety, pain, inflammation, migraine, emesis or postherpetic neuralgia. Biol. data are given.

L5 ANSWER 46 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2000:880962 CAPLUS Full-text
DOCUMENT NUMBER:	134:42445
TITLE:	Preparation of piperidine amino acid derivatives as melanocortin-4 receptor agonists
INVENTOR(S):	Bakshi, Raman K.; Barakat, Khaled J.; Nargund, Ravi P.; Palucki, Brenda L.; Patchett, Arthur A.; Sebhat, Iyassu; Ye, Zhixiong; Van, Der Ploeg Leonardus H. T.
PATENT ASSIGNEE(S):	Merck & Co., Inc., USA; Van Der Ploeg, Leonardus H. T.
SOURCE:	PCT Int. Appl., 124 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000074679	A1	20001214	WO 2000-US14930	20000531

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,

CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2377369	A1	20001214	CA 2000-2377369		20000531
EP 1187614	A1	20020320	EP 2000-937961		20000531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2003505435	T	20030212	JP 2001-512328		20000531
AU 766191	B2	20031009	AU 2000-53068		20000531
US 6350760	B1	20020226	US 2000-585111		20000601
US 20020137664	A1	20020926	US 2001-990499		20011121
AU 2003248456	A1	20031106	AU 2003-248456		20030929
PRIORITY APPLN. INFO.:			US 1999-137477P	P	19990604
			US 1999-169209P	P	19991202
			WO 2000-US14930	W	20000531
			US 2000-585111	A3	20000601

OTHER SOURCE(S): MARPAT 134:42445
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Piperidine derivs. I [R2C2 = aryl, 5- or 6-membered heteroaryl or heterocyclyl, 5- to 7-membered carbocyclyl, which may be substituted; L = (CRb2)m, where Rb = H, alkyl, (CH2)n-cycloalkyl or -aryl; m = 0-2, n = 0-3; X, Y = (CH2)0-2; Ra = H, alkyl, (CHRb)n-cycloalkyl, -aryl, -heteroaryl, -O(CHRb)naryl, which may be substituted; Re = H, alkyl, (CH2)n-aryl, -cycloalkyl, -heteroaryl, which may be substituted, acyl, sulfonyl, etc.; R1 = H, alkyl, (CH2)n-cycloalkyl, -aryl, -heteroaryl, -heterocyclyl; R2 = any group given for R1, CN, (CH2)n-carboxamido, -carboxy, -acylamino, sulfonylamino, -amino, etc.] were prepared as agonists of the human melanocortin receptors, in particular, the human melanocortin-4 receptor (MC-4R). They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Thus, II trifluoroacetate, prepared by coupling of Et 1-(D-4-chlorophenylalanyl)-4- cyclohexyl-4-[(1,2,4-triazol-1-yl)methyl]piperidine trifluoroacetate (preparation given) with N-tert-butoxycarbonyl-1,2,3,4-tetrahydroisoquinoline-3- carboxylic acid (Boc-D-Tic), was > 2,200-fold, > 10,000-fold, and > 580-fold selective for the human MC-4R over human MC-1R, MC-2R, and MC-3R, resp.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 47 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2000:874202 CAPLUS Full-text
DOCUMENT NUMBER:	134:29410
TITLE:	Preparation of oxazolidinones and related compounds as adrenergic α1A receptor antagonists
INVENTOR(S):	Lagu, Bharat; Dhar, Tg Murali; Nagarathnam, Dhanapalan; Jeon, Yoon T.; Marzabadi, Mohammad R.; Wong, Wai C.; Gluchowski, Charles
PATENT ASSIGNEE(S):	Synaptic Pharmaceutical Corporation, USA
SOURCE:	U.S., 74 pp. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6159990	A	20001212	US 1998-99225	19980617
US 6620815	B1	20030916	US 2000-636518	20000810
PRIORITY APPLN. INFO.:			US 1997-50096P P	19970618
			US 1998-99225 A1	19980617

OTHER SOURCE(S): MARPAT 134:29410
GI

I

AB Title compds. [I; X = O, S; X1 = O, S, NH; R2 = H, (CH2)rXR3, CO2R3, alkyl, aminoalkyl, alkenyl, alkynyl, etc.; r = 1-4; R3 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl; R4 = (substituted) aryl, heteroaryl, aralkyl, heteroarylalkyl, etc.; R5 = H, (substituted) aryl, aralkyl, heteroarylalkyl, heteroaryl; adjacent R2R5 = aryl, heteroaryl, indanyl, tetrahydronaphthyl, cycloalkyl, heterocyclyl; Z = (substituted) acyl, alkenyl linker; R1 = (substituted) arylpiperidinyl, arylpiperazinyl, etc.], were prepared Thus, 4-(3,4-difluorophenyl)oxazolidin-2-one was stirred with NaH in THF/HMPA followed by addition of 1,5-dibromopentane to give 50% 4-(3,4-difluorophenyl)-1-(5-bromopentyl)oxazolidin-2-one. this was refluxed with K2CO3 and 1-(2-methoxyphenyl)piperazine in dioxane to give 88% 4-(3,4-difluorophenyl)-3-[5-[4-(2-methoxyphenyl)piperazin-1- yl]pentyl]oxazolidin-2-one. The latter bound to human α1A, α1D α1B receptors with Ki = 0.5, 11, and 21, resp.

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 48 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:643016 CAPLUS Full-text
DOCUMENT NUMBER: 133:223053
TITLE: Preparation of amino acid amide derivatives for use as calcitonin gene-related peptide antagonists in pharmaceutical compositions
INVENTOR(S): Eberlein, Wolfgang; Rudolf, Klaus; Engel, Wolfhard; Doods, Henri; Hallermayer, Gerhard
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 36 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

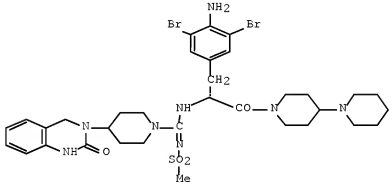
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19911039	A1	20000914	DE 1999-19911039	19990312
CA 2361939	A1	20000921	CA 2000-2361939	20000308
WO 2000055154	A1	20000921	WO 2000-EP2004	20000308

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1163239	A1	20011219	EP 2000-922505		20000308
EP 1163239	B1	20030528			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2002539208	T	20021119	JP 2000-605583		20000308
JP 3719937	B2	20051124			
AT 241616	T	20030615	AT 2000-922505		20000308
PT 1163239	T	20031031	PT 2000-922505		20000308
ES 2199819	T3	20040301	ES 2000-922505		20000308
US 6313097	B1	20011106	US 2000-523472		20000310
MX 2001PA07986	A	20020108	MX 2001-PA7986		20010807
PRIORITY APPLN. INFO.:			DE 1999-19911039	A	19990312
			US 1999-129937P	P	19990419
			WO 2000-EP2004	W	20000308

OTHER SOURCE(S): MARPAT 133:223053

GI



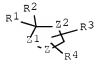
I

AB Title compds., e.g.(I; see patent for general claims), were prepared and tested as CGRP antagonists for use in pharmaceutical prepns. for treatment of headache, non-insulin dependent diabetes mellitus, cardiovascular diseases, skin diseases, inflammatory diseases, allergic rhinitis, asthma, morphine tolerance, and menopausal hot flashes (formulations given), and for use as diagnostic or anal. aides in RIA or ELISA assays and as diagnostic or analytic auxiliary agents in neurotransmitter research. Thus, di-Ph methanesulfonylimidocarbonate was reacted with 1-(4-amino-3,5-dibromo-D-phenylalanyl)-4-(1-piperidinyl)piperidine (as the bis-trifluoroacetate salt), and the product further reacted with 3,4-dihydro-3-(4-piperidinyl)-2(1H)-quinazolinone to give I (27%). In in vitro tests of human calcitonin gene related peptide (CGRP) receptor binding using Sk-N-MC-cells, title compds. had IC50 ≤ 104 nM, and in the same system, had CGRP-antagonist activity at doses from 10-11-10-5M.

L5 ANSWER 49 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:314546 CAPLUS Full-text
DOCUMENT NUMBER: 132:321801
TITLE: Preparation of 4-[(benzoylamino)methyl]piperidines and analogs as potassium channel inhibitors
INVENTOR(S): Bao, Jianming; Kayser, Frank; Kotliar, Andrew; Parsons, William H.; Rupprecht, Kathleen M.; Claiborne, Christopher F.; Liverton, Nigel; Claremon, David A.; Thompson, Wayne J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000025786	A1	20000511	WO 1999-US25066	19991026
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6303637	B1	20011016	US 1999-422500	19991021
CA 2348735	A1	20000511	CA 1999-2348735	19991026
CA 2348735	C	20071211		
EP 1126849	A1	20010829	EP 1999-955169	19991026
EP 1126849	B1	20050309		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002528503	T	20020903	JP 2000-579227	19991026
AU 764515	B2	20030821	AU 2000-11338	19991026
AT 290382	T	20050315	AT 1999-955169	19991026
PRIORITY APPLN. INFO.:			US 1998-106292P P	19981030
			WO 1999-US25066 W	19991026

OTHER SOURCE(S): MARPAT 132:321801
GI



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AB Title compds. [I; R1 = CH2NR10COR6; R2,R6 = (un)substituted Ph; R3,R4 = H, halo, alkyl, acyl, etc.; R10 = H, alkyl, acyl, etc.; Z = O, SO0-2, NR5; R5 = H, OH, alkyl, acyl, etc.; Z1,Z2 = bond, CH2, CH2CH2] were prepared as potassium channel inhibitors (no data). Thus, 4-cyano-1-benzyl-4-phenylpiperidine was reduced and the product N-acylated by 2-(MeO)C6H4COCl to

give, after deprotection and Ac2O acylation, 2-(MeO)C6H4CONHCH2Z3Ac (Z3 = 4-phenylpiperidine-4,1-diyl).

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 50 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:811218 CAPLUS Full-text

DOCUMENT NUMBER: 132:49974

TITLE: Preparation of heterocyclic compounds as hypoglycemic agents

INVENTOR(S): Suzuki, Mikio; Ohdoi, Keisuke; Kato, Katsuhiro; Matsumoto, Hiromitsu; Toyama, Koji; Kitahara, Masaki; Yotsumoto, Takashi

PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 227 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

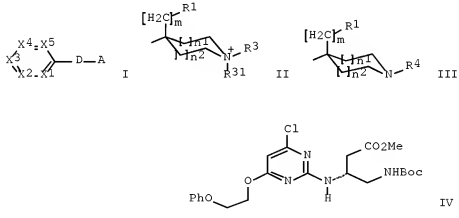
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965881	A1	19991223	WO 1999-JP3214	19990616
W: AU, CA, CN, CZ, FI, HU, IL, KR, LT, MX, NO, NZ, RO, RU, SI, SK, UA, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 2001031652	A	20010206	JP 1999-172366	19990618
PRIORITY APPLN. INFO.:			JP 1998-172435 A	19980619
			JP 1999-140693 A	19990520

OTHER SOURCE(S): MARPAT 132:49974

GI



AB The title compds. [I; A = CH[(CH2)mR1](CH2)nR2, II, III (wherein m, n, n1, n2 = 0-3; R1 = H, halo, NO2, etc.; R2 = H, halo, NO2, etc,; R3, R31 = alkyl; R4 = H, alkyl, acyl, etc.); D = a bond, CH2, O, etc.; X1-X5 = N, CR5 (R5 = H, halo,

etc.)] having a hypoglycemic effect, and therefore useful for preventing and treating diabetes and diabetic complications, were prepared and formulated. Thus, reacting 2,6-dichloro-4-(2- phenoxyethoxy)pyrimidine (preparation given) with Me 3(R)-amino-4-(tert- butoxycarbonylamino)butyrate afforded 86% (R)-IV which showed 53.4% carnitine-palmitoyl transferase (CPT) inhibition at 30 μM.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 51 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:736657 CAPLUS Full-text

DOCUMENT NUMBER: 131:336948

TITLE: Preparation of piperidine derivatives with growth hormone releasing properties

INVENTOR(S): Hansen, Thomas Kruse; Ankersen, Michael

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9958501	A1	19991118	WO 1999-DK260	19990510
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6303620	B1	20011016	US 1999-306151	19990506
CA 2329881	A1	19991118	CA 1999-2329881	19990510
AU 9937010	A	19991129	AU 1999-37010	19990510
AU 757217	B2	20030206		
BR 9910329	A	20010130	BR 1999-10329	19990510
EP 1077941	A1	20010228	EP 1999-919125	19990510
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, FI, RO				
HU 2001002071	A2	20020328	HU 2001-2071	19990510
HU 2001002071	A3	20020628		
JP 2004500312	T	20040108	JP 2000-548305	19990510
RU 2243215	C2	20041227	RU 2000-131184	19990510
PL 194560	B1	20070629	PL 1999-344042	19990510
TW 222969	B	20041101	TW 1999-88108436	19990524
ZA 2000005820	A	20010904	ZA 2000-5820	20001019
MX 2000PA10585	A	20010419	MX 2000-PA10585	20001027
IN 2000CN00621	A	20050304	IN 2000-CN621	20001108
NO 2000005668	A	20010110	NO 2000-5668	20001110
NO 318080	B1	20050131		

PRIORITY APPLN. INFO.:	DK 1998-636	A	19980511
	DK 1998-875	A	19980701
	US 1998-85886P	P	19980518
	US 1998-91947P	P	19980518
	WO 1998-PA875	A	19980701
	WO 1999-DK260	W	19990510

OTHER SOURCE(S): MARPAT 131:336948

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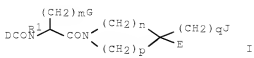

I

AB Disubstituted piperidine compds. I [R1 = H, alkyl; m, q = 0-3; n, p = 0-5; D = R2NH(CR3R4)e(CH2)fM(CHR5)g(CH2)h; G = O(CH2)kR8, substituted heterocyclyl or Ph or naphthyl; J = O(CH2)lR13, substituted heterocyclyl or Ph or naphthyl; E = CONR18, CO2R19, etc.], with growth hormone releasing properties, were prepared E.g., 1-{(2R)-2-[N-((2E)-5-amino-5- methylhex-2-enoyl)-N-methylamino]-3-(2-naphthyl)propionyl}-4- benzylpiperidine-4-carboxylic acid methylamide was prepared

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 52 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:227936 CAPLUS Full-text
DOCUMENT NUMBER: 130:282070
TITLE: Preparation of N-[[1-(4-cyanobenzyl)-1H-imidazol-5-yl]methyl]piperidines and analogs as farnesyl protein transferase inhibitors
INVENTOR(S): Anthony, Neville J.; Gomez, Robert P.; Wai, John S.; Embrey, Mark W.; Fisher, Thorsten E.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 91 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 5891889	A	19990406	US 1997-831308		19970401
US 6248756	B1	20010619	US 1999-248883		19990211
PRIORITY APPLN. INFO.:			US 1996-14791P	P	19960403
			US 1997-831308	A3	19970401

OTHER SOURCE(S): MARPAT 130:282070
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention is directed to compds. which inhibit farnesyl-protein transferase (FPTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compns. containing the compds., and methods for inhibiting FPTase and Ras farnesylation using them. In particular, title compds. I and II and their pharmaceutically acceptable salts are claimed [wherein Ar = (un)substituted Ph; R1 = H, Me; Q1 = (un)substituted (CH2)0-4; X = bond, CH2, CO, (un)substituted NHCO, S, SO, or SO2; Y = H, (un)substituted alkyl, OH or derivs., SH or derivs., NH2 or derivs., etc.; X1 = bond, (un)substituted NHCO or NH, O, S, SO, SO2; A1,A2 =

bond, CH:CH, CO, O, (alkyl)imino, etc.; Q2 = (un)substituted (CH2)0-2; Z = (un)substituted aryl; addnl. substituents allowed on piperidine ring]. Over 130 invention compds. and numerous intermediates were prepared For instance, the invention compound III was claimed in particular, and was prepared in 5 steps. Thus, Et isonipecotate underwent a sequence of: (1) N-protection with BOC; (2) deprotonation and alkylation in the 4-position using NaN(SiMe3)2 and 3-(CF3O)C6H4CH2Br; (3) reduction of the Et ester to a hydroxymethyl group using LiAlH4; (4) removal of the BOC group with HCl; and (5) reductive alkylation at N using 1-(4-cyanobenzyl)imidazole-5-carboxaldehyde and NaBH3CN, yielding III after chromatog. In a test for inhibition of farnesylation of Ras-CVIM with human FPTase in vitro, almost all example compds. had IC50 of ≤ 50 μM.

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 53 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:8509 CAPLUS Full-text

DOCUMENT NUMBER: 130:38399

TITLE: Preparation of spiro[furo[2,3-f]indole-7,4'-piperidine] derivatives and analogs as 5-HT1B/1D antagonists

INVENTOR(S): Halazy, Serge; Lamothe, Marie; Jorand, Lebrun Catherine

PATENT ASSIGNEE(S): Pierre Fabre Medicament, Fr.

SOURCE: Fr. Demande, 39 pp.
CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2761069	A1	19980925	FR 1997-3410	19970320
PRIORITY APPLN. INFO.:			FR 1997-3410	19970320

OTHER SOURCE(S): MARPAT 130:38399

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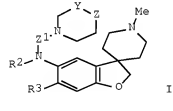


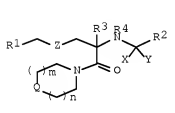
I

AB Title compds. [I; R2,R3 = H; R2R3 = CH2CH2 or CH:CH; Z = XZ2R; R = (un)substituted Ph, -naphthyl, -pyridinyl; XY = NCH2, NCH2CH2, C:CH, CR1CH2; R1 = H, halo, alkyl, alkoxy, etc.; Z1 = CO, SO2, (CH2)m+1, CO(CH2)m, (CH2)mCO, etc.; Z2 = bond, (CH2)n, CO, (CH2)nCO, etc.; m,n = 1-6] were prepared Thus, 1'-methyl-2,3,6,7-tetrahydrospiro[furo[2,3- f]indole-7,4'-piperidine] was N-acylated by 1-chlorocarbonyl-4-(2,3- dimethylphenyl)piperazine to give I (R2R3 = CH2CH2, Y = CH2, Z = NC6H3Me2-2,3, Z1 = CO). Data for biol. activity of I were given.

L5 ANSWER 54 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:798591 CAPLUS Full-text
DOCUMENT NUMBER: 128:13439
ORIGINAL REFERENCE NO.: 128:2625a,2628a
TITLE: Preparation of serine derivatives useful as tachykinin antagonists
INVENTOR(S): Elliott, Jason Matthew; Macleod, Angus Murray; Stevenson, Graeme Irvine
PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
SOURCE: Brit. UK Pat. Appl., 80 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2309458	A	19970730	GB 1997-1206	19970121
US 5885999	A	19990323	US 1997-786522	19970121
PRIORITY APPLN. INFO.:			GB 1996-1724 A	19960129
OTHER SOURCE(S):	CASREACT 128:13439; MARPAT 128:13439			

GI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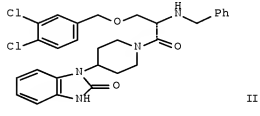
II

AB Title compds. I [m = 0-2; n = 0, 1; with the proviso that m + n = 1 or 2; R1 = Ph, naphthyl, Ph2CH, PhCH2, where the naphthyl or any Ph moiety may be substituted; R2 = H, Ph, heteroaryl such as indazolyl, thienyl, furanyl, pyridyl, thiazolyl, tetrazolyl, quinolinyl, naphthyl, Ph2CH, PhCH2, wherein each heteroaryl, the naphthyl and any Ph moiety may be substituted; R3, R4 = independently H, C1-6 alkyl; R3R4 = C1-3 alkylene chain; Q = CR5R6, NR5; X = Y = H; XY = O; Z = bond, O, S, S(O), SO2, NR7 or CR7R8; R7, R8 = independently H, C1-6 alkyl] or pharmaceutically acceptable salts thereof are of particular use in the treatment or prevention of pain, inflammation, migraine, emesis and postherpetic neuralgia. Thus, coupling of (S)-2-tert-butoxycarbonylamino-3-(3,4- dichlorobenzyloxy)propionic acid with 4-(2-keto-1-benzimidazolinyl)piperidine, followed by acidic deprotection and reductive benzylation with benzaldehyde and sodium borohydride gave serine derivative II as its HCl salt. The compds. prepared here are active with IC50 at the NK1 receptor of less than 1 μM.

L5 ANSWER 55 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:994586 CAPLUS Full-text
DOCUMENT NUMBER: 124:117093
ORIGINAL REFERENCE NO.: 124:21809a,21812a
TITLE: Preparation of N-[(3,4-dichlorophenyl)propyl]piperidine selective human NK3-receptor antagonists
INVENTOR(S): Bichon, Daniel; Van, Broeck Didier; Proietto, Vincenzo; Gueule, Patrick; Emonds-Alt, Xavier
PATENT ASSIGNEE(S): SANOFI, Fr.
SOURCE: Eur. Pat. Appl., 61 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 673928	A1	19950927	EP 1995-400590	19950317
EP 673928	B1	20010829		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
FR 2717477	A1	19950922	FR 1994-3193	19940318
FR 2717477	B1	19960607		
FR 2717478	A1	19950922	FR 1994-9478	19940729
FR 2717478	B1	19960621		
FR 2719311	A1	19951103	FR 1995-571	19950119
FR 2719311	B1	19980626		
PL 185075	B1	20030228	PL 1995-307723	19950316
FI 9501265	A	19950919	FI 1995-1265	19950317
FI 116621	B1	20060113		
NO 9501044	A	19950919	NO 1995-1044	19950317
AU 9514909	A	19950928	AU 1995-14909	19950317
AU 693845	B2	19980709		
ZA 9502228	A	19951221	ZA 1995-2228	19950317
HU 72065	A2	19960328	HU 1995-806	19950317
CN 1128756	A	19960814	CN 1995-103542	19950317
CN 1056605	B	20000920		
IL 113026	A	19990620	IL 1995-113026	19950317
RU 2143425	C1	19991227	RU 1995-103737	19950317
AT 204863	T	20010915	AT 1995-400590	19950317
PT 673928	T	20020228	PT 1995-400590	19950317
ES 2164746	T3	20020301	ES 1995-400590	19950317
TW 380138	B	20000121	TW 1995-84102614	19950318
CA 2145000	A1	19950919	CA 1995-2145000	19950320
CA 2145000	C	20020507		
JP 08048669	A	19960220	JP 1995-61419	19950320
JP 2922816	B2	19990726		
US 5741910	A	19980421	US 1996-607976	19960229
US 5942523	A	19990824	US 1996-608718	19960229
NO 9705089	A	19950919	NO 1997-5089	19971104
HK 1005137	A1	20020315	HK 1998-104342	19980519
US 6124316	A	20000926	US 1999-306825	19990507
US 6294537	B1	20010925	US 1999-306821	19990507

PRIORITY APPLN. INFO.:

FR 1994-3193	A	19940318
FR 1994-9478	A	19940729
FR 1995-571	A	19950119
US 1995-405833	A3	19950317
US 1997-880832	B1	19970623

OTHER SOURCE(S): CASREACT 124:117093; MARPAT 124:117093
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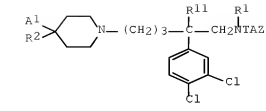

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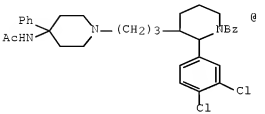


II

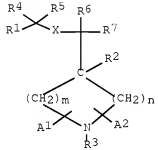
AB The title compds. [I; A = direct bond, CH2, CH2CH2, CH:CH; A1 = (un)substituted 2-pyridyl or Ph; R1 = Me; R2 = HO, alkoxy, CN, (un)substituted NH2, etc.; R11 = H; such that R1R11 = (CH2)3] (e.g., II; m.p. 184°), useful as human NK3-receptor antagonists (no data) for the treatment of neurokinin B-induced diseases (no data), are prepared

L5 ANSWER 56 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:916500 CAPLUS Full-text
DOCUMENT NUMBER: 123:313779
ORIGINAL REFERENCE NO.: 123:56247a,56250a
TITLE: Preparation of geminal-disubstituted azacyclic tachykinin antagonists
INVENTOR(S): Baker, Raymond; Lewis, Richard Thomas; Macleod, Angus Murray; Stevenson, Graeme Irvine
PATENT ASSIGNEE(S): Merck Sharp and Dohme Ltd., UK
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9519344	A1	19950720	WO 1995-GB57	19950112
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2180746	A1	19950720	CA 1995-2180746	19950112
AU 9513902	A	19950801	AU 1995-13902	19950112
AU 685212	B2	19980115		

EP 739336	A1	19961030	EP 1995-905204		19950112
EP 739336	B1	19980826			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
JP 09507500	T	19970729	JP 1995-518907		19950112
AT 170174	T	19980915	AT 1995-905204		19950112
ES 2120170	T3	19981016	ES 1995-905204		19950112
US 5760018	A	19980602	US 1996-676152		19960711
PRIORITY APPLN. INFO.:			GB 1994-542	A	19940113
			GB 1994-3072	A	19940217
			WO 1995-GB57	W	19950112

OTHER SOURCE(S): MARPAT 123:313779
GI



I

AB The title compds. [I; A1, A2 = H, C1-4 alkyl; m = 2-4; n = 0-2; R1, R2 = (un)substituted Ph; R3 = H, COR9, CO2R10, COCONR10R11, COCO2R10, SO2R15, etc.; R4 = C1-6 alkyl substituted by a hydroxy group, (CH2)pNR10R11, CO2R16, CONR10R11, etc.; R5 = H, C1-6 alkyl; R6, R7 = H, C1-6 alkyl; R9 = alkyl, cycloalkyl, Ph; R10, R11 = H, alkyl; R15 = alkyl, CF3, (un)substituted Ph; R16 = alkyl; p = 1-4; X = O, (un)substituted NH], useful as tachykinin antagonists (no data) for the treatment of pain (no data), inflammation (no data), migraine (no data), and emesis (no data), are prepared Thus, 4-phenyl-4-[[1-[3,5-(trifluoromethyl)phenyl]-2- hydroxyethoxy]methyl]piperidine hydrochloride (m.p. 198-202°) was prepared from 4-phenyl-4-carboxypiperidine tosylate in 5 steps.

L5 ANSWER 57 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	1994:605217 CAPLUS Full-text
DOCUMENT NUMBER:	121:205217
ORIGINAL REFERENCE NO.:	121:37365a,37368a
TITLE:	4-(aminomethyl/thiomethyl/sulfonylmethyl)-4-phenylpiperidine tachykinin receptor antagonists
INVENTOR(S):	Macleod, Angus Murray; Stevenson, Graeme Irvine
PATENT ASSIGNEE(S):	Merck Sharp and Dohme Ltd., UK
SOURCE:	PCT Int. Appl., 61 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9413639	A1	19940623	WO 1993-GB2535		19931210
W: AU, CA, JP, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
CA 2150951	A1	19940623	CA 1993-2150951		19931210
AU 9456573	A	19940704	AU 1994-56573		19931210
AU 682838	B2	19971023			
EP 673367	A1	19950927	EP 1994-902065		19931210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
JP 08504435	T	19960514	JP 1993-513951		19931210
US 5661162	A	19970826	US 1995-448622		19950606
PRIORITY APPLN. INFO.:			GB 1992-26014	A	19921214
			GB 1993-13726	A	19930702
			GB 1993-14486	A	19930712
			WO 1993-GB2535	W	19931210

OTHER SOURCE(S): MARPAT 121:205217

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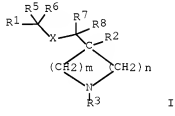

I

AB The title compds. [I; R1, R2 = (un)substituted C1-6 alkyl, alkenyl, alkynyl, halogen, CN, NO2, CF3, etc.; R3 = H, (un)substituted alkylcarbonyl, (un)substituted CO2H, (un)substituted CONH2, etc.; R5-R8 = H, C1-6 alkyl; X = NR4, SO, SO2; R4 = H, alkyl, CHO, Bz, alkylcarbonyl; m = 2-4; n = 0-2 when m = 2-3 and n = 0-1 when m = 4], useful as tachykinin receptor antagonists (no data), are prepared Thus, 4-(2- methoxybenzylaminomethyl)-4-phenylpiperidine dihydrochloride, m.p. 78-80°, was prepared from 4-cyano-4-phenylpiperidine hydrochloride in 4 steps.

L5 ANSWER 58 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	1990:611853 CAPLUS Full-text
DOCUMENT NUMBER:	113:211853
ORIGINAL REFERENCE NO.:	113:35795a,35798a
TITLE:	Preparation of 1-(2-hydroxyalkyl)piperidines and analogs as antitumor agents
INVENTOR(S):	Caravatti, Giorgio; Stanek, Jaroslav; Frei, Joerg
PATENT ASSIGNEE(S):	Ciba-Geigy A.-G., Switz.
SOURCE:	Eur. Pat. Appl., 17 pp. CODEN: EPXXDW
DOCUMENT TYPE:	Patent
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 374095	A2	19900620	EP 1989-810919	19891205
EP 374095	A3	19911030		

R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE

ZA	8909436	A	19900829	ZA 1989-9436	19891201
CA	2004986	A1	19900612	CA 1989-2004986	19891208
AU	8946076	A	19900614	AU 1989-46076	19891208
DK	8906236	A	19900613	DK 1989-6236	19891211
JP	02212471	A	19900823	JP 1989-319055	19891211
HU	53078	A2	19900928	HU 1989-6499	19891211
DD	290186	A5	19910523	DD 1989-335505	19891211

PRIORITY APPLN. INFO.: CH 1988-4574 A 19881212
OTHER SOURCE(S): MARPAT 113:211853
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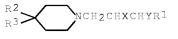

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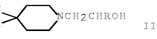

II

AB The title compds. [I; R1 = C1-30 alkyl; R2 = CO2H, alkoxycarbonyl, CONH2, (un)substituted alkyl, etc.; R3 + H, alkyl, aryl; X, Y = H, OH, alkoxy, acyloxy] were prepared as antitumor agents (no data). Thus, 4-cyano-4-phenylpiperidine was refluxed 6 h with 1,2-epoxydecane in EtOH containing K2CO3 to give the title compound II (R = 1-octyl). A capsulr formulation comprising I is given.

L5 ANSWER 59 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1981:569708 CAPLUS Full-text
DOCUMENT NUMBER: 95:169708
ORIGINAL REFERENCE NO.: 95:28393a,28396a
TITLE: Lincomycin compounds
INVENTOR(S): Birkenmeyer, Robert D.
PATENT ASSIGNEE(S): Upjohn Co. , USA
SOURCE: U.S., 24 pp. Cont.-in-part of U.S. Ser. No. 96,652, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4278789	A	19810714	US 1980-148056	19800519
US 4309533	A	19820105	US 1980-194632	19801006
US 4310660	A	19820112	US 1980-194634	19801006
IL 61245	A	19860731	IL 1980-61245	19801010
AU 8063443	A	19810528	AU 1980-63443	19801016
AU 535986	B2	19840412		
CA 1165315	A1	19840410	CA 1980-362485	19801016
GB 2063252	A	19810603	GB 1980-33726	19801020
GB 2063252	B	19830518		
ZA 8006438	A	19811028	ZA 1980-6438	19801020
NL 8006229	A	19810616	NL 1980-6229	19801114
NL 194240	B	20010601		
NL 194240	C	20011002		
DE 3043502	A1	19810604	DE 1980-3043502	19801118
DE 3043502	C2	19890511		

ES 496988	A1	19820501	ES 1980-496988		19801119
JP 56087597	A	19810716	JP 1980-162723		19801120
JP 63038037	B	19880728			
BE 886301	A1	19810521	BE 1980-202901		19801121
SE 8008181	A	19810524	SE 1980-8181		19801121
SE 447260	B	19861103			
SE 447260	C	19870212			
FR 2470134	A1	19810529	FR 1980-24823		19801121
FR 2470134	B1	19850726			
HU 26810	A2	19830928	HU 1980-2786		19801121
HU 187281	B	19851228			
HU 30045	A2	19840228	HU 1983-317		19801121
HU 190437	B	19860929			
CH 647244	A5	19850115	CH 1980-8629		19801121
PL 132002	B1	19850131	PL 1980-233258		19801124
FR 2487358	A1	19820129	FR 1981-13537		19810709
FR 2491072	A1	19820402	FR 1981-13542		19810709
FR 2493852	A1	19820514	FR 1981-13543		19810709
FR 2493852	B1	19850816			
SU 1169543	A3	19850723	SU 1981-3444858		19810819
ES 507346	A1	19820816	ES 1981-507346		19811120
ES 507347	A1	19820816	ES 1981-507347		19811120
ES 507345	A1	19820901	ES 1981-507345		19811120
CA 1164863	A2	19840403	CA 1982-414643		19821101
CA 1164864	A2	19840403	CA 1982-414644		19821101
CA 1165316	A2	19840410	CA 1982-414645		19821101
JP 63225392	A	19880920	JP 1988-26734		19880209
JP 01041157	B	19890904			

PRIORITY APPLN. INFO.: US 1979-96652 A2 19791123
US 1980-148056 A3 19800519
CA 1980-362485 A3 19801016

OTHER SOURCE(S): MARPAT 95:169708

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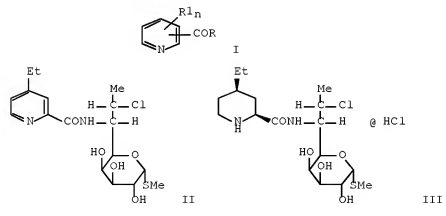

AB Lincomycin analogs I (R = amino function from Me 1-thiolincosaminide derivs.; R1n = H, (un)substituted C1-8 alkyl, (un)substituted C3-8 cycloalkyl, halo, Ph, substituted Ph, substituted O, substituted N hydroxyalkyl, aminoalkyl), with activities against bacteria, coccidia, and mycoplasma, were prepared

Thus, 4-ethyl-2-pyridinecarboxylic acid-HCl was treated with Et3N and iso-Bu chloroformate and then with Me 7(S)-7-deoxy-7-chloro-1-thio-α-lincosaminide to give II, which was hydrogenated over PtO2 in MeOH-HCl to give III. Antimicrobial spectra of III are given in comparison with those of clindamycin.

L5 ANSWER 60 OF 60 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1969:57609 CAPLUS Full-text
DOCUMENT NUMBER: 70:57609
ORIGINAL REFERENCE NO.: 70:10809a,10812a
TITLE: New antibiotics
INVENTOR(S): Maggi, Nicola; Sensi, Piero
PATENT ASSIGNEE(S): Lepetit S. p. A.; CIBA Ltd.
SOURCE: S. African, 17 pp.
CODEN: SFXXAB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 6706475	A	19681229	ZA 1967-6475	19671115
GB 1159267	A	19690723	GB 1966-49389	19661103
IL 28777	A	19711229	IL 1967-28777	19671016
NL 6714242	A	19680506	NL 1967-14242	19671019
DK 114697	B	19690728	DK 1967-5280	19671023
FI 45978	B	19720731	FI 1967-2856	19671024
CH 483444	A	19691231	CH 1967-15186	19671030
CH 491954	A	19700615	CH 1969-12547	19671030
CH 496730	A	19700930	CH 1970-6917	19671030
US 4188321	A	19800212	US 1967-679195	19671030
NO 117855	B	19691006	NO 1967-170360	19671101
SE 330173	B	19701109	SE 1967-15059	19671102
BE 706022	A	19680318	BE 1967-706022	19671103
ES 346731	A1	19690101	ES 1967-346731	19671103
FR 1601071	A	19700810	FR 1967-126965	19671103
CS 150943	B2	19730917	CS 1967-7802	19671103
JP 50024960	B	19750820	JP 1967-70908	19671104
FR 7156	M	19690804	FR 1968-138464	19680202
FI 47666	B	19731031	FI 1972-782	19720322
FI 48473	B	19740701	FI 1973-2093	19730629

PRIORITY APPLN. INFO.: GB 1966-49389 A 19661103
OTHER SOURCE(S): MARPAT 70:57609

AB Rifamycins B, O, S, SV, and their 25-deacetyl derivs. are prepared by alkaline hydrolysis in a solvent and (optionally) convertion of the derivs. of rifamycin S and SV into each other by using ascorbic acid or K3Fe(CN)6 or hydrogenation of the aliphatic chain of the rifamycin mol. to the corresponding hexahydro derivative E.g., to prepare 25-deacetyl-3-diethylaminomethylrifamycin SV, to a solution of 7.8 g. diethylaminomethylrifamycin SV dissolved in 160 ml. ethanol, was added an aqueous 5% NaHCO3 solution, 50 ml. of the mixture was refluxed 8 hrs., cooled, and concentrated in vacuo; 70 ml. water was added and the mixture extracted with 200 ml. AcOEt after adjusting the pH to 4-4.5. The organic layer was dried and concentrated in vacuo to yield the deacetyl derivative, which was filtered off and purified by chromatog. on silica gel with Me2CO-CHCl3 (1:3) as eluent to yield 5 g. product decomposing 152-8°. Similarly prepared were 25-deacetyl-4-guanylazo-4-deoxyrifamycin SV, decomposing 228° and 25-deacetylrifamycin S (I), decomposing 144-7°. I is completely hydrogenated in

EtOH with PtO2 catalyst by taking up 4 moles H. The mixture is filtered, the filtrate evaporated, the residue dissolved in NaHCO3 solution, the solution oxidized with K3FeCN6 and the product extracted with CHCl3 to give 25-deacetylhexahydrorifamycin S, m. 122-30° and SV, no m.p. given. Also prepared were (m.p. given): 25-deacetyl-3-methylaminorifamycin S, 208°, and SV, —; 25-deacetyl-3-morpholinorifamycin SV, 240°, and S 175-8°; 25-deacetyl-3-dimethylhydrazonomethylrifamycin SV, 179-81°, and 25-deacetyl-3-piperidinorifamycin SV, 242-5°.

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